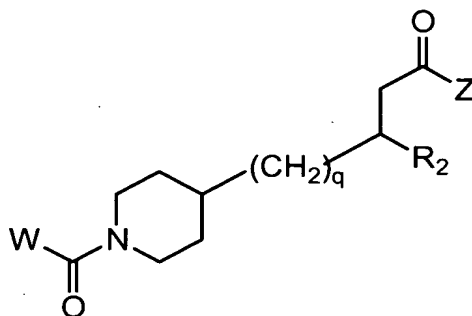


WHAT IS CLAIMED IS:

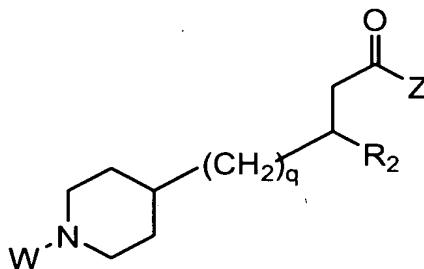
1. A targeting ligand having a formula selected from the group consisting of
Formula (I) :

5



Formula (I)

and Formula (II):



Formula (II)

10 wherein

W is selected from the group consisting of -C₀₋₆alkyl(R₁), -C₁₋₆alkyl(R_{1a}),
-C₀₋₆alkyl-aryl(R₁,R₈), -C₀₋₆alkyl-heterocyclyl(R₁,R₈), -C₀₋₆alkoxy(R₁),
-C₀₋₆alkoxy-aryl(R₁,R₈), and -C₀₋₆alkoxy-heterocyclyl(R₁,R₈);

15 R₁ is selected from the group consisting of hydrogen, -N(R₄)₂, -N(R₄)(R₅), -N(R₄)(R₆),
-heterocyclyl(R₈) and -heteroaryl(R₈);

R_{1a} is selected from the group consisting of -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂,
-C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄,
20 -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄,

$-C(=N-R_4)-N(R_4)-SO_2-C_{1-8}alkyl(R_7)$ and $-C(=N-R_4)-N(R_4)-SO_2-N(R_4)_2$;

R_4 is selected from the group consisting of hydrogen and $-C_{1-8}alkyl(R_7)$;

5 R_5 is selected from the group consisting of $-C(=O)-R_4$, $-C(=O)-N(R_4)_2$,
 $-C(=O)-cycloalkyl(R_8)$, $-C(=O)-heterocyclyl(R_8)$, $-C(=O)-aryl(R_8)$,
 $-C(=O)-heteroaryl(R_8)$, $-C(=O)-N(R_4)-cycloalkyl(R_8)$, $-C(=O)-N(R_4)-aryl(R_8)$,
 $-CO_2-R_4$, $-CO_2-cycloalkyl(R_8)$, $-CO_2-aryl(R_8)$, $-C(R_4)(=N-R_4)$, $-C(=N-R_4)-N(R_4)_2$,
 $-C(=N-R_4)-N(R_4)(R_6)$, $-C(=N-R_4)-N(R_4)-C(=O)-R_4$,
10 $-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)_2$, $-C(=N-R_4)-N(R_4)-CO_2-R_4$,
 $-C(=N-R_4)-N(R_4)-SO_2-C_{1-8}alkyl(R_7)$, $-C(=N-R_4)-N(R_4)-SO_2-N(R_4)_2$,
 $-N(R_4)-C(R_4)(=N-R_4)$, $-N(R_4)-C(=N-R_4)-N(R_4)_2$, $-N(R_4)-C(=N-R_4)-N(R_4)(R_6)$,
 $-N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-R_4$, $-N(R_4)-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)_2$,
 $-N(R_4)-C(=N-R_4)-N(R_4)-CO_2-R_4$, $-N(R_4)-C(=N-R_4)-N(R_4)-SO_2-C_{1-8}alkyl(R_7)$,
15 $-N(R_4)-C(=N-R_4)-N(R_4)-SO_2-N(R_4)_2$, $-SO_2-C_{1-8}alkyl(R_7)$, $-SO_2-N(R_4)_2$,
 $-SO_2-cycloalkyl(R_8)$ and $-SO_2-aryl(R_8)$;

R_6 is selected from the group consisting of $-cycloalkyl(R_8)$, $-heterocyclyl(R_8)$, $-aryl(R_8)$
and $-heteroaryl(R_8)$;

20

R_7 is one to two substituents independently selected from the group consisting of
hydrogen, $-C_{1-8}alkoxy(R_9)$, $-NH_2$, $-NH-C_{1-8}alkyl(R_9)$, $-N(C_{1-8}alkyl(R_9))_2$, $-C(=O)H$,
 $-C(=O)-C_{1-8}alkyl(R_9)$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-8}alkyl(R_9)$,
 $-C(=O)-N(C_{1-8}alkyl(R_9))_2$, $-C(=O)-NH-aryl(R_{10})$, $-C(=O)-cycloalkyl(R_{10})$,
25 $-C(=O)-heterocyclyl(R_{10})$, $-C(=O)-aryl(R_{10})$, $-C(=O)-heteroaryl(R_{10})$, $-CO_2H$,
 $-CO_2-C_{1-8}alkyl(R_9)$, $-CO_2-aryl(R_{10})$, $-C(=NH)-NH_2$, $-SH$, $-S-C_{1-8}alkyl(R_9)$,
 $-S-C_{1-8}alkyl-S-C_{1-8}alkyl(R_9)$, $-S-C_{1-8}alkyl-C_{1-8}alkoxy(R_9)$,
 $-S-C_{1-8}alkyl-NH-C_{1-8}alkyl(R_9)$, $-SO_2-C_{1-8}alkyl(R_9)$, $-SO_2-NH_2$,
 $-SO_2-NH-C_{1-8}alkyl(R_9)$, $-SO_2-N(C_{1-8}alkyl(R_9))_2$, $-SO_2-aryl(R_{10})$, cyano, (halo)₁₋₃,
30 hydroxy, nitro, oxo, $-cycloalkyl(R_{10})$, $-heterocyclyl(R_{10})$, $-aryl(R_{10})$ and
 $-heteroaryl(R_{10})$;

PRD-0026 CIP

R_8 is one to four substituents independently selected from the group consisting of

hydrogen, $-C_{1-8}alkyl(R_9)$, $-C(=O)H$, $-C(=O)-C_{1-8}alkyl(R_9)$, $-C(=O)-NH_2$,
 $-C(=O)-NH-C_{1-8}alkyl(R_9)$, $-C(=O)-N(C_{1-8}alkyl(R_9))_2$, $-C(=O)-NH-aryl(R_{10})$,
 $-C(=O)-cycloalkyl(R_{10})$, $-C(=O)-heterocyclyl(R_{10})$, $-C(=O)-aryl(R_{10})$,
5 $-C(=O)-heteroaryl(R_{10})$, $-CO_2H$, $-CO_2-C_{1-8}alkyl(R_9)$, $-CO_2-aryl(R_{10})$, $-C(=NH)-NH_2$,
 $-SO_2-C_{1-8}alkyl(R_9)$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-8}alkyl(R_9)$, $-SO_2-N(C_{1-8}alkyl(R_9))_2$,
 $-SO_2-aryl(R_{10})$, $-cycloalkyl(R_{10})$ and $-aryl(R_{10})$ when attached to a nitrogen atom;
and, wherein R_8 is one to four substituents independently selected from the group
consisting of hydrogen, $-C_{1-8}alkyl(R_9)$, $-C_{1-8}alkoxy(R_9)$, $-O-cycloalkyl(R_{10})$,
10 $-O-aryl(R_{10})$, $-C(=O)H$, $-C(=O)-C_{1-8}alkyl(R_9)$, $-NHC(=O)-C_{1-8}alkyl(R_9)$,
 $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-8}alkyl(R_9)$, $-C(=O)-N(C_{1-8}alkyl(R_9))_2$,
 $-C(=O)-NH-aryl(R_{10})$, $-NHC(=O)-NH_2$, $-NHC(=O)-NH-C_{1-8}alkyl(R_9)$,
 $-NHC(=O)-N(C_{1-8}alkyl(R_9))_2$, $-NHC(=O)-NH-aryl(R_{10})$,
 $-NHC(=O)-O-C_{1-8}alkyl(R_9)$, $-NHC(=O)-O-aryl(R_{10})$, $-C(=O)-cycloalkyl(R_{10})$,
15 $-C(=O)-heterocyclyl(R_{10})$, $-C(=O)-aryl(R_{10})$, $-C(=O)-heteroaryl(R_{10})$,
 $-NHC(=O)-cycloalkyl(R_{10})$, $-NHC(=O)-heterocyclyl(R_{10})$, $-NHC(=O)-aryl(R_{10})$,
 $-NHC(=O)-heteroaryl(R_{10})$, $-CO_2H$, $-CO_2-C_{1-8}alkyl(R_9)$, $-CO_2-aryl(R_{10})$,
 $-C(=NH)-NH_2$, $-SO_2-C_{1-8}alkyl(R_9)$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-8}alkyl(R_9)$,
 $-SO_2-N(C_{1-8}alkyl(R_9))_2$, $-SO_2-aryl(R_{10})$, $-NHSO_2-C_{1-8}alkyl(R_9)$, $-NHSO_2-aryl(R_{10})$,
20 $-SH$, $-S-C_{1-8}alkyl(R_9)$, $-S-C_{1-8}alkyl-S-C_{1-8}alkyl(R_9)$, $-S-C_{1-8}alkyl-C_{1-8}alkoxy(R_9)$,
 $-S-C_{1-8}alkyl-NH-C_{1-8}alkyl(R_9)$, $-NH_2$, $-NH-C_{1-8}alkyl(R_9)$, $-N(C_{1-8}alkyl(R_9))_2$, cyano,
halo, hydroxy, nitro, oxo, $-cycloalkyl(R_{10})$, $-heterocyclyl(R_{10})$, $-aryl(R_{10})$, and
 $-heteroaryl(R_{10})$ when attached to a carbon atom;

25 R_9 is selected from the group consisting of hydrogen, $-C_{1-8}alkoxy$, $-NH_2$, $-NH-C_{1-8}alkyl$,
 $-N(C_{1-8}alkyl)_2$, $-C(=O)H$, $-C(=O)-NH_2$, $-C(=O)-NH-C_{1-8}alkyl$, $-C(=O)-N(C_{1-8}alkyl)_2$,
 $-CO_2H$, $-CO_2-C_{1-8}alkyl$, $-SO_2-C_{1-8}alkyl$, $-SO_2-NH_2$, $-SO_2-NH-C_{1-8}alkyl$,
 $-SO_2-N(C_{1-8}alkyl)_2$, cyano, (halo)₁₋₃, hydroxy, nitro and oxo;

30 R_{10} is one to four substituents independently selected from the group consisting of
hydrogen, $-C_{1-8}alkyl$, $-C(=O)H$, $-C(=O)-C_{1-8}alkyl$, $-C(=O)-NH_2$,
 $-C(=O)-NH-C_{1-8}alkyl$, $-C(=O)-N(C_{1-8}alkyl)_2$, $-CO_2H$, $-CO_2-C_{1-4}alkyl$,

PRD-0026 CIP

-SO₂-C₁₋₈alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl and -SO₂-N(C₁₋₈alkyl)₂ when attached to a nitrogen atom; and, wherein R₁₀ is one to four substituents independently selected from the group consisting of hydrogen, -C₁₋₈alkyl, -C₁₋₈alkoxy, -C(=O)H, -C(=O)-C₁₋₈alkyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₈alkyl, -C(=O)-N(C₁₋₈alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₈alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl, -SO₂-N(C₁₋₈alkyl)₂, -NH₂, -NH-C₁₋₈alkyl, -N(C₁₋₈alkyl)₂, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

R_{2a} is selected from the group consisting of -C₁₋₈alkyl(R₇)(R₁₁), -C₂₋₈alkenyl(R₇)(R₁₁), -C₂₋₈alkynyl(R₇)(R₁₁), -cycloalkyl(R₇)(R₁₁), -heterocyclyl(R₈)(R₁₂), -aryl(R₈)(R₁₂) and -heteroaryl(R₈)(R₁₂);

R₁₁ is selected from the group consisting of -C₁₋₈alkyl(R₁₄), -O-C₁₋₈alkyl(R₁₄), -NH-C₁₋₈alkyl(R₁₄), -S-C₁₋₈alkyl(R₁₄), -C(=O)C₁₋₈alkyl(R₁₄), -O-C(=O)C₁₋₈alkyl(R₁₄), -NH-C(=O)C₁₋₈alkyl(R₁₄), -C(=O)OC₁₋₈alkyl(R₁₄), -C(=O)NHC₁₋₈alkyl(R₁₄), -O-C(=O)OC₁₋₈alkyl(R₁₄), -O-C(=O)NHC₁₋₈alkyl(R₁₄), -NH-C(=O)OC₁₋₈alkyl(R₁₄), -NH-C(=O)NHC₁₋₈alkyl(R₁₄), -C(=O)C₁₋₈alkylC(=O)(R₁₄), -O-C(=O)C₁₋₈alkylC(=O)(R₁₄), -NH-C(=O)C₁₋₈alkylC(=O)(R₁₄), -C(=O)OC₁₋₈alkylC(=O)(R₁₄), -O-C(=O)OC₁₋₈alkylC(=O)(R₁₄), -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₄), -C(=O)NHC₁₋₈alkylC(=O)(R₁₄), -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₄), -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₄), -OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄), -NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄), -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄), -OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄), -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄), -SCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄), -OC(=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄), -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),

PRD-0026 CIP

- OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 5 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 10 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄), and
 15 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄);

R₁₂ is selected from the group consisting of -C₁₋₈alkyl(R₁₄), -O-C₁₋₈alkyl(R₁₄),

- NH-C₁₋₈alkyl(R₁₄), -S-C₁₋₈alkyl(R₁₄), -CH₂O-C₁₋₈alkyl(R₁₄),
 -CH₂NH-C₁₋₈alkyl(R₁₄), -CH₂S-C₁₋₈alkyl(R₁₄), -C(=O)C₁₋₈alkyl(R₁₄),
 20 -O-C(=O)C₁₋₈alkyl(R₁₄), -NH-C(=O)C₁₋₈alkyl(R₁₄),
 -CH₂O-C(=O)C₁₋₈alkyl(R₁₄), -CH₂NH-C(=O)C₁₋₈alkyl(R₁₄),
 -C(=O)OC₁₋₈alkyl(R₁₄), -C(=O)NHC₁₋₈alkyl(R₁₄),
 -O-C(=O)OC₁₋₈alkyl(R₁₄), -O-C(=O)NHC₁₋₈alkyl(R₁₄),
 -NH-C(=O)OC₁₋₈alkyl(R₁₄), -NH-C(=O)NHC₁₋₈alkyl(R₁₄),
 25 -CH₂O-C(=O)OC₁₋₈alkyl(R₁₄), -CH₂O-C(=O)NHC₁₋₈alkyl(R₁₄),
 -CH₂NH-C(=O)OC₁₋₈alkyl(R₁₄), -CH₂NH-C(=O)NHC₁₋₈alkyl(R₁₄),
 -C(=O)C₁₋₈alkylC(=O)(R₁₄), -O-C(=O)C₁₋₈alkylC(=O)(R₁₄),
 -NH-C(=O)C₁₋₈alkylC(=O)(R₁₄), -CH₂O-C(=O)C₁₋₈alkylC(=O)(R₁₄),
 -CH₂NH-C(=O)C₁₋₈alkylC(=O)(R₁₄), -C(=O)OC₁₋₈alkylC(=O)(R₁₄),
 30 -O-C(=O)OC₁₋₈alkylC(=O)(R₁₄), -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₄),
 -CH₂O-C(=O)OC₁₋₈alkylC(=O)(R₁₄), -CH₂NH-C(=O)OC₁₋₈alkylC(=O)(R₁₄),
 -C(=O)NHC₁₋₈alkylC(=O)(R₁₄), -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₄),

PRD-0026 CIP

- NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₄), -CH₂O-C(=O)NHC₁₋₈alkylC(=O)(R₁₄),
- CH₂NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₄),
- OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- 5 -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- SCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- OC(=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- 10 -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- 15 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- 20 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- CH₂OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- 25 -CH₂OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
- C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- 30 -OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),

PRD-0026 CIP

-NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
-NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
-NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
-SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
5 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
-CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
-CH₂OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
-CH₂OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
-CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
10 -CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄), and
-CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄);

R₁₄ when R₁₁ and R₁₂ terminates with a C(=O) is selected from the group consisting of hydrogen, OH, , -OC₁₋₄alkyl and NH₂; otherwise R₁₄ is selected from the group
15 consisting of -OH, -SH, COOH, and -COOC₁₋₄alkyl;

Z is selected from the group consisting of hydroxy, -NH₂, -NH-C₁₋₈alkyl,
-N(C₁₋₈alkyl)₂, -O-C₁₋₈alkyl, -O-C₁₋₈alkyl-OH, -O-C₁₋₈alkylC₁₋₈alkoxy, -O-
C₁₋₈alkylcarbonylC₁₋₈alkyl, -O-C₁₋₈alkyl-CO₂H, -O-C₁₋₈alkyl-C(O)O-C₁₋₈alkyl, -O-
20 C₁₋₈alkyl-O-C(O)C₁₋₈alkyl, -O-C₁₋₈alkyl-NH₂, -O-C₁₋₈alkyl-NH-C₁₋₈alkyl, -O-
C₁₋₈alkyl-N(C₁₋₈alkyl)₂, -O-C₁₋₈alkylamide, -O-C₁₋₈alkyl-C(O)-NH-C₁₋₈alkyl, -O-C₁₋₈alkyl-C(O)-N(C₁₋₈alkyl)₂ and -NHC(O)C₁₋₈alkyl;

and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

- 25
2. The targeting ligand of claim 1 wherein W is selected from the group consisting of -C₀₋₄alkyl(R₁) and -C₀₋₄alkyl-aryl(R₁,R₈).
3. The targeting ligand of claim 1 wherein W is -C₀₋₄alkyl(R₁) or
30 -C₀₋₄alkyl-phenyl(R₁,R₈).
4. The targeting ligand of claim 1 wherein R₁ is selected from the group consisting

of -N(R₄)(R₆), -heterocyclyl(R₈) and -heteroaryl(R₈).

5. The targeting ligand of claim 1 wherein R₁ is selected from the group consisting of -N(R₄)(R₆), -dihydro-1*H*-pyrrolo[2,3-*b*]pyridinyl(R₈),
 5 -tetrahydropyrimidinyl(R₈), -tetrahydro-1,8-naphthyridinyl(R₈),
 -tetrahydro-1*H*-azepino[2,3-*b*]pyridinyl(R₈) and -pyridinyl(R₈).
6. The targeting ligand of claim 1 wherein R₁ is selected from the group consisting of -N(R₄)(R₆), -tetrahydropyrimidinyl(R₈) and
 10 -tetrahydro-1,8-naphthyridinyl(R₈).
7. The targeting ligand of claim 1 wherein R_{1a} is selected from the group consisting of -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆),
 -C(=N-R₄)-N(R₄)-C(=O)-R₄, -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂,
 15 -C(=N-R₄)-N(R₄)-CO₂-R₄, -C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇) and
 -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂.
8. The targeting ligand of claim 1 wherein R₄ is selected from the group consisting of hydrogen and -C₁₋₄alkyl(R₇).
9. The targeting ligand of claim 1 wherein R₄ is hydrogen.
10. The targeting ligand of claim 1 wherein R₅ is selected from the group consisting of -C(=O)-R₄, -C(=O)-N(R₄)₂, -C(=O)-cycloalkyl(R₈), -C(=O)-heterocyclyl(R₈),
 25 -C(=O)-aryl(R₈), -C(=O)-heteroaryl(R₈), -C(=O)-N(R₄)-cycloalkyl(R₈),
 -C(=O)-N(R₄)-aryl(R₈), -CO₂-R₄, -CO₂-cycloalkyl(R₈), -CO₂-aryl(R₈),
 -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆),
 -C(=N-R₄)-N(R₄)-C(=O)-R₄, -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂,
 -C(=N-R₄)-N(R₄)-CO₂-R₄, -C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇),
 30 -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂, -N(R₄)-C(R₄)(=N-R₄), -N(R₄)-C(=N-R₄)-N(R₄)₂,
 -N(R₄)-C(=N-R₄)-N(R₄)(R₆), -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-R₄,
 -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -N(R₄)-C(=N-R₄)-N(R₄)-CO₂-R₄,

-N(R₄)-C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇),
 -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂, -SO₂-C₁₋₄alkyl(R₇), -SO₂-N(R₄)₂,
 -SO₂-cycloalkyl(R₈) and -SO₂-aryl(R₈).

- 5 11. The targeting ligand of claim 1 wherein R₅ is selected from the group consisting of -C(=O)-R₄, -C(=O)-N(R₄)₂, -CO₂-R₄, -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆), -N(R₄)-C(R₄)(=N-R₄), -N(R₄)-C(=N-R₄)-N(R₄)₂, -N(R₄)-C(=N-R₄)-N(R₄)(R₆), -SO₂-C₁₋₄alkyl(R₇) and -SO₂-N(R₄)₂.
- 10 12. The targeting ligand of claim 1 wherein R₆ is selected from the group consisting of -heterocyclyl(R₈) and -heteroaryl(R₈).
13. The targeting ligand of claim 1 wherein R₆ is selected from the group consisting of -dihydroimidazolyl(R₈), -tetrahydropyridinyl(R₈), -tetrahydropyrimidinyl(R₈) and -pyridinyl(R₈).
- 15 14. The targeting ligand of claim 1 wherein R₇ is one to two substituents independently selected from the group consisting of hydrogen, -C₁₋₄alkoxy(R₉), -NH₂, -NH-C₁₋₄alkyl(R₉), -N(C₁₋₄alkyl(R₉))₂, -C(=O)H, -C(=O)-C₁₋₄alkyl(R₉), -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉), -C(=O)-N(C₁₋₄alkyl(R₉))₂, -C(=O)-NH-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀), -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀), -CO₂H, -CO₂-C₁₋₄alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂, -SH, -S-C₁₋₄alkyl(R₉), -S-C₁₋₄alkyl-S-C₁₋₄alkyl(R₉), -S-C₁₋₄alkyl-C₁₋₄alkoxy(R₉), -S-C₁₋₄alkyl-NH-C₁₋₄alkyl(R₉), -SO₂-C₁₋₄alkyl(R₉), -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl(R₉), -SO₂-N(C₁₋₄alkyl(R₉))₂, -SO₂-aryl(R₁₀), cyano, (halo)₁₋₃, hydroxy, nitro, oxo, -cycloalkyl(R₁₀), -heterocyclyl(R₁₀), -aryl(R₁₀) and -heteroaryl(R₁₀).
- 20 15. The targeting ligand of claim 1 wherein R₇ is one to two substituents independently selected from the group consisting of hydrogen, -C₁₋₄alkoxy(R₉), -NH₂, -NH-C₁₋₄alkyl(R₉), -N(C₁₋₄alkyl(R₉))₂, (halo)₁₋₃, hydroxy and oxo.
- 25 30

16. The targeting ligand of claim 1 wherein R₇ is hydrogen.

17. The targeting ligand of claim 1 wherein R₈ is one to four substituents

independently selected from the group consisting of hydrogen, -C₁₋₄alkyl(R₉),
 -C(=O)H, -C(=O)-C₁₋₄alkyl(R₉), -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉),
 -C(=O)-N(C₁₋₄alkyl(R₉))₂, -C(=O)-NH-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀),
 -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀), -CO₂H,
 -CO₂-C₁₋₄alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂, -SO₂-C₁₋₄alkyl(R₉),
 -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl(R₉), -SO₂-N(C₁₋₄alkyl(R₉))₂, -SO₂-aryl(R₁₀),
 -cycloalkyl(R₁₀) and -aryl(R₁₀) when attached to a nitrogen atom; and, wherein
 R₈ is one to four substituents independently selected from the group consisting
 of hydrogen, -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉), -O-cycloalkyl(R₁₀), -O-aryl(R₁₀),
 -C(=O)H, -C(=O)-C₁₋₄alkyl(R₉), -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉),
 -C(=O)-N(C₁₋₄alkyl(R₁₁))₂, -C(=O)-NH-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀),
 -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀), -CO₂H,
 -CO₂-C₁₋₄alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂, -SO₂-C₁₋₄alkyl(R₉),
 -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl(R₉), -SO₂-N(C₁₋₄alkyl(R₉))₂, -SO₂-aryl(R₁₀),
 -SH, -S-C₁₋₄alkyl(R₉), -S-C₁₋₄alkyl-S-C₁₋₄alkyl(R₉), -S-C₁₋₄alkyl-C₁₋₄alkoxy(R₉),
 -S-C₁₋₄alkyl-NH-C₁₋₄alkyl(R₉), -NH₂, -NH-C₁₋₄alkyl(R₉), -N(C₁₋₄alkyl(R₉))₂,
 cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R₁₀), -heterocyclyl(R₁₀), -aryl(R₁₀)
 and -heteroaryl(R₁₀) when attached to a carbon atom.

18. The targeting ligand of claim 1 wherein R₈ is one to four substituents

independently selected from the group consisting of hydrogen, -C₁₋₄alkyl(R₉),
 -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉), -C(=O)-N(C₁₋₄alkyl(R₉))₂,
 -CO₂H, -CO₂-C₁₋₄alkyl(R₉) and -SO₂-NH₂ when attached to a nitrogen atom;
 and, wherein R₈ is one to four substituents independently selected from the
 group consisting of hydrogen, -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉), -O-aryl(R₁₀),
 -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉), -C(=O)-N(C₁₋₄alkyl(R₉))₂,
 -CO₂H, -CO₂-C₁₋₄alkyl(R₉), -SO₂-NH₂, -NH₂, -NH-C₁₋₄alkyl(R₉),
 -N(C₁₋₄alkyl(R₉))₂, cyano, halo, hydroxy, nitro and oxo when attached to a

carbon atom.

19. The targeting ligand of claim 1 wherein R₈ is one to four substituents independently selected from the group consisting of hydrogen and
 5 -C₁₋₄alkyl(R₉) when attached to a nitrogen atom; and, wherein R₈ is one to four substituents independently selected from the group consisting of hydrogen, -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉), -O-aryl(R₁₀), -NH₂, -NH-C₁₋₄alkyl(R₉), -N(C₁₋₄alkyl(R₉))₂, halo, hydroxy and oxo when attached to a carbon atom.
- 10 20. The targeting ligand of claim 1 wherein R₈ is one to four substituents independently selected from the group consisting of hydrogen and -C₁₋₄alkyl(R₉) when attached to a nitrogen atom; and, wherein R₈ is one to four substituents independently selected from the group consisting of hydrogen,
 15 -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉), -O-aryl(R₁₀) and hydroxy when attached to a carbon atom.
21. The targeting ligand of claim 1 wherein R₉ is selected from the group consisting of hydrogen, -C₁₋₄alkoxy, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, -C(=O)H,
 20 -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl, -C(=O)-N(C₁₋₄alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₄alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl, -SO₂-N(C₁₋₄alkyl)₂, cyano, (halo)₁₋₃, hydroxy, nitro and oxo.
22. The targeting ligand of claim 1 wherein R₉ is selected from the group consisting of hydrogen, -C₁₋₄alkoxy, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, -C(=O)H,
 25 -CO₂H, -C(=O)-C₁₋₄alkoxy, (halo)₁₋₃, hydroxy and oxo.
23. The targeting ligand of claim 1 wherein R₉ is selected from the group consisting of hydrogen, -C₁₋₄alkoxy, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, (halo)₁₋₃ and hydroxy.
 30
24. The targeting ligand claim 1 wherein R₁₀ is one to four substituents independently selected from the group consisting of hydrogen, -C₁₋₄alkyl,

-C(=O)H, -C(=O)-C₁₋₄alkyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl,
 -C(=O)-N(C₁₋₄alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₄alkyl, -SO₂-NH₂,
 -SO₂-NH-C₁₋₄alkyl and -SO₂-N(C₁₋₄alkyl)₂ when attached to a nitrogen atom;
 and, wherein R₁₀ is one to four substituents independently selected from the
 group consisting of hydrogen, -C₁₋₄alkyl, -C₁₋₄alkoxy, -C(=O)H,
 -C(=O)-C₁₋₄alkyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl, -C(=O)-N(C₁₋₄alkyl)₂,
 -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₄alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl,
 -SO₂-N(C₁₋₄alkyl)₂, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, cyano, halo, hydroxy,
 nitro and oxo when attached to a carbon atom.

25. The targeting ligand of claim 1 wherein (R₁₀)₁₋₄ is selected from the group consisting of hydrogen, -C₁₋₄alkyl, -C₁₋₄alkoxy, -C(=O)H, -C(=O)-C₁₋₄alkyl, -CO₂H, -CO₂-C₁₋₄alkyl, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, halo, hydroxy, nitro and oxo when attached to a carbon atom.
26. The targeting ligand of claim 1 wherein R₁₀ is hydrogen.
27. The targeting ligand of claim 1 wherein R_{2a} is selected from the group consisting of -C₁₋₄alkyl (R₇)(R₁₁), -C₂₋₄alkenyl(R₇)(R₁₁), -C₂₋₄alkynyl(R₇)(R₁₁), -cycloalkyl(R₇)(R₁₁), -heterocyclyl(R₈)(R₁₂), -aryl(R₈)(R₁₂), and -heteroaryl(R₈)(R₁₂).
28. The targeting ligand of claim 1 wherein R_{2a} is selected from the group consisting of -cycloalkyl(R₇)(R₁₁), -heterocyclyl(R₈)(R₁₂), -aryl(R₈)(R₁₂), and -heteroaryl(R₈)(R₁₁).
29. The targeting ligand of claim 1 wherein R_{2a} is selected from the group consisting of -cycloalkyl(R₇)(R₁₁), -heterocyclyl(R₈)(R₁₂), -phenyl(R₈)(R₁₂), -naphthalenyl(R₈)(R₁₂), and -heteroaryl(R₈)(R₁₁).
30. The targeting ligand claim 1 wherein R_{2a} is selected from the group consisting of -tetrahydropyrimidinyl(R₈)(R₁₂), -1,3-benzodioxolyl(R₈)(R₁₂),

-dihydrobenzofuranyl(R₈)(R₁₂), -tetrahydroquinoliny(R₈)(R₁₂),
 -phenyl(R₈)(R₁₂), -naphthalenyl(R₈)(R₁₂), -pyridinyl(R₈)(R₁₂),
 -pyrimidinyl(R₈)(R₁₂), and -quinoliny(R₈)(R₁₂).

- 5 31. The targeting ligand of claim 1 wherein R₁₁ is selected from the group
 consisting of -C₁₋₈alkyl(R₁₄), -O-C₁₋₈alkyl(R₁₄), -NH-C₁₋₈alkyl(R₁₄),
 -S-C₁₋₈alkyl(R₁₄), -C(=O)C₁₋₈alkyl(R₁₄), -O-C(=O)C₁₋₈alkyl(R₁₄),
 -NH-C(=O)C₁₋₈alkyl(R₁₄), -C(=O)OC₁₋₈alkyl(R₁₄), -C(=O)NHC₁₋₈alkyl(R₁₄),
 -O-C(=O)OC₁₋₈alkyl(R₁₄), -O-C(=O)NHC₁₋₈alkyl(R₁₄),
 10 -O-C(=O)C₁₋₈alkylC(=O)(R₁₄),
 -NH-C(=O)C₁₋₈alkylC(=O)(R₁₄), -C(=O)OC₁₋₈alkylC(=O)(R₁₄),
 -O-C(=O)OC₁₋₈alkylC(=O)(R₁₄), -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₄),
 -C(=O)NHC₁₋₈alkylC(=O)(R₁₄), -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₄),
 -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₄),
 15 -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 20 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 and -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄).
- 25 32. The targeting ligand of claim 1 wherein R₁₁ is selected from the group
 consisting of -C₁₋₈alkyl(R₁₄), -O-C₁₋₈alkyl(R₁₄), -NH-C₁₋₈alkyl(R₁₄),
 -S-C₁₋₈alkyl(R₁₄), -C(=O)C₁₋₈alkyl(R₁₄), -O-C(=O)C₁₋₈alkyl(R₁₄),
 -NH-C(=O)C₁₋₈alkyl(R₁₄), -C(=O)OC₁₋₈alkyl(R₁₄), -C(=O)NHC₁₋₈alkyl(R₁₄),
 -O-C(=O)OC₁₋₈alkyl(R₁₄), -O-C(=O)NHC₁₋₈alkyl(R₁₄),
 -O-C(=O)C₁₋₈alkylC(=O)(R₁₄), -NH-C(=O)C₁₋₈alkylC(=O)(R₁₄),
 30 -C(=O)OC₁₋₈alkylC(=O)(R₁₄), -O-C(=O)OC₁₋₈alkylC(=O)(R₁₄),
 -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₄), -C(=O)NHC₁₋₈alkylC(=O)(R₁₄),
 -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₄), and -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₄).

33. The targeting ligand of claim 1 wherein R_{12} is selected from the group consisting of $-C_{1-6}\text{alkyl}(R_{14})$, $-O-C_{1-6}\text{alkyl}(R_{14})$, $-NH-C_{1-4}\text{alkyl}(R_{14})$, $-S-C_{1-6}\text{alkyl}(R_{14})$, $-CH_2O-C_{1-6}\text{alkyl}(R_{14})$, $-CH_2NH-C_{1-6}\text{alkyl}(R_{14})$, $-CH_2S-C_{1-6}\text{alkyl}(R_{14})$, $-C(=O)C_{1-6}\text{alkyl}(R_{14})$, $-O-C(=O)C_{1-6}\text{alkyl}(R_{14})$, $-NH-C(=O)C_{1-8}\text{alkyl}(R_{14})$, $-CH_2O-C(=O)C_{1-8}\text{alkyl}(R_{14})$, $-CH_2NH-C(=O)C_{1-6}\text{alkyl}(R_{14})$, $-C(=O)OC_{1-6}\text{alkyl}(R_{14})$, $-C(=O)NHC_{1-6}\text{alkyl}(R_{14})$, $-O-C(=O)OC_{1-6}\text{alkyl}(R_{14})$, $-O-C(=O)NHC_{1-6}\text{alkyl}(R_{14})$, $-NH-C(=O)OC_{1-6}\text{alkyl}(R_{14})$, $-NH-C(=O)NHC_{1-6}\text{alkyl}(R_{14})$, $-NH-C(=O)C_{1-6}\text{alkyl}C(=O)(R_{14})$, $-CH_2O-C(=O)C_{1-8}\text{alkyl}C(=O)(R_{14})$, $-NH-C(=O)NHC_{1-8}\text{alkyl}C(=O)(R_{14})$, $-CH_2O-C(=O)NHC_{1-8}\text{alkyl}C(=O)(R_{14})$, $-CH_2NH-C(=O)NHC_{1-8}\text{alkyl}C(=O)(R_{14})$, $-OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, $-NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, $-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-SO_2CH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-CH_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-CH_2SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{14})$, $-CH_2OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, $-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, $-NH(C=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, $-NHC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$, $-NHC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{14})$,

PRD-0026 CIP

- CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
- CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄), and
- CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄).

5

34. The targeting ligand of claim 1 wherein q is 1, 2 or 3.
35. The targeting ligand claim 1 wherein Z is selected from the group consisting of hydroxy, -NH₂, -NH-C₁₋₈alkyl, -N(C₁₋₈alkyl)₂, -O-C₁₋₈alkyl, -O-C₁₋₈alkyl-OH, -O-C₁₋₈alkylC₁₋₄alkoxy, -O-C₁₋₈alkylcarbonylC₁₋₄alkyl, -O-C₁₋₈alkyl-CO₂H, -O-C₁₋₈alkyl-C(O)O-C₁₋₆alkyl, C₁₋₈alkyl-OC(O)-C₁₋₆alkyl, -O-C₁₋₈alkyl-NH₂, -O-C₁₋₈alkyl-NH-C₁₋₈alkyl, -O-C₁₋₈alkyl-N(C₁₋₈alkyl)₂, -O-C₁₋₈alkylamide, C₁₋₈alkyl-C(O)-NH-C₁₋₈alkyl, -O-C₁₋₈alkyl-C(O)-N(C₁₋₈alkyl)₂ and -NHC(O)C₁₋₈alkyl.

10

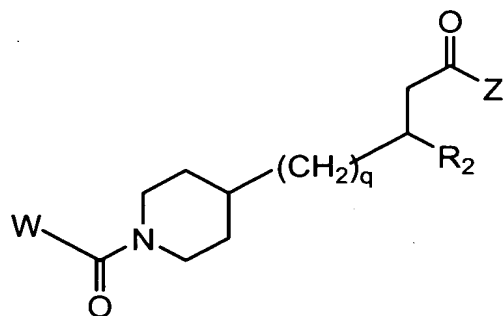
15

36. The targeting ligand of claim 1 wherein the targeting ligand is conjugated to an radioactive element.
37. The targeting ligand of claim 1 wherein the targeting ligand is conjugated to an imagining agent.
38. The targeting ligand of claim 37 wherein the imagining agent is selected from the group consisting of ⁹⁹Tc, ¹²⁵I, ¹⁸F, ¹¹C, and ⁶⁴Cu.

20

25

39. A targeting ligand of Formula (I):



Formula (I)

PRD-0026 CIP

wherein

W is selected from the group consisting of -C₀₋₄alkyl(R₁) and -C₀₋₄alkyl-phenyl(R₁,R₈);

R₁ is -NH(R₆);

- 5 R_{2a} is selected from the group consisting of -tetrahydropyrimidinyl(R₈)(R₁₂),
-1,3-benzodioxolyl(R₈)(R₁₂), -dihydrobenzofuranyl(R₈)(R₁₂),
-tetrahydroquinolinyl(R₈)(R₁₂), -phenyl(R₈)(R₁₂), -naphthalenyl(R₈)(R₁₂),
-pyridinyl(R₈)(R₁₂), -pyrimidinyl(R₈)(R₁₂), and -quinolinyl(R₈)(R₁₂).

10

R₆ is selected from the group consisting of -dihydroimidazolyl(R₈),
-tetrahydropyridinyl(R₈), -tetrahydropyrimidinyl(R₈) and -pyridinyl(R₈);

15

R₈ is one to four substituents independently selected from the group consisting of
hydrogen and -C₁₋₄alkyl(R₉) when attached to a nitrogen atom; and, wherein R₈ is
one to four substituents independently selected from the group consisting of
hydrogen, -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉), -O-aryl(R₁₀) and hydroxy when attached
to a carbon atom;

20

R₉ is selected from the group consisting of hydrogen, -C₁₋₄alkoxy, -NH₂, -NH-C₁₋₄alkyl,
-N(C₁₋₄alkyl)₂, (halo)₁₋₃ and hydroxy;

25

R₁₀ is independently selected from the group consisting of hydrogen, -C₁₋₄alkyl,
-C₁₋₄alkoxy, -C(=O)H, -C(=O)-C₁₋₄alkyl, -CO₂H, -CO₂-C₁₋₄alkyl, -NH₂,
-NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, halo, hydroxy, nitro and oxo when attached to a
carbon atom;

q is 1, 2 or 3;

30

R₁₂ is selected from the group consisting of -C₁₋₆alkyl(R₁₄), -O-C₁₋₆alkyl(R₁₄),
-NH-C₁₋₄alkyl(R₁₄), -S-C₁₋₆alkyl(R₁₄), -CH₂O-C₁₋₆alkyl(R₁₄),
-CH₂NH-C₁₋₆alkyl(R₁₄), -CH₂S-C₁₋₆alkyl(R₁₄), -C(=O)C₁₋₆alkyl(R₁₄),

PRD-0026 CIP

- O-C(=O)C₁₋₆alkyl(R₁₄), -NH-C(=O)C₁₋₈alkyl(R₁₄),
 -CH₂O-C(=O)C₁₋₈alkyl(R₁₄), -CH₂NH-C(=O)C₁₋₆alkyl(R₁₄),
 -C(=O)OC₁₋₆alkyl(R₁₄), -C(=O)NHC₁₋₆alkyl(R₁₄),
 -O-C(=O)OC₁₋₆alkyl(R₁₄), -O-C(=O)NHC₁₋₆alkyl(R₁₄),
 5 -NH-C(=O)OC₁₋₆alkyl(R₁₄), -NH-C(=O)NHC₁₋₆alkyl(R₁₄),
 -NH-C(=O)C₁₋₆alkylC(=O)(R₁₄), -CH₂O-C(=O)C₁₋₈alkylC(=O)(R₁₄),
 -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₄), -CH₂O-C(=O)NHC₁₋₈alkylC(=O)(R₁₄),
 -CH₂NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₄),
 -OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 10 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 15 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 20 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₄),
 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 25 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 -CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄),
 30 -CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄), and
 -CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₄);

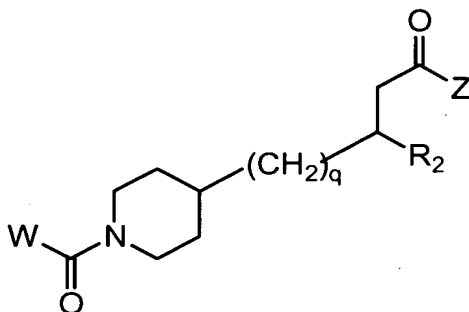
PRD-0026 CIP

R_{14} when R_{11} and R_{12} terminates with a $C(=O)$ is selected from the group consisting of hydrogen, OH, , $-OC_{1-4}alkyl$ and NH_2 ; otherwise R_{14} is selected from the group consisting of $-OH$, $-SH$, $COOH$, and $-COOC_{1-4}alkyl$;

5 Z is selected from the group consisting hydroxy, $-NH_2$, $-NH-C_{1-8}alkyl$, $-N(C_{1-8}alkyl)_2$, $O-C_{1-8}alkyl-OH$, $-O-C_{1-8}alkylC_{1-8}alkoxy$, $-O-C_{1-8}alkylcarbonylC_{1-8}alkyl$, $-O-C_{1-8}alkyl-CO_2H$, $-O-C_{1-8}alkyl-C(O)O-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-O-C(O)C_{1-8}alkyl$, $-O-C_{1-8}alkyl-NH_2$, $-O-C_{1-8}alkyl-NH-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-N(C_{1-8}alkyl)_2$, $-O-C_{1-8}alkylamide$, $-O-C_{1-8}alkyl-C(O)-NH-C_{1-8}alkyl$, $-O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)_2$ and $-NHC(O)C_{1-8}alkyl$;

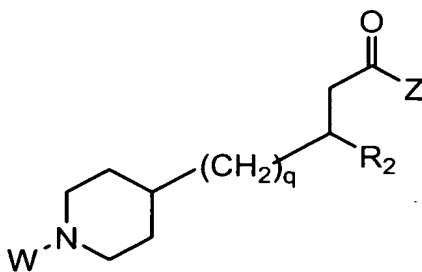
and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

40. A targeting conjugate having a formula selected from the group consisting of
15 Formula (I):



Formula (I)

and Formula (II):



Formula (II)

wherein

PRD-0026 CIP

W is selected from the group consisting of -C₀₋₆alkyl(R₁), -C₁₋₆alkyl(R_{1a}),
-C₀₋₆alkyl-aryl(R₁,R₈), -C₀₋₆alkyl-heterocyclyl(R₁,R₈), -C₀₋₆alkoxy(R₁),
-C₀₋₆alkoxy-aryl(R₁,R₈), and -C₀₋₆alkoxy-heterocyclyl(R₁,R₈);

5 R₁ is selected from the group consisting of hydrogen, -N(R₄)₂, -N(R₄)(R₅), -N(R₄)(R₆),
-heterocyclyl(R₈) and -heteroaryl(R₈);

R_{1a} is selected from the group consisting of -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂,
-C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄,
10 -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄,
-C(=N-R₄)-N(R₄)-SO₂-C₁₋₈alkyl(R₇) and -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂;

R₄ is selected from the group consisting of hydrogen and -C₁₋₈alkyl(R₇);

15 R₅ is selected from the group consisting of -C(=O)-R₄, -C(=O)-N(R₄)₂,
-C(=O)-cycloalkyl(R₈), -C(=O)-heterocyclyl(R₈), -C(=O)-aryl(R₈),
-C(=O)-heteroaryl(R₈), -C(=O)-N(R₄)-cycloalkyl(R₈), -C(=O)-N(R₄)-aryl(R₈),
-CO₂-R₄, -CO₂-cycloalkyl(R₈), -CO₂-aryl(R₈), -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂,
-C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄,
20 -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄,
-C(=N-R₄)-N(R₄)-SO₂-C₁₋₈alkyl(R₇), -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂,
-N(R₄)-C(R₄)(=N-R₄), -N(R₄)-C(=N-R₄)-N(R₄)₂, -N(R₄)-C(=N-R₄)-N(R₄)(R₆),
-N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂,
-N(R₄)-C(=N-R₄)-N(R₄)-CO₂-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-C₁₋₈alkyl(R₇),
25 -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂, -SO₂-C₁₋₈alkyl(R₇), -SO₂-N(R₄)₂,
-SO₂-cycloalkyl(R₈) and -SO₂-aryl(R₈);

R₆ is selected from the group consisting of -cycloalkyl(R₈), -heterocyclyl(R₈), -aryl(R₈)
and -heteroaryl(R₈);

30

R₇ is one to two substituents independently selected from the group consisting of
hydrogen, -C₁₋₈alkoxy(R₉), -NH₂, -NH-C₁₋₈alkyl(R₉), -N(C₁₋₈alkyl(R₉))₂, -C(=O)H,

-C(=O)-C₁₋₈alkyl(R₉), -C(=O)-NH₂, -C(=O)-NH-C₁₋₈alkyl(R₉),
 -C(=O)-N(C₁₋₈alkyl(R₉))₂, -C(=O)-NH-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀),
 -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀), -CO₂H,
 -CO₂-C₁₋₈alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂, -SH, -S-C₁₋₈alkyl(R₉),
 5 -S-C₁₋₈alkyl-S-C₁₋₈alkyl(R₉), -S-C₁₋₈alkyl-C₁₋₈alkoxy(R₉),
 -S-C₁₋₈alkyl-NH-C₁₋₈alkyl(R₉), -SO₂-C₁₋₈alkyl(R₉), -SO₂-NH₂,
 -SO₂-NH-C₁₋₈alkyl(R₉), -SO₂-N(C₁₋₈alkyl(R₉))₂, -SO₂-aryl(R₁₀), cyano, (halo)₁₋₃,
 hydroxy, nitro, oxo, -cycloalkyl(R₁₀), -heterocyclyl(R₁₀), -aryl(R₁₀) and
 -heteroaryl(R₁₀);

10

R₈ is one to four substituents independently selected from the group consisting of
 hydrogen, -C₁₋₈alkyl(R₉), -C(=O)H, -C(=O)-C₁₋₈alkyl(R₉), -C(=O)-NH₂,
 -C(=O)-NH-C₁₋₈alkyl(R₉), -C(=O)-N(C₁₋₈alkyl(R₉))₂, -C(=O)-NH-aryl(R₁₀),
 -C(=O)-cycloalkyl(R₁₀), -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀),
 15 -C(=O)-heteroaryl(R₁₀), -CO₂H, -CO₂-C₁₋₈alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂,
 -SO₂-C₁₋₈alkyl(R₉), -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl(R₉), -SO₂-N(C₁₋₈alkyl(R₉))₂,
 -SO₂-aryl(R₁₀), -cycloalkyl(R₁₀) and -aryl(R₁₀) when attached to a nitrogen atom;
 and, wherein R₈ is one to four substituents independently selected from the group
 consisting of hydrogen, -C₁₋₈alkyl(R₉), -C₁₋₈alkoxy(R₉), -O-cycloalkyl(R₁₀),
 20 -O-aryl(R₁₀), -C(=O)H, -C(=O)-C₁₋₈alkyl(R₉), -NHC(=O)-C₁₋₈alkyl(R₉),
 -C(=O)-NH₂, -C(=O)-NH-C₁₋₈alkyl(R₉), -C(=O)-N(C₁₋₈alkyl(R₉))₂,
 -C(=O)-NH-aryl(R₁₀), -NHC(=O)-NH₂, -NHC(=O)-NH-C₁₋₈alkyl(R₉),
 -NHC(=O)-N(C₁₋₈alkyl(R₉))₂, -NHC(=O)-NH-aryl(R₁₀),
 -NHC(=O)-O-C₁₋₈alkyl(R₉), -NHC(=O)-O-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀),
 25 -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀),
 -NHC(=O)-cycloalkyl(R₁₀), -NHC(=O)-heterocyclyl(R₁₀), -NHC(=O)-aryl(R₁₀),
 -NHC(=O)-heteroaryl(R₁₀), -CO₂H, -CO₂-C₁₋₈alkyl(R₉), -CO₂-aryl(R₁₀),
 -C(=NH)-NH₂, -SO₂-C₁₋₈alkyl(R₉), -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl(R₉),
 -SO₂-N(C₁₋₈alkyl(R₉))₂, -SO₂-aryl(R₁₀), -NHSO₂-C₁₋₈alkyl(R₉), -NHSO₂-aryl(R₁₀),
 30 -SH, -S-C₁₋₈alkyl(R₉), -S-C₁₋₈alkyl-S-C₁₋₈alkyl(R₉), -S-C₁₋₈alkyl-C₁₋₈alkoxy(R₉),
 -S-C₁₋₈alkyl-NH-C₁₋₈alkyl(R₉), -NH₂, -NH-C₁₋₈alkyl(R₉), -N(C₁₋₈alkyl(R₉))₂, cyano,
 halo, hydroxy, nitro, oxo, -cycloalkyl(R₁₀), -heterocyclyl(R₁₀), -aryl(R₁₀), and

PRD-0026 CIP

-heteroaryl(R₁₀) when attached to a carbon atom;

R₉ is selected from the group consisting of hydrogen, -C₁₋₈alkoxy, -NH₂, -NH-C₁₋₈alkyl, -N(C₁₋₈alkyl)₂, -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₈alkyl, -C(=O)-N(C₁₋₈alkyl)₂,
5 -CO₂H, -CO₂-C₁₋₈alkyl, -SO₂-C₁₋₈alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl,
-SO₂-N(C₁₋₈alkyl)₂, cyano, (halo)₁₋₃, hydroxy, nitro and oxo;

R₁₀ is one to four substituents independently selected from the group consisting of hydrogen, -C₁₋₈alkyl, -C(=O)H, -C(=O)-C₁₋₈alkyl, -C(=O)-NH₂,

10 -C(=O)-NH-C₁₋₈alkyl, -C(=O)-N(C₁₋₈alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl,
-SO₂-C₁₋₈alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl and -SO₂-N(C₁₋₈alkyl)₂ when
attached to a nitrogen atom; and, wherein R₁₀ is one to four substituents

independently selected from the group consisting of hydrogen, -C₁₋₈alkyl,
-C₁₋₈alkoxy, -C(=O)H, -C(=O)-C₁₋₈alkyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₈alkyl,
15 -C(=O)-N(C₁₋₈alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₈alkyl, -SO₂-NH₂,
-SO₂-NH-C₁₋₈alkyl, -SO₂-N(C₁₋₈alkyl)₂, -NH₂, -NH-C₁₋₈alkyl, -N(C₁₋₈alkyl)₂, cyano,
halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

20 R_{2a} is selected from the group consisting of -C₁₋₈alkyl(R₇)(R₁₁), -C₂₋₈alkenyl(R₇)(R₁₁),
-C₂₋₈alkynyl(R₇)(R₁₁), -cycloalkyl(R₇)(R₁₁), -heterocyclyl(R₈)(R₁₂), -aryl(R₈)(R₁₂) and
-heteroaryl(R₈)(R₁₂);

25 R₁₁ is selected from the group consisting of -C₁₋₈alkyl(R₁₃),
-O-C₁₋₈alkyl(R₁₃), -NH-C₁₋₈alkyl(R₁₃), -S-C₁₋₈alkyl(R₁₃), -C(=O)C₁₋₈alkyl(R₁₃),
-O-C(=O)C₁₋₈alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃), -C(=O)OC₁₋₈alkyl(R₁₃),
-C(=O)NHC₁₋₈alkyl(R₁₃), -O-C(=O)OC₁₋₈alkyl(R₁₃),
-O-C(=O)NHC₁₋₈alkyl(R₁₃), -NH-C(=O)OC₁₋₈alkyl(R₁₃),
30 -NH-C(=O)NHC₁₋₈alkyl(R₁₃), -C(=O)C₁₋₈alkylC(=O)(R₁₃),
-O-C(=O)C₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)C₁₋₈alkylC(=O)(R₁₃),
-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)OC₁₋₈alkylC(=O)(R₁₃),

PRD-0026 CIP

- NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
- O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
- OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- 5 -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- SCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- OC(=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- 10 -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- 15 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- 20 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and
- 25 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃);

R₁₂ is selected from the group consisting of -C₁₋₈alkyl(R₁₃), -O-C₁₋₈alkyl(R₁₃),

- NH-C₁₋₈alkyl(R₁₃), -S-C₁₋₈alkyl(R₁₃), -CH₂O-C₁₋₈alkyl(R₁₃),
- CH₂NH-C₁₋₈alkyl(R₁₃), -CH₂S-C₁₋₈alkyl(R₁₃), -C(=O)C₁₋₈alkyl(R₁₃),
- 30 -O-C(=O)C₁₋₈alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃),
- CH₂O-C(=O)C₁₋₈alkyl(R₁₃), -CH₂NH-C(=O)C₁₋₈alkyl(R₁₃),
- C(=O)OC₁₋₈alkyl(R₁₃), -C(=O)NHC₁₋₈alkyl(R₁₃),

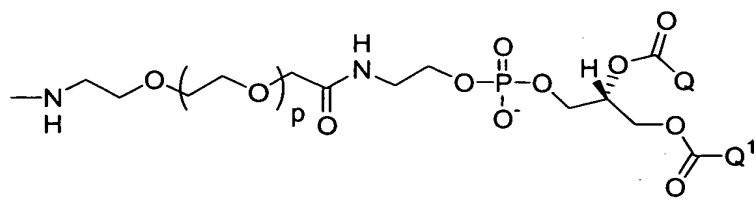
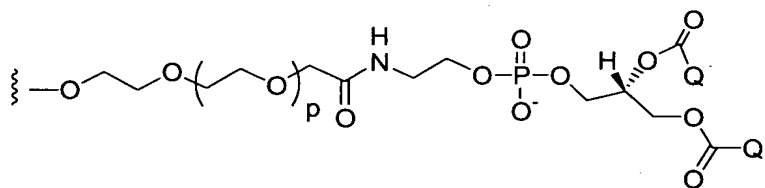
PRD-0026 CIP

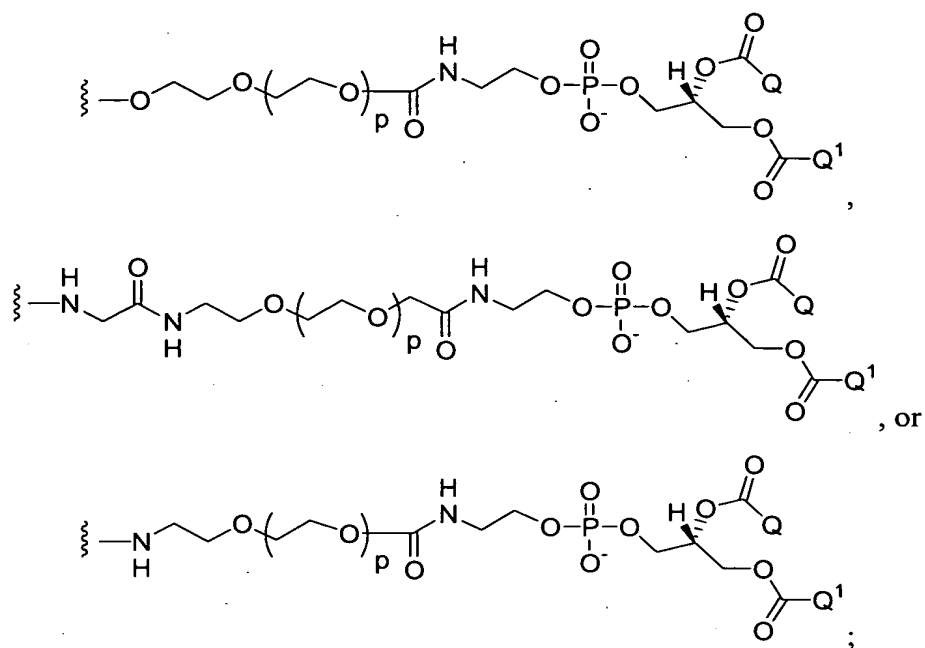
- O-C(=O)OC₁₋₈alkyl(R₁₃), -O-C(=O)NHC₁₋₈alkyl(R₁₃),
 -NH-C(=O)OC₁₋₈alkyl(R₁₃), -NH-C(=O)NHC₁₋₈alkyl(R₁₃),
 -CH₂O-C(=O)OC₁₋₈alkyl(R₁₃), -CH₂O-C(=O)NHC₁₋₈alkyl(R₁₃),
 -CH₂NH-C(=O)OC₁₋₈alkyl(R₁₃), -CH₂NH-C(=O)NHC₁₋₈alkyl(R₁₃),
 5 -C(=O)C₁₋₈alkylC(=O)(R₁₃), -O-C(=O)C₁₋₈alkylC(=O)(R₁₃),
 -NH-C(=O)C₁₋₈alkylC(=O)(R₁₃), -CH₂O-C(=O)C₁₋₈alkylC(=O)(R₁₃),
 -CH₂NH-C(=O)C₁₋₈alkylC(=O)(R₁₃), -C(=O)OC₁₋₈alkylC(=O)(R₁₃),
 -O-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃),
 -CH₂O-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -CH₂NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃),
 10 -C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -CH₂O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -CH₂NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 15 -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -SCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -OC(=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 20 -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 25 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 30 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),

PRD-0026 CIP

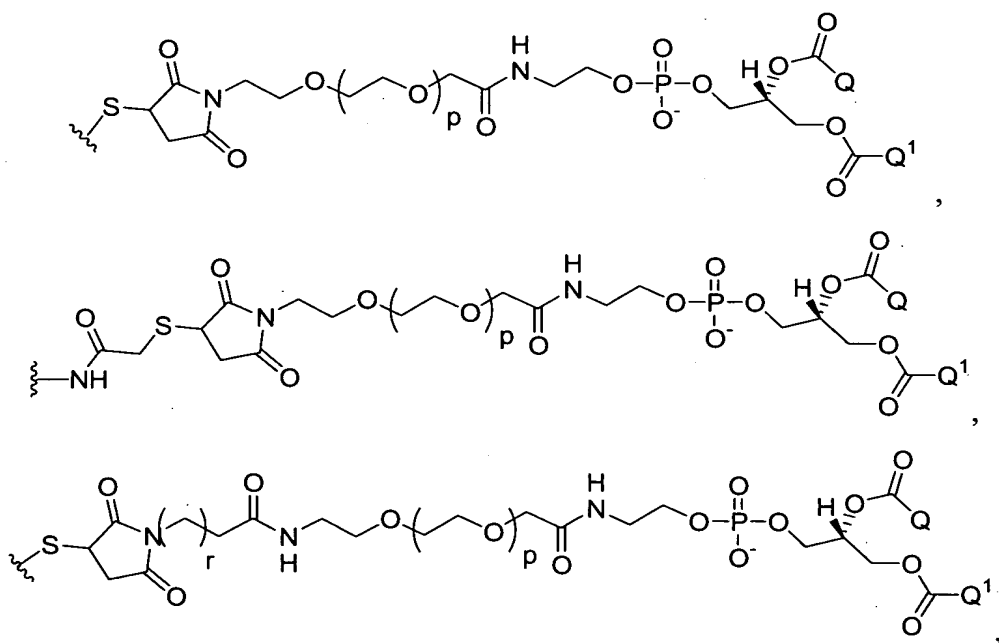
- CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- 5 -CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- 10 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- 15 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- 20 -CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and
- CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃);

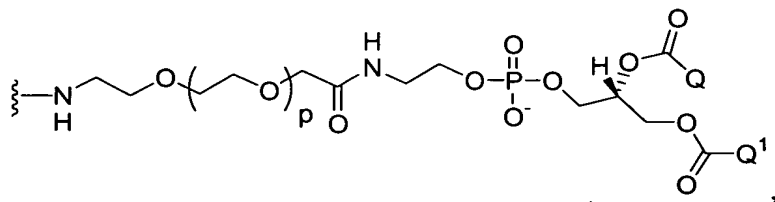
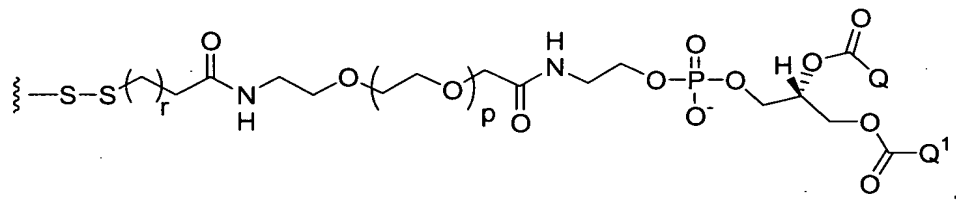
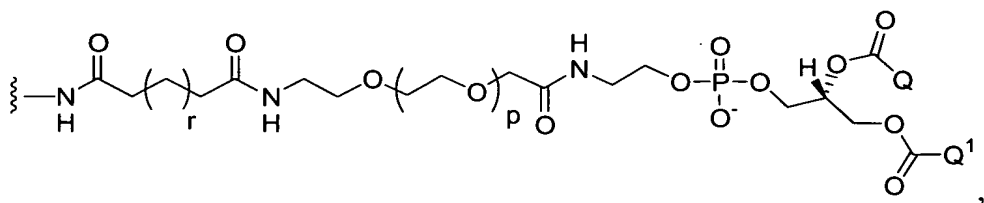
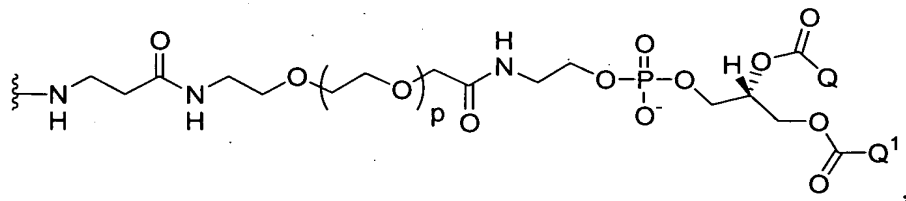
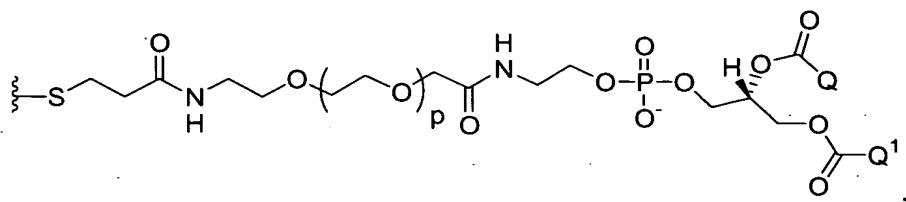
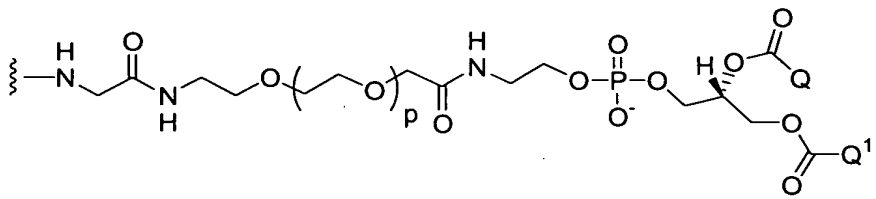
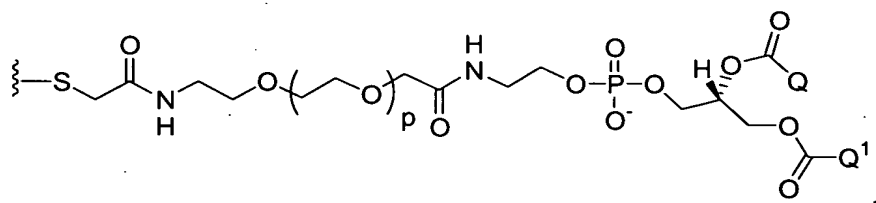
wherein when R₁₁ or R₁₂ terminates with a -C(=O)-, R₁₃ is selected from



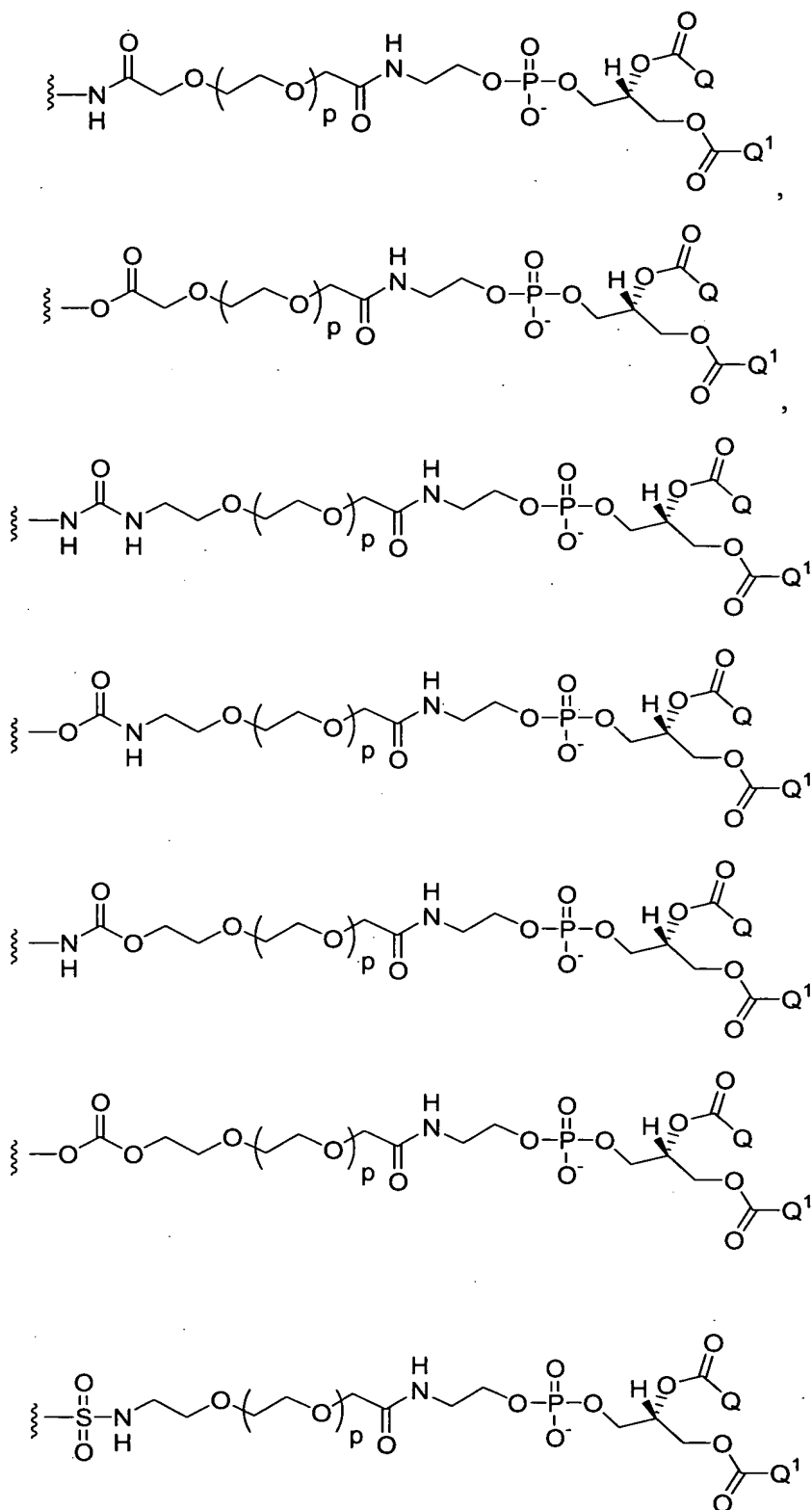


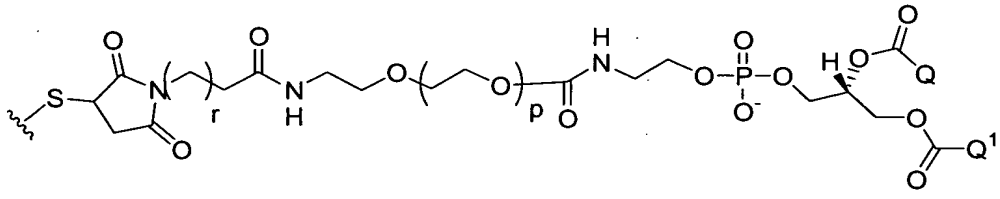
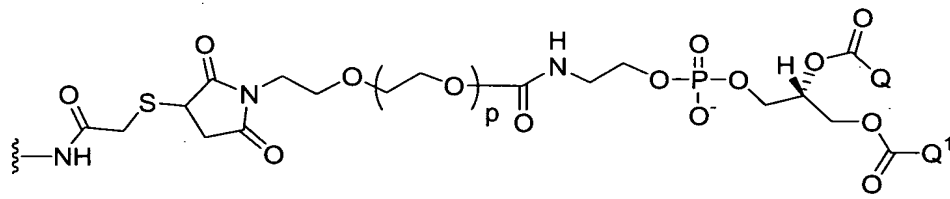
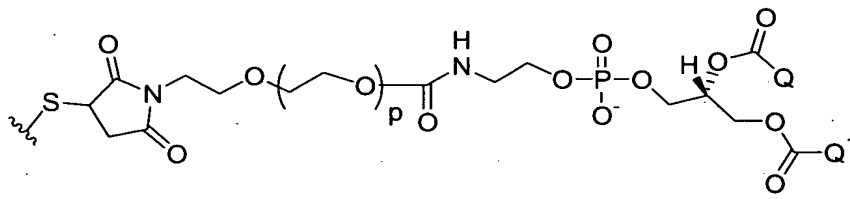
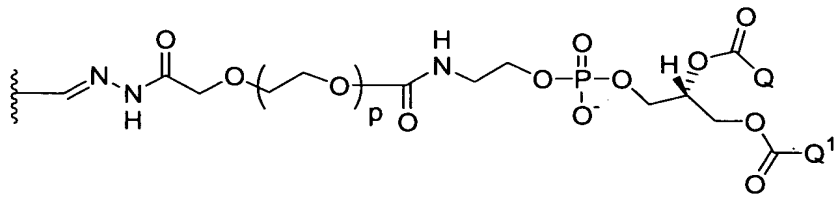
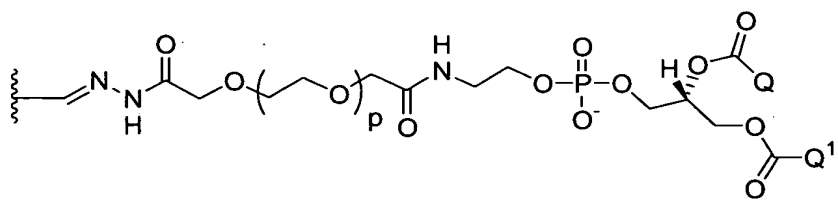
- 5 and when R₁₁ or R₁₂ does not terminate with a -C(=O)-, R₁₃ is selected from the group consisting of



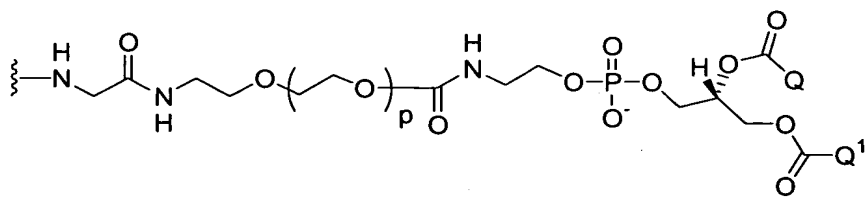
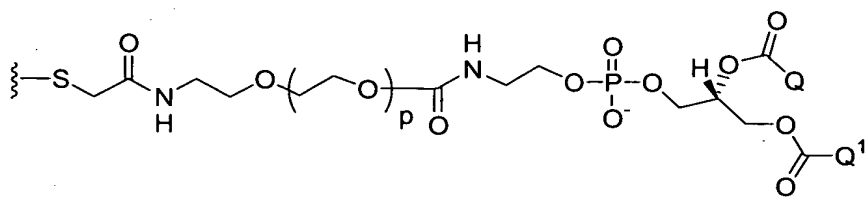


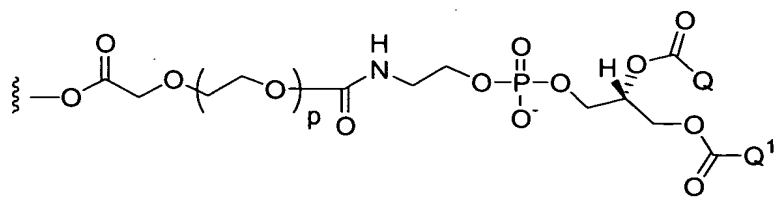
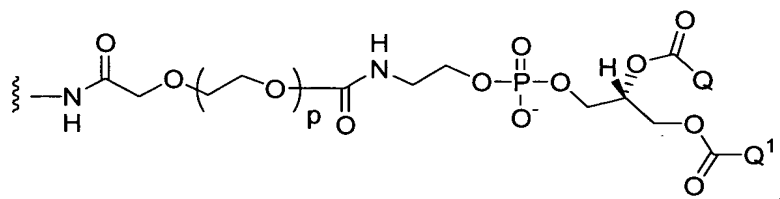
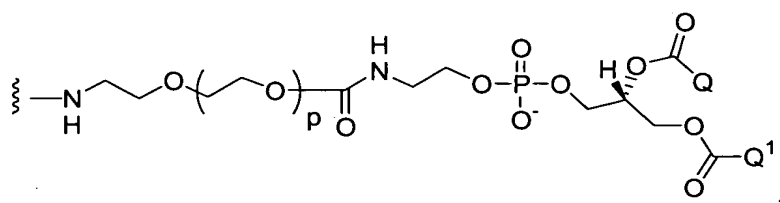
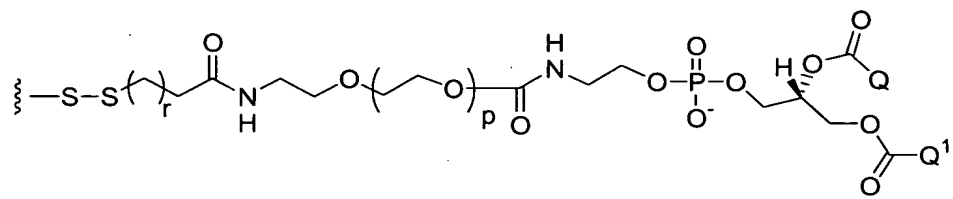
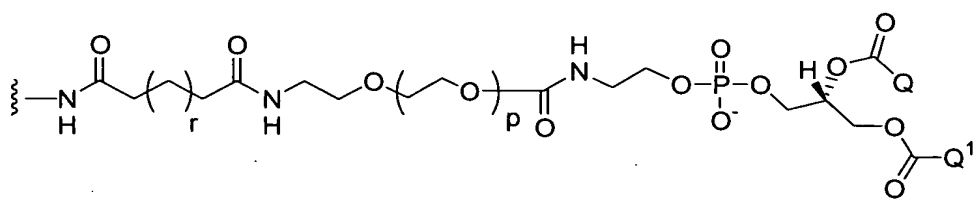
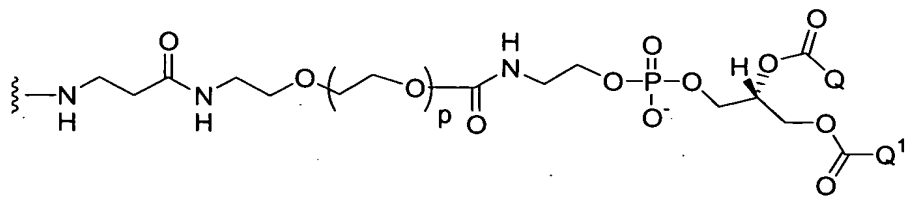
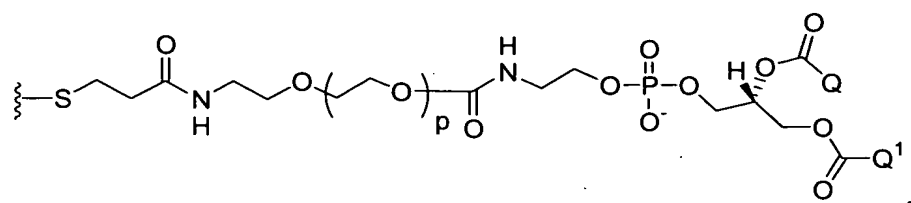
5



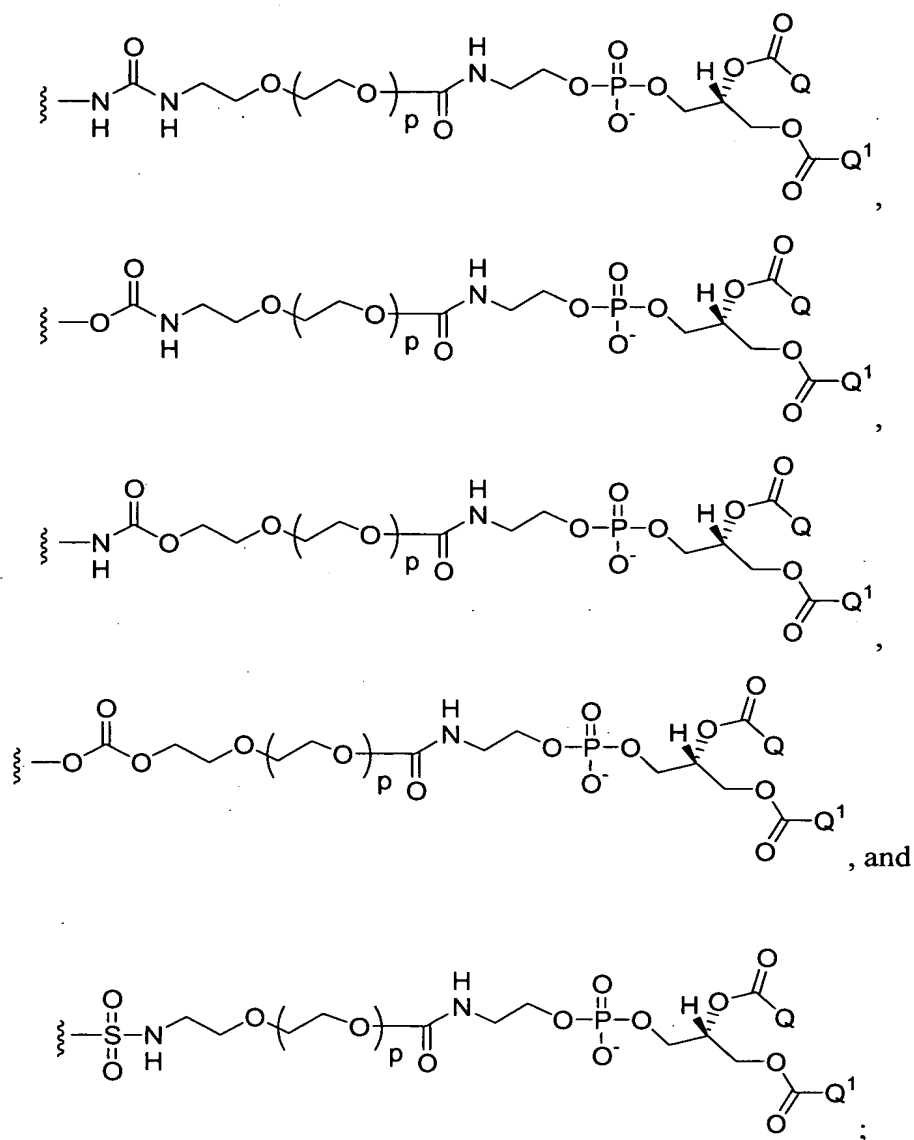


5





5



wherein the unit $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$ or $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p$ of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and are selected from the group consisting of

PRD-0026 CIP

the C₁₁ saturated chain of lauric acid,
the C₁₃ saturated chain of myristic acid,
the C₁₅ saturated chain of palmitic acid,
the C₁₇ saturated chain of stearic acid,
5 the C₁₇ mono-unsaturated chain of oleic acid, and
the C₁₇ di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, -NH₂, -NH-C₁₋₈alkyl,
-N(C₁₋₈alkyl)₂, -O-C₁₋₈alkyl, -O-C₁₋₈alkyl-OH, -O-C₁₋₈alkyl-C₁₋₈alkoxy, -
10 O-C₁₋₈alkylcarbonylC₁₋₈alkyl, -O-C₁₋₈alkyl-CO₂H, -O-C₁₋₈alkyl-C(O)O-C₁₋₈alkyl, -
O-C₁₋₈alkyl-O-C(O)C₁₋₈alkyl, -O-C₁₋₈alkyl-NH₂, -O-C₁₋₈alkyl-NH-C₁₋₈alkyl, -
O-C₁₋₈alkyl-N(C₁₋₈alkyl)₂, -O-C₁₋₈alkylamide, -O-C₁₋₈alkyl-C(O)-NH-C₁₋₈alkyl, -
O-C₁₋₈alkyl-C(O)-N(C₁₋₈alkyl)₂, and -NHC(O)C₁₋₈alkyl;

15 and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

41. The targeting conjugate of claim 40 wherein W is selected from the group
consisting of -C₀₋₄alkyl(R₁) and -C₀₋₄alkyl-aryl(R₁,R₈).

20 42. The targeting conjugate of claim 40 wherein W is -C₀₋₄alkyl(R₁) or
-C₀₋₄alkyl-phenyl(R₁,R₈).

43. The targeting conjugate of claim 40 wherein R₁ is selected from the group
consisting of -N(R₄)(R₆), -heterocyclyl(R₈) and -heteroaryl(R₈).

25 44. The targeting conjugate of claim 40 wherein R₁ is selected from the group
consisting of -N(R₄)(R₆), -dihydro-1*H*-pyrrolo[2,3-*b*]pyridinyl(R₈),
-tetrahydropyrimidinyl(R₈), -tetrahydro-1,8-naphthyridinyl(R₈),
-tetrahydro-1*H*-azepino[2,3-*b*]pyridinyl(R₈) and -pyridinyl(R₈).

30 45. The targeting conjugate of claim 40 wherein R₁ is selected from the group
consisting of -N(R₄)(R₆), -tetrahydropyrimidinyl(R₈) and

-tetrahydro-1,8-naphthyridinyl(R₈).

46. The targeting conjugate of claim 40 wherein R_{1a} is selected from the group consisting of -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆),
5 -C(=N-R₄)-N(R₄)-C(=O)-R₄, -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂,
-C(=N-R₄)-N(R₄)-CO₂-R₄, -C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇) and
-C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂.
47. The targeting conjugate of claim 40 wherein R₄ is selected from the group
10 consisting of hydrogen and -C₁₋₄alkyl(R₇).
48. The targeting conjugate of claim 40 wherein R₄ is hydrogen.
49. The targeting conjugate of claim 40 wherein R₅ is selected from the group
15 consisting of -C(=O)-R₄, -C(=O)-N(R₄)₂, -C(=O)-cycloalkyl(R₈),
-C(=O)-heterocyclyl(R₈), -C(=O)-aryl(R₈), -C(=O)-heteroaryl(R₈),
-C(=O)-N(R₄)-cycloalkyl(R₈), -C(=O)-N(R₄)-aryl(R₈), -CO₂-R₄,
-CO₂-cycloalkyl(R₈), -CO₂-aryl(R₈), -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂,
-C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄,
20 -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄,
-C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇), -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂,
-N(R₄)-C(R₄)(=N-R₄), -N(R₄)-C(=N-R₄)-N(R₄)₂, -N(R₄)-C(=N-R₄)-N(R₄)(R₆),
-N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂,
-N(R₄)-C(=N-R₄)-N(R₄)-CO₂-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇),
25 -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂, -SO₂-C₁₋₄alkyl(R₇), -SO₂-N(R₄)₂,
-SO₂-cycloalkyl(R₈) and -SO₂-aryl(R₈).
50. The targeting conjugate of claim 40 wherein R₅ is selected from the group
30 consisting of -C(=O)-R₄, -C(=O)-N(R₄)₂, -CO₂-R₄, -C(R₄)(=N-R₄),
-C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆), -N(R₄)-C(R₄)(=N-R₄),
-N(R₄)-C(=N-R₄)-N(R₄)₂, -N(R₄)-C(=N-R₄)-N(R₄)(R₆), -SO₂-C₁₋₄alkyl(R₇) and
-SO₂-N(R₄)₂.

51. The targeting conjugate of claim 40 wherein R_6 is selected from the group consisting of -heterocyclyl(R_8) and -heteroaryl(R_8).
- 5 52. The targeting conjugate of claim 40 wherein R_6 is selected from the group consisting of -dihydroimidazolyl(R_8), -tetrahydropyridinyl(R_8), -tetrahydropyrimidinyl(R_8) and -pyridinyl(R_8).
- 10 53. The targeting conjugate of claim 40 wherein R_7 is one to two substituents independently selected from the group consisting of hydrogen, - C_{1-4} alkoxy(R_9), - NH_2 , - $NH-C_{1-4}$ alkyl(R_9), - $N(C_{1-4}$ alkyl(R_9)) $_2$, - $C(=O)H$, - $C(=O)-C_{1-4}$ alkyl(R_9), - $C(=O)-NH_2$, - $C(=O)-NH-C_{1-4}$ alkyl(R_9), - $C(=O)-N(C_{1-4}$ alkyl(R_9)) $_2$, - $C(=O)-NH$ -aryl(R_{10}), - $C(=O)$ -cycloalkyl(R_{10}), - $C(=O)$ -heterocyclyl(R_{10}), - $C(=O)$ -aryl(R_{10}), - $C(=O)$ -heteroaryl(R_{10}), - CO_2H , - CO_2-C_{1-4} alkyl(R_9), - CO_2 -aryl(R_{10}), - $C(=NH)-NH_2$, - SH , - $S-C_{1-4}$ alkyl(R_9), - $S-C_{1-4}$ alkyl- $S-C_{1-4}$ alkyl(R_9), - $S-C_{1-4}$ alkyl- C_{1-4} alkoxy(R_9), - $S-C_{1-4}$ alkyl- $NH-C_{1-4}$ alkyl(R_9), - SO_2-C_{1-4} alkyl(R_9), - SO_2-NH_2 , - SO_2-NH-C_{1-4} alkyl(R_9), - $SO_2-N(C_{1-4}$ alkyl(R_9)) $_2$, - SO_2 -aryl(R_{10}), cyano, (halo) $_{1-3}$, hydroxy, nitro, oxo, -cycloalkyl(R_{10}), -heterocyclyl(R_{10}), -aryl(R_{10}) and -heteroaryl(R_{10}).
- 15 20 54. The targeting conjugate of claim 40 wherein R_7 is one to two substituents independently selected from the group consisting of hydrogen, - C_{1-4} alkoxy(R_9), - NH_2 , - $NH-C_{1-4}$ alkyl(R_9), - $N(C_{1-4}$ alkyl(R_9)) $_2$, (halo) $_{1-3}$, hydroxy and oxo.
- 25 55. The targeting conjugate of claim 40 wherein R_7 is hydrogen.
- 30 56. The targeting conjugate of claim 40 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, - C_{1-4} alkyl(R_9), - $C(=O)H$, - $C(=O)-C_{1-4}$ alkyl(R_9), - $C(=O)-NH_2$, - $C(=O)-NH-C_{1-4}$ alkyl(R_9), - $C(=O)-N(C_{1-4}$ alkyl(R_9)) $_2$, - $C(=O)-NH$ -aryl(R_{10}), - $C(=O)$ -cycloalkyl(R_{10}), - $C(=O)$ -heterocyclyl(R_{10}), - $C(=O)$ -aryl(R_{10}), - $C(=O)$ -heteroaryl(R_{10}), - CO_2H ,

-CO₂-C₁₋₄alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂, -SO₂-C₁₋₄alkyl(R₉),
 -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl(R₉), -SO₂-N(C₁₋₄alkyl(R₉))₂, -SO₂-aryl(R₁₀),
 -cycloalkyl(R₁₀) and -aryl(R₁₀) when attached to a nitrogen atom; and, wherein
 R₈ is one to four substituents independently selected from the group consisting
 of hydrogen, -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉), -O-cycloalkyl(R₁₀), -O-aryl(R₁₀),
 -C(=O)H, -C(=O)-C₁₋₄alkyl(R₉), -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉),
 -C(=O)-N(C₁₋₄alkyl(R₉))₂, -C(=O)-NH-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀),
 -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀), -CO₂H,
 -CO₂-C₁₋₄alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂, -SO₂-C₁₋₄alkyl(R₉),
 -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl(R₉), -SO₂-N(C₁₋₄alkyl(R₉))₂, -SO₂-aryl(R₁₀),
 -SH, -S-C₁₋₄alkyl(R₉), -S-C₁₋₄alkyl-S-C₁₋₄alkyl(R₉), -S-C₁₋₄alkyl-C₁₋₄alkoxy(R₉),
 -S-C₁₋₄alkyl-NH-C₁₋₄alkyl(R₉), -NH₂, -NH-C₁₋₄alkyl(R₉), -N(C₁₋₄alkyl(R₉))₂,
 cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R₁₀), -heterocyclyl(R₁₀), -aryl(R₁₀)
 and -heteroaryl(R₁₀) when attached to a carbon atom.

57. The targeting conjugate of claim 40 wherein R₈ is one to four substituents
 independently selected from the group consisting of hydrogen, -C₁₋₄alkyl(R₉),
 -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉), -C(=O)-N(C₁₋₄alkyl(R₉))₂,
 -CO₂H, -CO₂-C₁₋₄alkyl(R₉) and -SO₂-NH₂ when attached to a nitrogen atom;
 and, wherein R₈ is one to four substituents independently selected from the
 group consisting of hydrogen, -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉), -O-aryl(R₁₀),
 -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉), -C(=O)-N(C₁₋₄alkyl(R₉))₂,
 -CO₂H, -CO₂-C₁₋₄alkyl(R₉), -SO₂-NH₂, -NH₂, -NH-C₁₋₄alkyl(R₉),
 -N(C₁₋₄alkyl(R₉))₂, cyano, halo, hydroxy, nitro and oxo when attached to a
 carbon atom.

58. The targeting conjugate of claim 40 wherein R₈ is one to four substituents
 independently selected from the group consisting of hydrogen and
 -C₁₋₄alkyl(R₉) when attached to a nitrogen atom; and, wherein R₈ is one to four
 substituents independently selected from the group consisting of hydrogen,
 -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉), -O-aryl(R₁₀), -NH₂, -NH-C₁₋₄alkyl(R₉),
 -N(C₁₋₄alkyl(R₉))₂, halo, hydroxy and oxo when attached to a carbon atom.

59. The targeting conjugate of claim 40 wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen and
 5 - C_{1-4} alkyl(R_9) when attached to a nitrogen atom; and, wherein R_8 is one to four substituents independently selected from the group consisting of hydrogen, - C_{1-4} alkyl(R_9), - C_{1-4} alkoxy(R_9), -O-aryl(R_{10}) and hydroxy when attached to a carbon atom.
60. The targeting conjugate of claim 40 wherein R_9 is selected from the group
 10 consisting of hydrogen, - C_{1-4} alkoxy, - NH_2 , -NH- C_{1-4} alkyl, -N(C_{1-4} alkyl) $_2$, -C(=O)H, -C(=O)- NH_2 , -C(=O)-NH- C_{1-4} alkyl, -C(=O)-N(C_{1-4} alkyl) $_2$, -CO $_2$ H, -CO $_2$ - C_{1-4} alkyl, -SO $_2$ - C_{1-4} alkyl, -SO $_2$ - NH_2 , -SO $_2$ -NH- C_{1-4} alkyl, -SO $_2$ -N(C_{1-4} alkyl) $_2$, cyano, (halo) $_{1-3}$, hydroxy, nitro and oxo.
61. The targeting conjugate of claim 40 wherein R_9 is selected from the group
 15 consisting of hydrogen, - C_{1-4} alkoxy, - NH_2 , -NH- C_{1-4} alkyl, -N(C_{1-4} alkyl) $_2$, -C(=O)H, -CO $_2$ H, -C(=O)- C_{1-4} alkoxy, (halo) $_{1-3}$, hydroxy and oxo.
62. The targeting conjugate of claim 40 wherein R_9 is selected from the group
 20 consisting of hydrogen, - C_{1-4} alkoxy, - NH_2 , -NH- C_{1-4} alkyl, -N(C_{1-4} alkyl) $_2$, (halo) $_{1-3}$ and hydroxy.
63. The targeting conjugate claim 40 wherein R_{10} is one to four substituents independently selected from the group consisting of hydrogen, - C_{1-4} alkyl,
 25 -C(=O)H, -C(=O)- C_{1-4} alkyl, -C(=O)- NH_2 , -C(=O)-NH- C_{1-4} alkyl, -C(=O)-N(C_{1-4} alkyl) $_2$, -CO $_2$ H, -CO $_2$ - C_{1-4} alkyl, -SO $_2$ - C_{1-4} alkyl, -SO $_2$ - NH_2 , -SO $_2$ -NH- C_{1-4} alkyl and -SO $_2$ -N(C_{1-4} alkyl) $_2$ when attached to a nitrogen atom; and, wherein R_{10} is one to four substituents independently selected from the group consisting of hydrogen, - C_{1-4} alkyl, - C_{1-4} alkoxy, -C(=O)H,
 30 -C(=O)- C_{1-4} alkyl, -C(=O)- NH_2 , -C(=O)-NH- C_{1-4} alkyl, -C(=O)-N(C_{1-4} alkyl) $_2$, -CO $_2$ H, -CO $_2$ - C_{1-4} alkyl, -SO $_2$ - C_{1-4} alkyl, -SO $_2$ - NH_2 , -SO $_2$ -NH- C_{1-4} alkyl, -SO $_2$ -N(C_{1-4} alkyl) $_2$, - NH_2 , -NH- C_{1-4} alkyl, -N(C_{1-4} alkyl) $_2$, cyano, halo, hydroxy,

nitro and oxo when attached to a carbon atom.

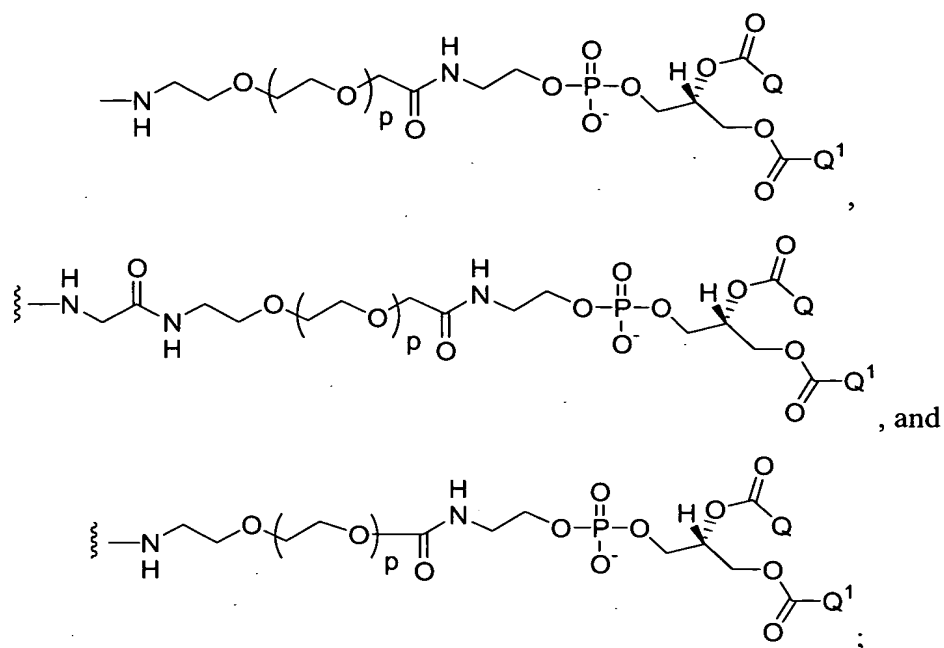
64. The targeting conjugate of claim 40 wherein $(R_{10})_{1-4}$ is selected from the group consisting of hydrogen, $-C_{1-4}$ alkyl, $-C_{1-4}$ alkoxy, $-C(=O)H$, $-C(=O)-C_{1-4}$ alkyl, $-CO_2H$, $-CO_2-C_{1-4}$ alkyl, $-NH_2$, $-NH-C_{1-4}$ alkyl, $-N(C_{1-4}alkyl)_2$, halo, hydroxy, nitro and oxo when attached to a carbon atom.
65. The targeting conjugate of claim 40 wherein R_{10} is hydrogen.
66. The targeting conjugate of claim 40 wherein R_{2a} is selected from the group consisting of $-C_{1-4}$ alkyl $(R_7)(R_{11})$, $-C_{2-4}$ alkenyl $(R_7)(R_{11})$, $-C_{2-4}$ alkynyl $(R_7)(R_{11})$, $-cycloalkyl(R_7)(R_{11})$, $-heterocyclyl(R_8)(R_{12})$, $-aryl(R_8)(R_{12})$, and $-heteroaryl(R_8)(R_{12})$.
67. The targeting conjugate of claim 40 wherein R_{2a} is selected from the group consisting of $-cycloalkyl(R_7)(R_{11})$, $-heterocyclyl(R_8)(R_{12})$, $-aryl(R_8)(R_{12})$, and $-heteroaryl(R_8)(R_{11})$.
68. The targeting conjugate of claim 40 wherein R_{2a} is selected from the group consisting of $-cycloalkyl(R_7)(R_{11})$, $-heterocyclyl(R_8)(R_{12})$, $-phenyl(R_8)(R_{12})$, $-naphthalenyl(R_8)(R_{12})$, and $-heteroaryl(R_8)(R_{11})$.
69. The targeting conjugate claim 40 wherein R_{2a} is selected from the group consisting of $-tetrahydropyrimidinyl(R_8)(R_{12})$, $-1,3-benzodioxolyl(R_8)(R_{12})$, $-dihydrobenzofuranyl(R_8)(R_{12})$, $-tetrahydroquinolinyl(R_8)(R_{12})$, $-phenyl(R_8)(R_{12})$, $-naphthalenyl(R_8)(R_{12})$, $-pyridinyl(R_8)(R_{12})$, $-pyrimidinyl(R_8)(R_{12})$, and $-quinolinyl(R_8)(R_{12})$.
70. The targeting conjugate of claim 40 wherein R_{11} is selected from the group consisting of $-C_{1-8}$ alkyl (R_{13}) , $-O-C_{1-8}$ alkyl (R_{13}) , $-NH-C_{1-8}$ alkyl (R_{13}) , $-S-C_{1-8}$ alkyl (R_{13}) , $-C(=O)C_{1-8}$ alkyl (R_{13}) , $-O-C(=O)C_{1-8}$ alkyl (R_{13}) , $-NH-C(=O)C_{1-8}$ alkyl (R_{13}) , $-C(=O)OC_{1-8}$ alkyl (R_{13}) ,

- C(=O)NHC₁₋₈alkyl(R₁₃), -O-C(=O)OC₁₋₈alkyl(R₁₃),
 -O-C(=O)NHC₁₋₈alkyl(R₁₃), -O-C(=O)C₁₋₈alkylC(=O)(R₁₃), -NH-
 C(=O)C₁₋₈alkylC(=O)(R₁₃), -C(=O)OC₁₋₈alkylC(=O)(R₁₃), -O-
 C(=O)OC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -
 5 C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -NH-
 C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 10 -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 and -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃).
- 15 71. The targeting conjugate of claim 40 wherein R₁₁ is selected from the group
 consisting of -C₁₋₈alkyl(R₁₃), -O-C₁₋₈alkyl(R₁₃), -NH-C₁₋₈alkyl(R₁₃),
 -S-C₁₋₈alkyl(R₁₃), -C(=O)C₁₋₈alkyl(R₁₃), -O-C(=O)C₁₋₈alkyl(R₁₃),
 -NH-C(=O)C₁₋₈alkyl(R₁₃), -C(=O)OC₁₋₈alkyl(R₁₃), -C(=O)NHC₁₋₈alkyl(R₁₃),
 -O-C(=O)OC₁₋₈alkyl(R₁₃), -O-C(=O)NHC₁₋₈alkyl(R₁₃),
 20 -O-C(=O)C₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)C₁₋₈alkylC(=O)(R₁₃),
 -C(=O)OC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)OC₁₋₈alkylC(=O)(R₁₃),
 -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), and -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃).
- 25 72. The targeting conjugate of claim 40 wherein R₁₂ is selected from the group
 consisting of -C₁₋₆alkyl(R₁₃), -O-C₁₋₆alkyl(R₁₃),
 -NH-C₁₋₄alkyl(R₁₃), -S-C₁₋₆alkyl(R₁₃), -CH₂O-C₁₋₆alkyl(R₁₃),
 -CH₂NH-C₁₋₆alkyl(R₁₃), -CH₂S-C₁₋₆alkyl(R₁₃), -C(=O)C₁₋₆alkyl(R₁₃),
 -O-C(=O)C₁₋₆alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃),
 30 -CH₂O-C(=O)C₁₋₈alkyl(R₁₃), -CH₂NH-C(=O)C₁₋₆alkyl(R₁₃),
 -C(=O)OC₁₋₆alkyl(R₁₃), -C(=O)NHC₁₋₆alkyl(R₁₃),
 -O-C(=O)OC₁₋₆alkyl(R₁₃), -O-C(=O)NHC₁₋₆alkyl(R₁₃),

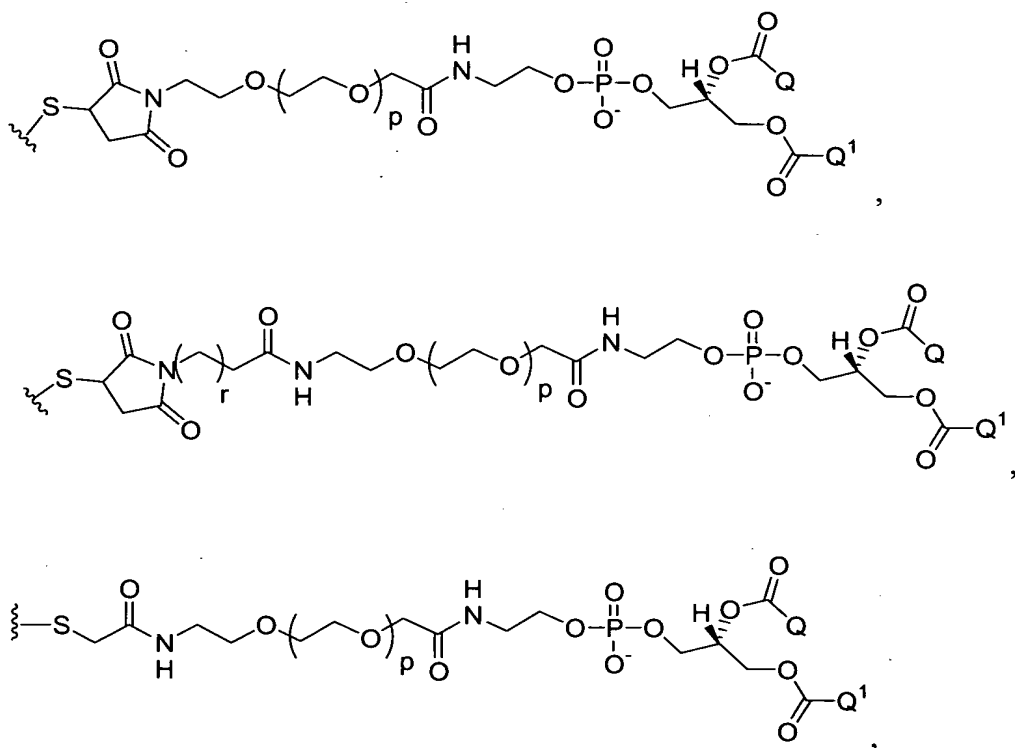
PRD-0026 CIP

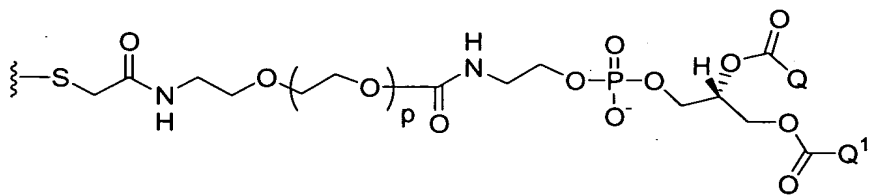
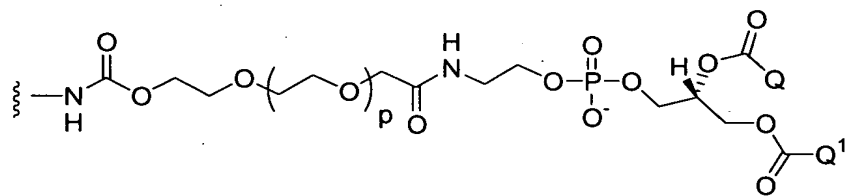
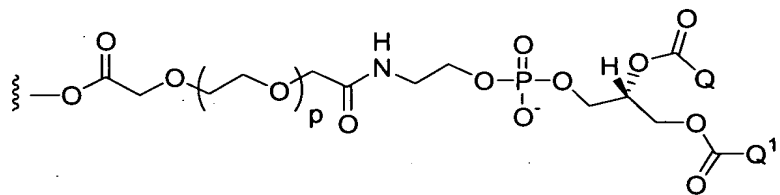
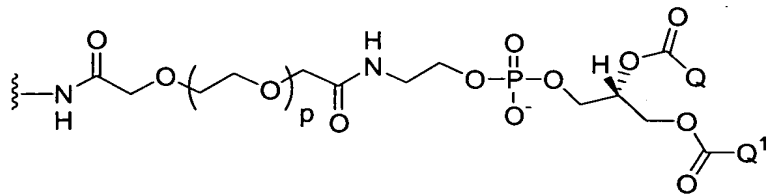
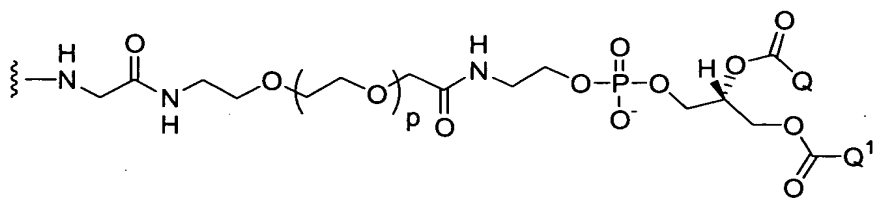
- NH-C(=O)OC₁₋₆alkyl(R₁₃), -NH-C(=O)NHC₁₋₆alkyl(R₁₃),
 -NH-C(=O)C₁₋₆alkylC(=O)(R₁₃), -CH₂O-C(=O)C₁₋₈alkylC(=O)(R₁₃),
 -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -CH₂O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -CH₂NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 5 -OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 10 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 15 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 20 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 25 -CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and
 -CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃);

wherein when R₁₁ or R₁₂ terminates with a -C(=O)-, R₁₃ is selected from the
 group consisting of

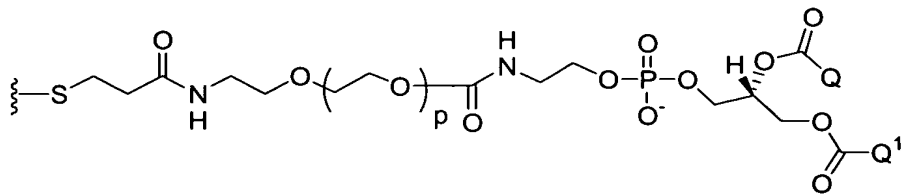
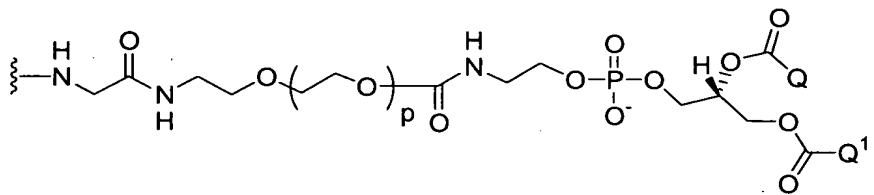


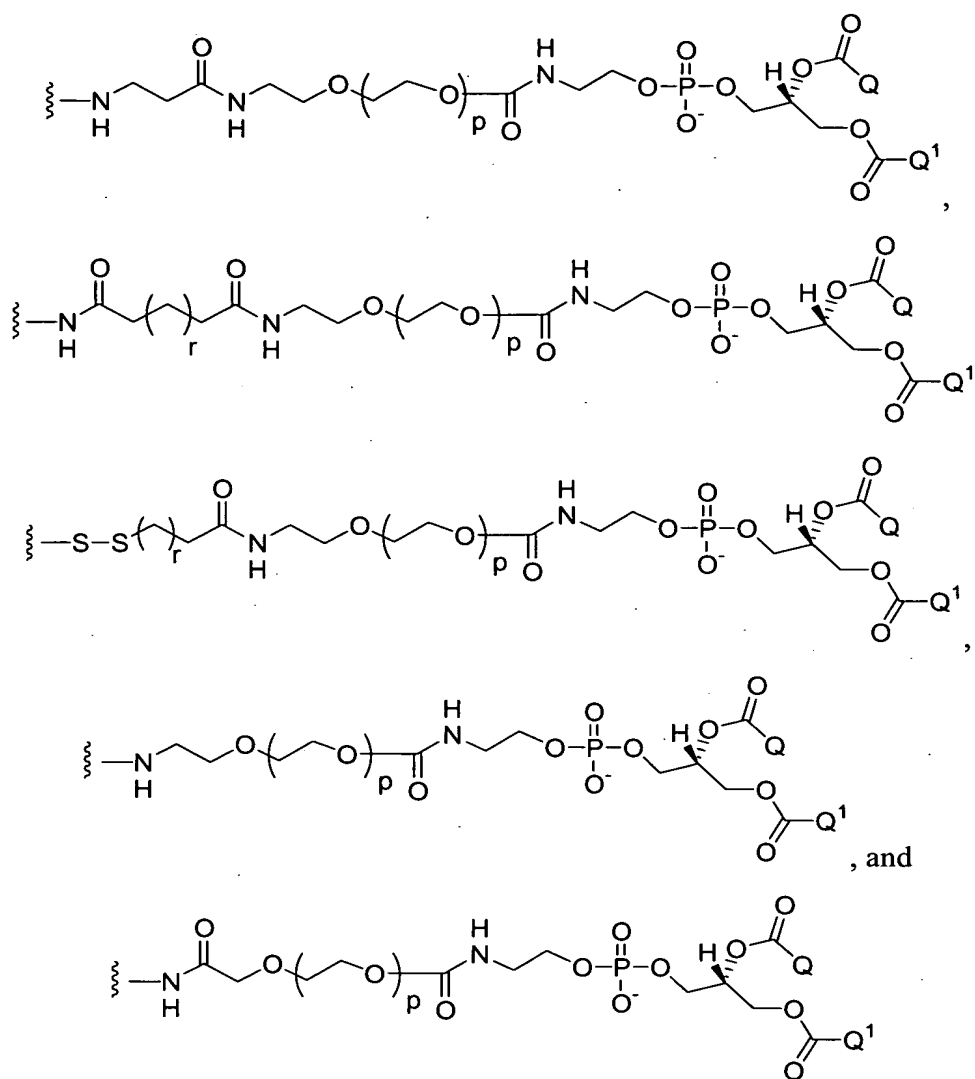
and when R_{11} or R_{12} does not terminate with a $-C(=O)-$, R_{13} is selected from the group consisting of





5



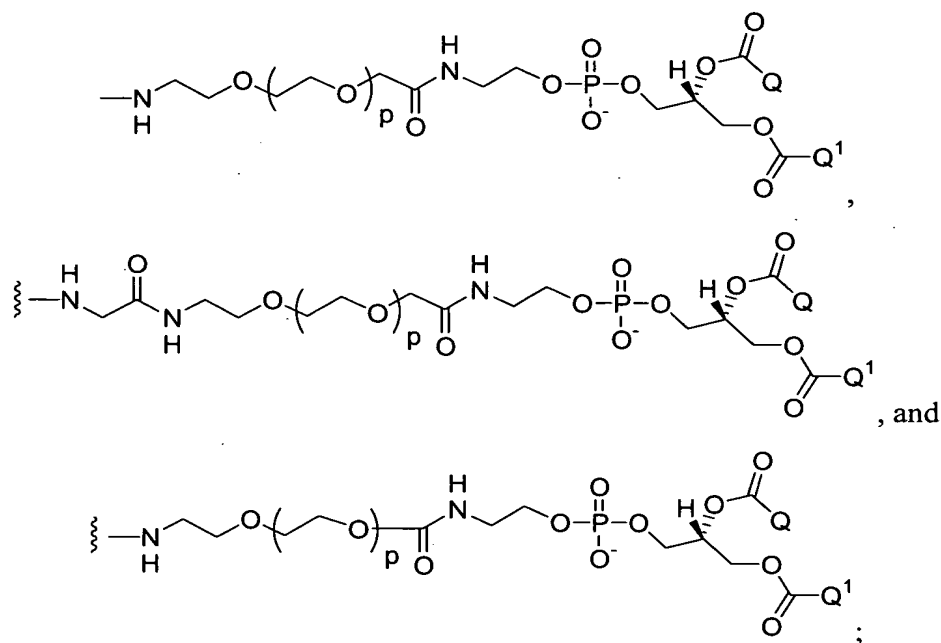


73. The targeting conjugate of claim 40 wherein R_{12} is selected from the group consisting of $-\text{CH}_2\text{O}-\text{C}_{1-6}\text{alkyl}(\text{R}_{13})$, $-\text{CH}_2\text{NH}-\text{C}_{1-6}\text{alkyl}(\text{R}_{13})$, $-\text{CH}_2\text{S}-\text{C}_{1-6}\text{alkyl}(\text{R}_{13})$, $-\text{NH}-\text{C}(=\text{O})\text{C}_{1-8}\text{alkyl}(\text{R}_{13})$, $-\text{CH}_2\text{NH}-\text{C}(=\text{O})\text{C}_{1-6}\text{alkyl}(\text{R}_{13})$, $-\text{NH}-\text{C}(=\text{O})\text{NHC}_{1-6}\text{alkyl}(\text{R}_{13})$, $-\text{NH}-\text{C}(=\text{O})\text{C}_{1-6}\text{alkylC}(=\text{O})(\text{R}_{13})$, $-\text{OCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13})$, $-\text{NHCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13})$, $-\text{OCH}_2\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{C}(=\text{O})(\text{R}_{13})$, $-\text{NH}(\text{C}=\text{O})\text{CH}_2\text{O}(\text{CH}_2\text{CH}_2\text{O})_r\text{CH}_2\text{CH}_2(\text{R}_{13})$,

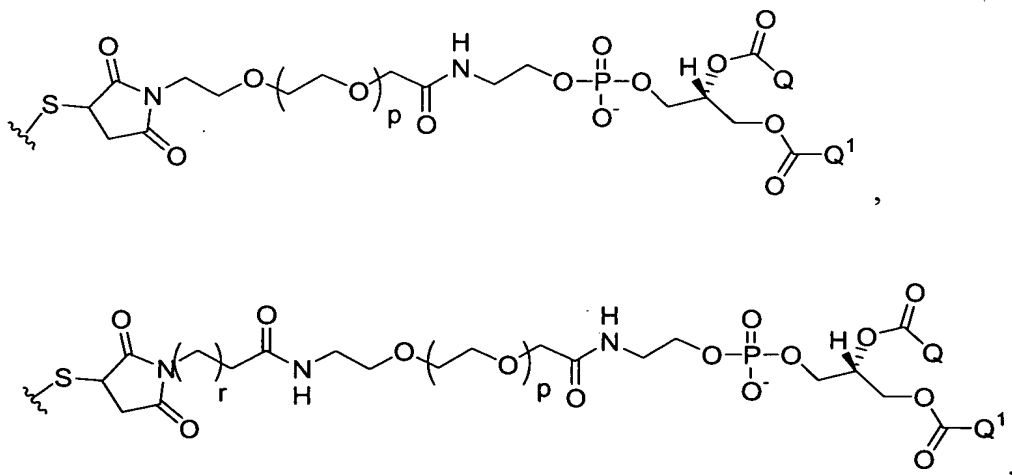
PRD-0026 CIP

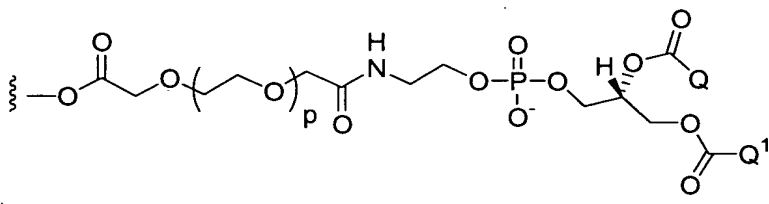
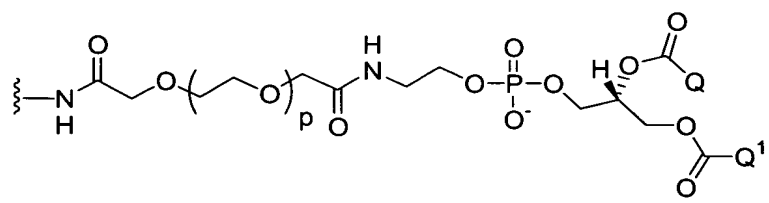
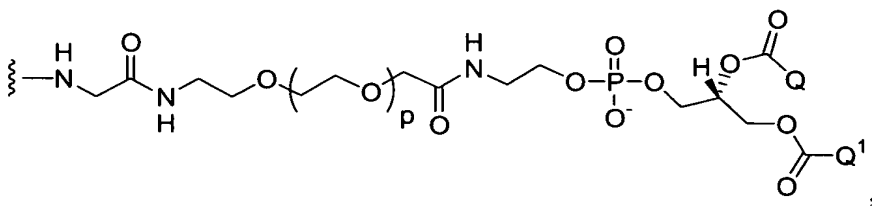
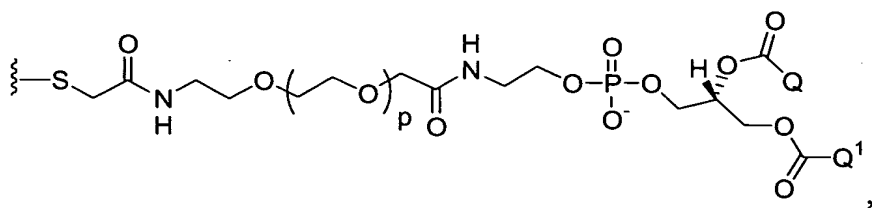
- CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and
 -CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃).

wherein when R₁₁ or R₁₂ terminates with a -C(=O)-, R₁₃ is selected from the group consisting of

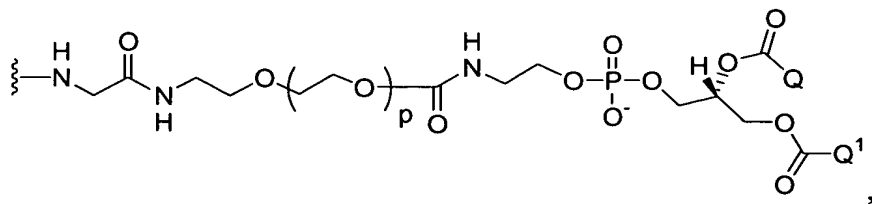
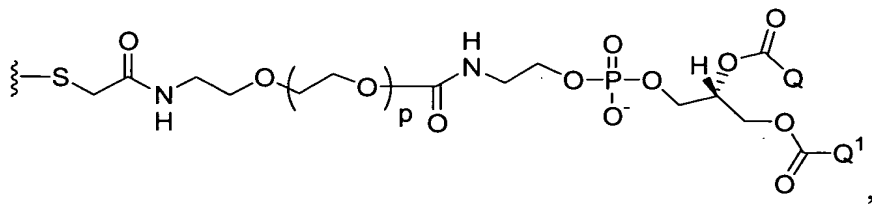
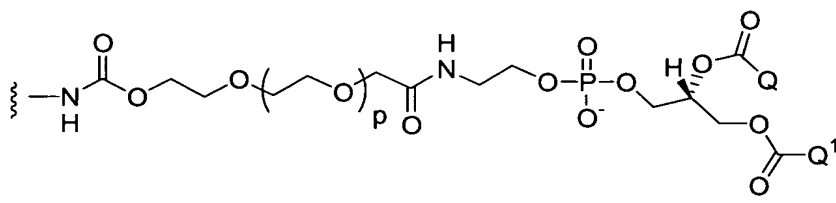


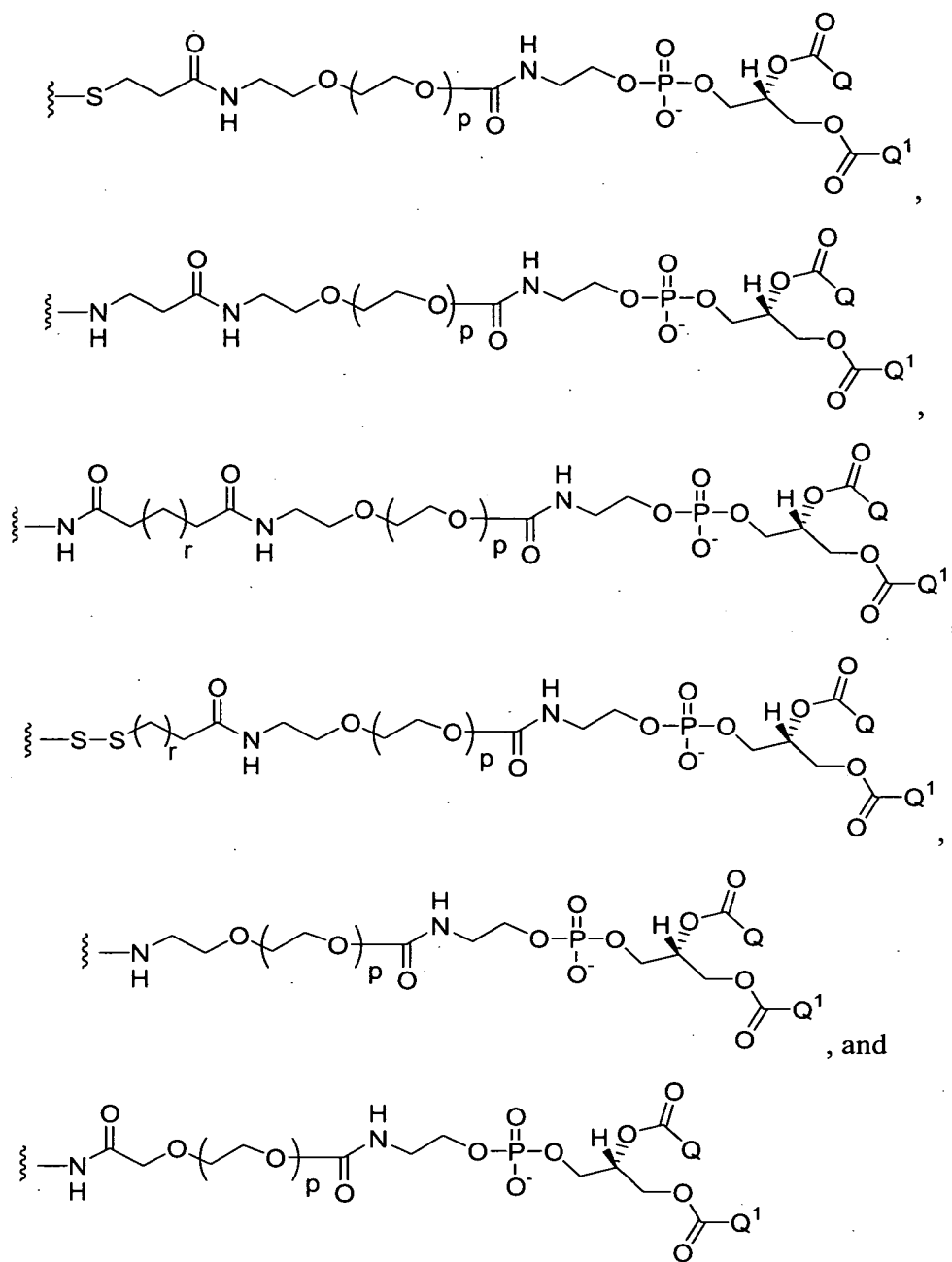
and when R₁₁ or R₁₂ does not terminate with a -C(=O)-, R₁₃ is selected from the group consisting of



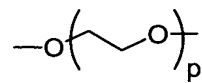


5





74. The targeting conjugate of claim 40 wherein said $-O-(CH_2CH_2O)_p-$ or



of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 2000 to 5000 daltons.

75. The targeting conjugate of claim 40 wherein wherein Q and Q¹ of substituents

R₁₂ and R₁₃ are the same within a given compound and are selected from the group consisting of the C₁₅ saturated chain of palmitic acid, the C₁₇ saturated chain of stearic acid, and the C₁₇ mono-unsaturated chain of oleic acid.

5

76. The targeting conjugate of claim 40 wherein

W is preferably is selected from the group consisting of -C₀₋₄alkyl(R₁), -C₁₋₄alkyl(R_{1a}), -C₀₋₄alkyl-aryl(R₁,R₈), -C₀₋₄alkyl-heterocyclyl(R₁,R₈), -C₀₋₄alkoxy(R₁), -C₀₋₄alkoxy-aryl(R₁,R₈), and -C₀₋₄alkoxy-heterocyclyl(R₁,R₈);

10

R₁ is -N(R₄)(R₆), -heterocyclyl(R₈) or -heteroaryl(R₈);

R_{1a} is -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄, -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄, -C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇) or -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂;

15

R₄ is hydrogen or -C₁₋₄alkyl(R₇);

20

R₅ is -C(=O)-R₄, -C(=O)-N(R₄)₂, -C(=O)-cycloalkyl(R₈), -C(=O)-heterocyclyl(R₈), -C(=O)-aryl(R₈), -C(=O)-heteroaryl(R₈), -C(=O)-N(R₄)-cycloalkyl(R₈), -C(=O)-N(R₄)-aryl(R₈), -CO₂-R₄, -CO₂-cycloalkyl(R₈), -CO₂-aryl(R₈), -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄, -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄, -C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇), -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂, -N(R₄)-C(R₄)(=N-R₄), -N(R₄)-C(=N-R₄)-N(R₄)₂, -N(R₄)-C(=N-R₄)-N(R₄)(R₆), -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -N(R₄)-C(=N-R₄)-N(R₄)-CO₂-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇), -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂, -SO₂-C₁₋₄alkyl(R₇), -SO₂-N(R₄)₂, -SO₂-cycloalkyl(R₈) or -SO₂-aryl(R₈);

25

30

R₆ is -heterocyclyl(R₈) or -heteroaryl(R₈);

R₇ is one to two substituents independently selected from hydrogen,

-C₁₋₄alkoxy(R₉), -NH₂, -NH-C₁₋₄alkyl(R₉), -N(C₁₋₄alkyl(R₉))₂, -C(=O)H,

-C(=O)-C₁₋₄alkyl(R₉), -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉),

-C(=O)-N(C₁₋₄alkyl(R₉))₂, -C(=O)-NH-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀),

-C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀), -CO₂H,

-CO₂-C₁₋₄alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂, -SH, -S-C₁₋₄alkyl(R₉),

-S-C₁₋₄alkyl-S-C₁₋₄alkyl(R₉), -S-C₁₋₄alkyl-C₁₋₄alkoxy(R₉),

-S-C₁₋₄alkyl-NH-C₁₋₄alkyl(R₉), -SO₂-C₁₋₄alkyl(R₉), -SO₂-NH₂,

-SO₂-NH-C₁₋₄alkyl(R₉), -SO₂-N(C₁₋₄alkyl(R₉))₂, -SO₂-aryl(R₁₀), cyano, (halo)₁₋₃,

hydroxy, nitro, oxo, -cycloalkyl(R₁₀), -heterocyclyl(R₁₀), -aryl(R₁₀) or

-heteroaryl(R₁₀);

R₈ is one to four substituents independently selected from hydrogen,

-C₁₋₄alkyl(R₉), -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉),

-C(=O)-N(C₁₋₄alkyl(R₉))₂, -CO₂H, -CO₂-C₁₋₄alkyl(R₉) or -SO₂-NH₂ when

attached to a nitrogen atom; and, wherein R₈ is one to four substituents

independently selected from hydrogen, -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉),

-O-aryl(R₁₀), -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉),

-C(=O)-N(C₁₋₄alkyl(R₉))₂, -CO₂H, -CO₂-C₁₋₄alkyl(R₉), -SO₂-NH₂, -NH₂,

-NH-C₁₋₄alkyl(R₉), -N(C₁₋₄alkyl(R₉))₂, cyano, halo, hydroxy, nitro or oxo when

attached to a carbon atom;

R₉ is hydrogen, -C₁₋₄alkoxy, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, -C(=O)H,

-C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl, -C(=O)-N(C₁₋₄alkyl)₂, -CO₂H,

-CO₂-C₁₋₄alkyl, -SO₂-C₁₋₄alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl,

-SO₂-N(C₁₋₄alkyl)₂, cyano, (halo)₁₋₃, hydroxy, nitro or oxo;

R₁₀ is one to four substituents independently selected from hydrogen, -C₁₋₄alkyl,

-C(=O)H, -C(=O)-C₁₋₄alkyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl,

-C(=O)-N(C₁₋₄alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₄alkyl, -SO₂-NH₂,

-SO₂-NH-C₁₋₄alkyl or -SO₂-N(C₁₋₄alkyl)₂ when attached to a nitrogen atom; and, wherein R₁₀ is one to four substituents independently selected from hydrogen, -C₁₋₄alkyl, -C₁₋₄alkoxy, -C(=O)H, -C(=O)-C₁₋₄alkyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl, -C(=O)-N(C₁₋₄alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₄alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl, -SO₂-N(C₁₋₄alkyl)₂, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, cyano, halo, hydroxy, nitro or oxo when attached to a carbon atom;

R_{2a} is -cycloalkyl(R₈)(R₁₁), -heterocyclyl(R₈)(R₁₂), -aryl(R₈)(R₁₂) or -heteroaryl(R₈)(R₁₂);

q is 1, 2 or 3.

R₁₁ is selected from the group consisting of -C₁₋₈alkyl(R₁₃), -O-C₁₋₈alkyl(R₁₃), -NH-C₁₋₈alkyl(R₁₃), -S-C₁₋₈alkyl(R₁₃), -C(=O)C₁₋₈alkyl(R₁₃), -O-C(=O)C₁₋₈alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃), -C(=O)OC₁₋₈alkyl(R₁₃), -C(=O)NHC₁₋₈alkyl(R₁₃), -O-C(=O)OC₁₋₈alkyl(R₁₃), -O-C(=O)NHC₁₋₈alkyl(R₁₃), -O-C(=O)C₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)C₁₋₈alkylC(=O)(R₁₃), -C(=O)OC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃);

R₁₂ is selected from the group consisting of

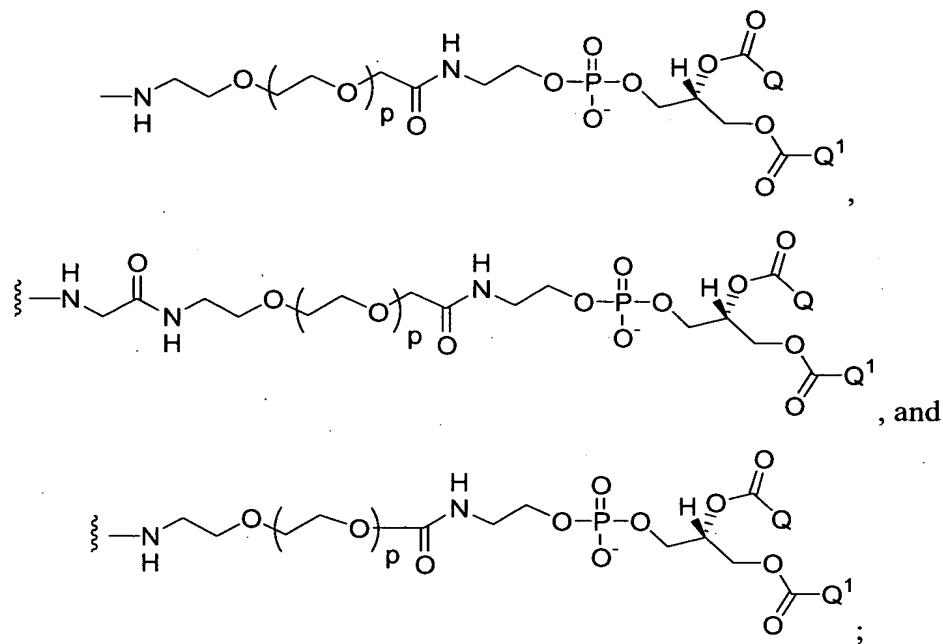
PRD-0026 CIP

- C₁₋₆alkyl(R₁₃), -O-C₁₋₆alkyl(R₁₃),
 -NH-C₁₋₄alkyl(R₁₃), -S-C₁₋₆alkyl(R₁₃), -CH₂O-C₁₋₆alkyl(R₁₃),
 -CH₂NH-C₁₋₆alkyl(R₁₃), -CH₂S-C₁₋₆alkyl(R₁₃), -C(=O)C₁₋₆alkyl(R₁₃),
 -O-C(=O)C₁₋₆alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃),
 5 -CH₂O-C(=O)C₁₋₈alkyl(R₁₃), -CH₂NH-C(=O)C₁₋₆alkyl(R₁₃),
 -C(=O)OC₁₋₆alkyl(R₁₃), -C(=O)NHC₁₋₆alkyl(R₁₃),
 -O-C(=O)OC₁₋₆alkyl(R₁₃), -O-C(=O)NHC₁₋₆alkyl(R₁₃),
 -NH-C(=O)OC₁₋₆alkyl(R₁₃), -NH-C(=O)NHC₁₋₆alkyl(R₁₃),
 -NH-C(=O)C₁₋₆alkylC(=O)(R₁₃), -CH₂O-C(=O)C₁₋₈alkylC(=O)(R₁₃),
 10 -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -CH₂O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -CH₂NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 15 -OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 20 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 25 -CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 30 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),

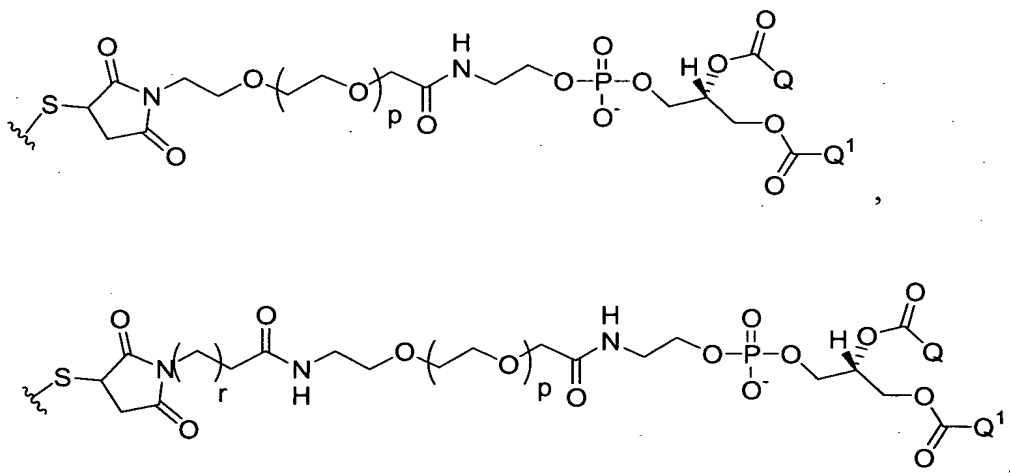
PRD-0026 CIP

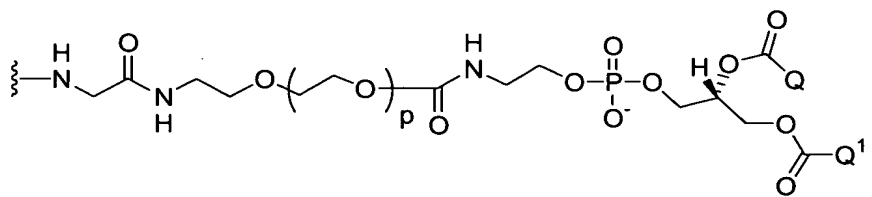
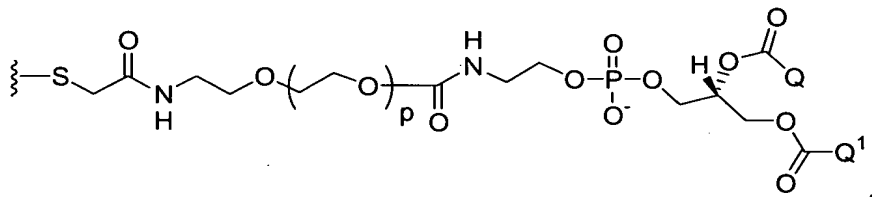
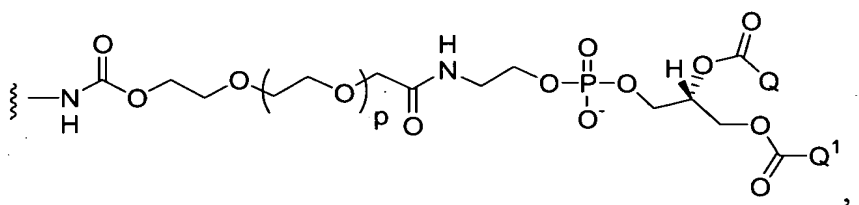
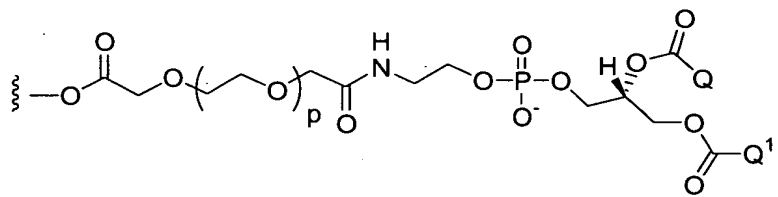
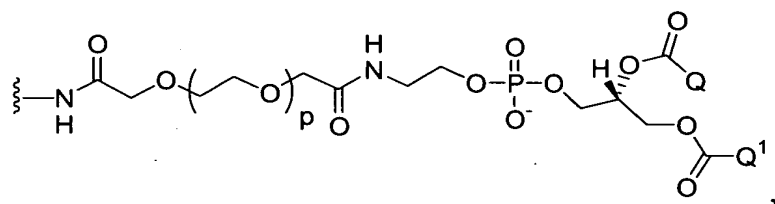
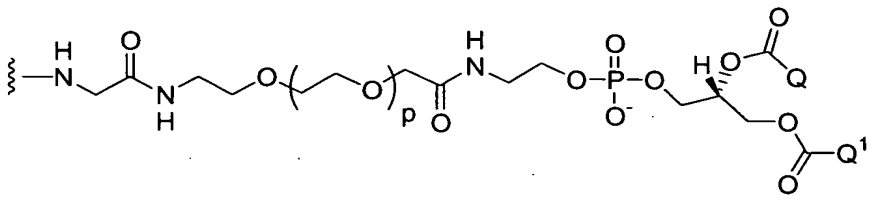
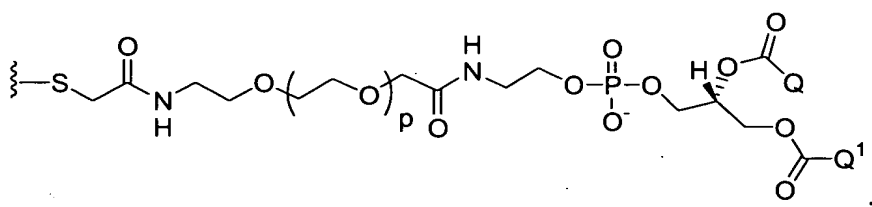
-CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and
 -CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃);

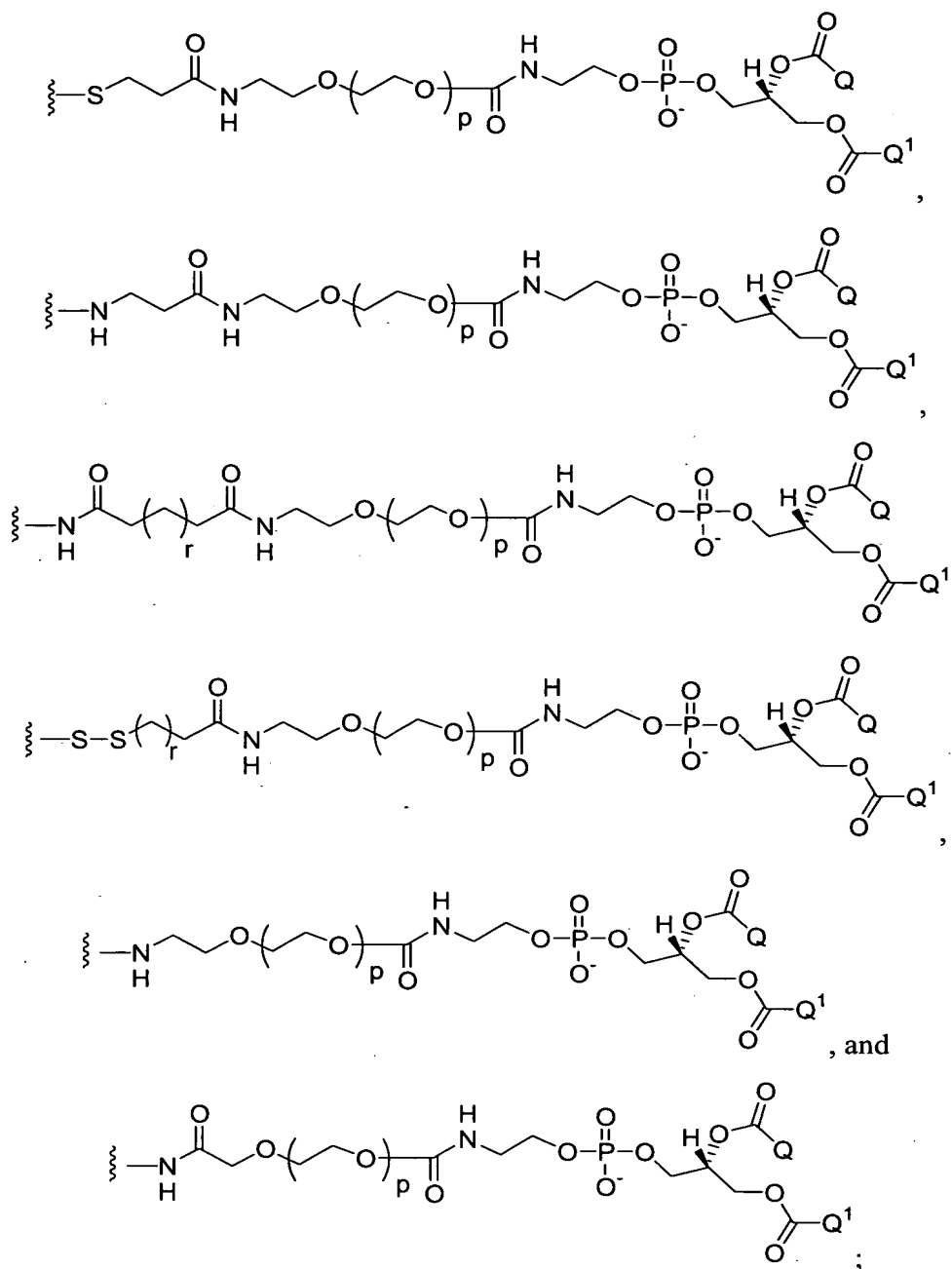
wherein when R₁₁ or R₁₂ terminates with a -C(=O)-, R₁₃ is selected from the group consisting of



and when R₁₁ or R₁₂ does not terminate with a -C(=O)-, R₁₃ is selected from the group consisting of







10 said $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$ or $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p$ of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

Q and Q¹ of substituents R₁₂ and R₁₃ are the same within a given compound and are selected from the group consisting of the C₁₁ saturated chain of lauric acid,
 5 the C₁₅ saturated chain of palmitic acid,
 the C₁₇ saturated chain of stearic acid,
 the C₁₇ mono-unsaturated chain of oleic acid, and
 the C₁₇ di-unsaturated chain of linoleic acid;

10 Z is selected from the group consisting of hydroxy, -NH₂, -NH-C₁₋₈alkyl, -N(C₁₋₈alkyl)₂, -O-C₁₋₈alkyl, -O-C₁₋₈alkyl-OH, -O-C₁₋₈alkyl-C₁₋₄alkoxy, -O-C₁₋₈alkylcarbonyl-C₁₋₄alkyl, -O-C₁₋₈alkyl-CO₂H, -O-C₁₋₈alkyl-C(O)O-C₁₋₆alkyl, -O-C₁₋₈alkyl-O-C(O)C₁₋₈alkyl, -O-C₁₋₈alkyl-NH₂, -O-C₁₋₈alkyl-NH-C₁₋₈alkyl, -O-C₁₋₈alkyl-N(C₁₋₈alkyl)₂, -O-C₁₋₈alkylamide -O-C₁₋₈alkyl-C(O)-NH-C₁₋₈alkyl, -O-C₁₋₈alkyl-C(O)-N(C₁₋₈alkyl)₂ and
 15 -NHC(O)C₁₋₈alkyl.

77. The targeting conjugate of claim 40 wherein

20 W is preferably -C₀₋₄alkyl(R₁) or -C₀₋₄alkyl-phenyl(R₁,R₈);

R₁ is -N(R₄)(R₆), -tetrahydropyrimidinyl(R₈) or -tetrahydro-1,8-naphthyridinyl(R₈);

25 R_{1a} is -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄, -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄, -C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇) or -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂;

30 R₄ is hydrogen;

R₅ is -C(=O)-R₄, -C(=O)-N(R₄)₂, -CO₂-R₄, -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆), -N(R₄)-C(R₄)(=N-R₄), -N(R₄)-C(=N-R₄)-N(R₄)₂,

PRD-0026 CIP

-N(R₄)-C(=N-R₄)-N(R₄)(R₆), -SO₂-C₁₋₄alkyl(R₇) or -SO₂-N(R₄)₂;

R₆ is -dihydroimidazolyl(R₈), -tetrahydropyridinyl(R₈),
-tetrahydropyrimidinyl(R₈) or -pyridinyl(R₈);

5

R₇ is hydrogen;

R₈ is one to four substituents independently selected from hydrogen or
-C₁₋₄alkyl(R₉) when attached to a nitrogen atom; and, wherein R₈ is one to four
substituents independently selected from hydrogen, -C₁₋₄alkyl(R₉),
-C₁₋₄alkoxy(R₉) -O-aryl(R₁₀) or hydroxy when attached to a carbon atom;

10

R₉ is hydrogen, -C₁₋₄alkoxy, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, (halo)₁₋₃ or
hydroxy;

15

R₁₀ is hydrogen;

R_{2a} is -tetrahydropyrimidinyl(R₈)(R₁₂), -1,3-benzodioxolyl(R₈)(R₁₂),
-dihydrobenzofuranyl(R₈)(R₁₂), -tetrahydroquinolinyl(R₈)(R₁₂),
-phenyl(R₈)(R₁₂), -naphthalenyl(R₈)(R₁₂), -pyridinyl(R₈)(R₁₂),
-pyrimidinyl(R₈)(R₁₂) or -quinolinyl(R₈)(R₁₂);

20

q is 1 or 2;

25

R₁₂ is selected from the group consisting of

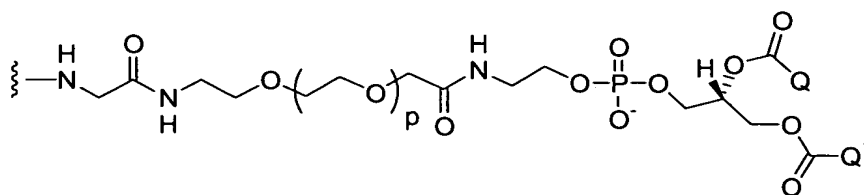
-CH₂-O-(CH₂)₄(R₁₃)-,
-CH₂-NH-(CH₂)₄(R₁₃)-,
-CH₂-S-(CH₂)₄(R₁₃)-,
-CH₂-O-(CH₂)₆(R₁₃)-,
-CH₂-NH-(CH₂)₆(R₁₃)-,
-CH₂-S-(CH₂)₆(R₁₃)-,

30

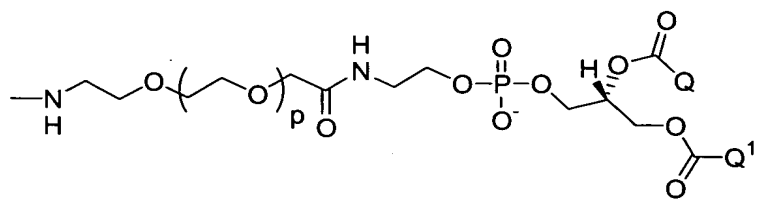
PRD-0026 CIP

- NH-C(=O)-(CH₂)₄(R₁₃)-,
 -NH-C(=O)-(CH₂)₇(R₁₃)-,
 -NH-C(=O)NH-(CH₂)₃(R₁₃)-,
 -NH-C(=O)NH-(CH₂)₆(R₁₃)-,
 5 -CH₂NH-C(=O)NH-(CH₂)₂(R₁₃)-,
 -CH₂NH-C(=O)NH-(CH₂)₅(R₁₃)-,
 -NHC(=O)-(CH₂)₂-C(=O)(R₁₃)-,
 -NHC(=O)-(CH₂)₃-C(=O)(R₁₃)-,
 -NHC(=O)-(CH₂)₄-C(=O)(R₁₃)-,
 10 -OCH₂CH₂OCH₂CH₂(R₁₃)-,
 -NHCH₂CH₂OCH₂CH₂(R₁₃)-,
 -OCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
 -NHCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
 -OCH₂CH₂OCH₂C(=O)(R₁₃)-,
 15 -OCH₂CH₂OCH₂CH₂OCH₂C(=O)(R₁₃)-,
 -NHC(=O)CH₂OCH₂CH₂(R₁₃)-,
 -NHC(=O)CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
 -CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
 -CH₂NHCH₂CH₂OCH₂CH₂(R₁₃)-,
 20 -CH₂SCH₂CH₂OCH₂CH₂(R₁₃)-,
 -CH₂OCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
 -CH₂NHCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
 -CH₂SCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
 -CH₂NHC(=O)CH₂OCH₂C(=O)(R₁₃)-, and
 25 -NHC(=O)CH₂OCH₂C(=O)(R₁₃)-;

wherein when R₁₁ or R₁₂ terminates with a -C(=O)-, R₁₃ is selected from the group consisting of

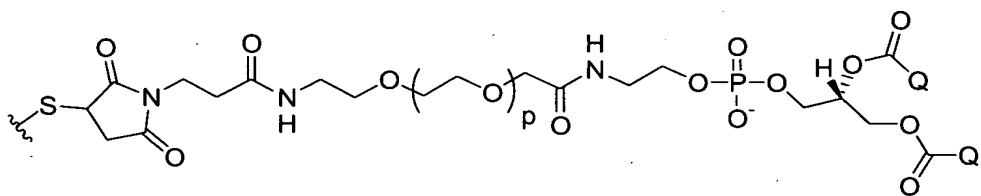
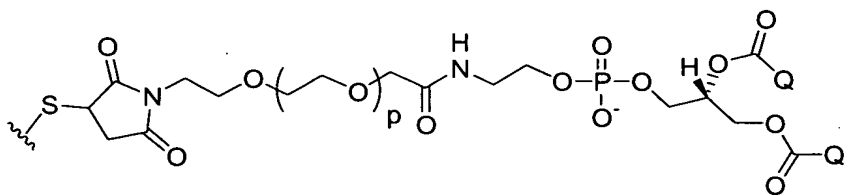


and

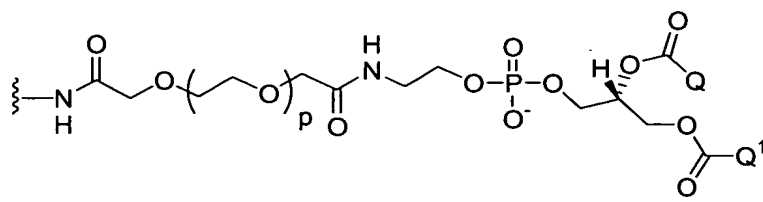
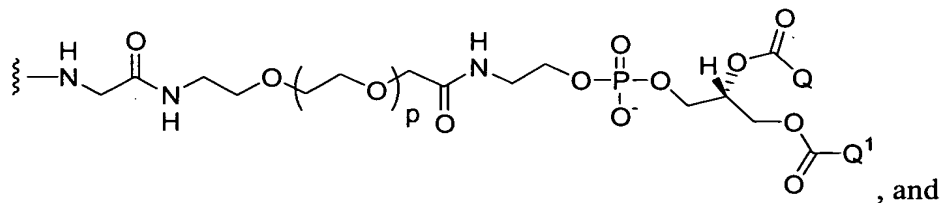
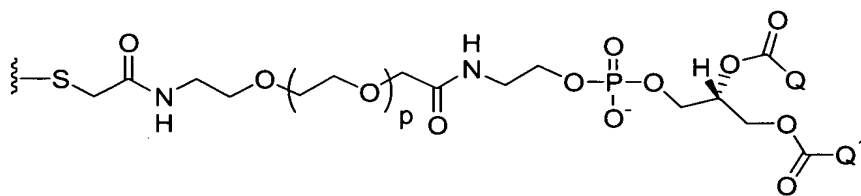


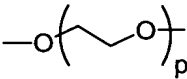
and when R₁₁ or R₁₂ does not terminate with a -C(=O)-, R₁₃ is selected from the group consisting of

5



10



wherein said $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$ or  of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer selected from 2000 (PEG 2000), 3400 (PEG 3400), or 5000 (PEG 5000) Daltons;

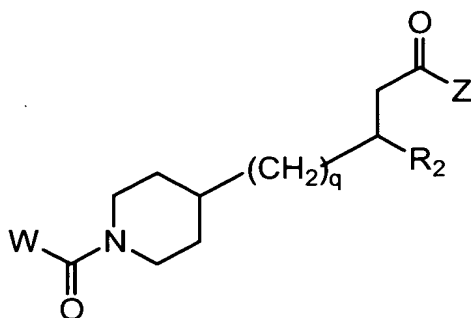
r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and is the C_{17} saturated chain of stearic acid;

Z is selected from the group consisting of hydroxy, $-\text{NH}_2$, $-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{N}(\text{C}_{1-8}\text{alkyl})_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{OH}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}_{1-4}\text{alkoxy}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{carbonyl}-\text{C}_{1-4}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{CO}_2\text{H}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{O}-\text{C}(\text{O})-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{N}(\text{C}_{1-8}\text{alkyl})_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{amide}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ and $-\text{NHC}(\text{O})-\text{C}_{1-8}\text{alkyl}$.

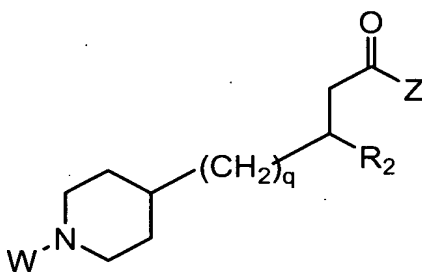
78. A therapeutic liposome composition sensitized to a target cell, comprising
- (i) a liposomal composition composed of pre-formed liposomes having an entrapped therapeutic agent; and
 - (ii) a plurality of targeting conjugates, each conjugate composed of
 - (a) a lipid having a polar head group and a hydrophobic tail, (b) a hydrophilic polymer having a proximal end and a distal end, where the polymer is attached at its proximal end to the head group of the lipid, and
 - (c) a targeting ligand attached to the distal end of the polymer.

79. The liposome of claim 78 wherein the targeting conjugate has a formula selected from the group consisting of
- Formula (I):



Formula (I)

and Formula (II):



Formula (II)

5 wherein

W is selected from the group consisting of -C₀₋₆alkyl(R₁), -C₁₋₆alkyl(R_{1a}),
-C₀₋₆alkyl-aryl(R₁,R₈), -C₀₋₆alkyl-heterocyclyl(R₁,R₈), -C₀₋₆alkoxy(R₁),
-C₀₋₆alkoxy-aryl(R₁,R₈), and -C₀₋₆alkoxy-heterocyclyl(R₁,R₈);

10 R₁ is selected from the group consisting of hydrogen, -N(R₄)₂, -N(R₄)(R₅), -N(R₄)(R₆),
-heterocyclyl(R₈) and -heteroaryl(R₈);

R_{1a} is selected from the group consisting of -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂,
-C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄,
15 -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄,
-C(=N-R₄)-N(R₄)-SO₂-C₁₋₈alkyl(R₇) and -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂;

R₄ is selected from the group consisting of hydrogen and -C₁₋₈alkyl(R₇);

20 R₅ is selected from the group consisting of -C(=O)-R₄, -C(=O)-N(R₄)₂,

-C(=O)-cycloalkyl(R₈), -C(=O)-heterocyclyl(R₈), -C(=O)-aryl(R₈),
 -C(=O)-heteroaryl(R₈), -C(=O)-N(R₄)-cycloalkyl(R₈), -C(=O)-N(R₄)-aryl(R₈),
 -CO₂-R₄, -CO₂-cycloalkyl(R₈), -CO₂-aryl(R₈), -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂,
 -C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄,
 5 -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄,
 -C(=N-R₄)-N(R₄)-SO₂-C₁₋₈alkyl(R₇), -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂,
 -N(R₄)-C(R₄)(=N-R₄), -N(R₄)-C(=N-R₄)-N(R₄)₂, -N(R₄)-C(=N-R₄)-N(R₄)(R₆),
 -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂,
 -N(R₄)-C(=N-R₄)-N(R₄)-CO₂-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-C₁₋₈alkyl(R₇),
 10 -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂, -SO₂-C₁₋₈alkyl(R₇), -SO₂-N(R₄)₂,
 -SO₂-cycloalkyl(R₈) and -SO₂-aryl(R₈);

R₆ is selected from the group consisting of -cycloalkyl(R₈), -heterocyclyl(R₈), -aryl(R₈)
 and -heteroaryl(R₈);

15

R₇ is one to two substituents independently selected from the group consisting of
 hydrogen, -C₁₋₈alkoxy(R₉), -NH₂, -NH-C₁₋₈alkyl(R₉), -N(C₁₋₈alkyl(R₉))₂, -C(=O)H,
 -C(=O)-C₁₋₈alkyl(R₉), -C(=O)-NH₂, -C(=O)-NH-C₁₋₈alkyl(R₉),
 -C(=O)-N(C₁₋₈alkyl(R₉))₂, -C(=O)-NH-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀),
 20 -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀), -CO₂H,
 -CO₂-C₁₋₈alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂, -SH, -S-C₁₋₈alkyl(R₉),
 -S-C₁₋₈alkyl-S-C₁₋₈alkyl(R₉), -S-C₁₋₈alkyl-C₁₋₈alkoxy(R₉),
 -S-C₁₋₈alkyl-NH-C₁₋₈alkyl(R₉), -SO₂-C₁₋₈alkyl(R₉), -SO₂-NH₂,
 -SO₂-NH-C₁₋₈alkyl(R₉), -SO₂-N(C₁₋₈alkyl(R₉))₂, -SO₂-aryl(R₁₀), cyano, (halo)₁₋₃,
 25 hydroxy, nitro, oxo, -cycloalkyl(R₁₀), -heterocyclyl(R₁₀), -aryl(R₁₀) and
 -heteroaryl(R₁₀);

R₈ is one to four substituents independently selected from the group consisting of
 hydrogen, -C₁₋₈alkyl(R₉), -C(=O)H, -C(=O)-C₁₋₈alkyl(R₉), -C(=O)-NH₂,
 30 -C(=O)-NH-C₁₋₈alkyl(R₉), -C(=O)-N(C₁₋₈alkyl(R₉))₂, -C(=O)-NH-aryl(R₁₀),
 -C(=O)-cycloalkyl(R₁₀), -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀),
 -C(=O)-heteroaryl(R₁₀), -CO₂H, -CO₂-C₁₋₈alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂,

-SO₂-C₁₋₈alkyl(R₉), -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl(R₉), -SO₂-N(C₁₋₈alkyl(R₉))₂,
 -SO₂-aryl(R₁₀), -cycloalkyl(R₁₀) and -aryl(R₁₀) when attached to a nitrogen atom;
 and, wherein R₈ is one to four substituents independently selected from the group
 consisting of hydrogen, -C₁₋₈alkyl(R₉), -C₁₋₈alkoxy(R₉), -O-cycloalkyl(R₁₀),

5 -O-aryl(R₁₀), -C(=O)H, -C(=O)-C₁₋₈alkyl(R₉), -NHC(=O)-C₁₋₈alkyl(R₉),
 -C(=O)-NH₂, -C(=O)-NH-C₁₋₈alkyl(R₉), -C(=O)-N(C₁₋₈alkyl(R₉))₂,
 -C(=O)-NH-aryl(R₁₀), -NHC(=O)-NH₂, -NHC(=O)-NH-C₁₋₈alkyl(R₉),
 -NHC(=O)-N(C₁₋₈alkyl(R₉))₂, -NHC(=O)-NH-aryl(R₁₀),
 -NHC(=O)-O-C₁₋₈alkyl(R₉), -NHC(=O)-O-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀),
 10 -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀),
 -NHC(=O)-cycloalkyl(R₁₀), -NHC(=O)-heterocyclyl(R₁₀), -NHC(=O)-aryl(R₁₀),
 -NHC(=O)-heteroaryl(R₁₀), -CO₂H, -CO₂-C₁₋₈alkyl(R₉), -CO₂-aryl(R₁₀),
 -C(=NH)-NH₂, -SO₂-C₁₋₈alkyl(R₉), -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl(R₉),
 -SO₂-N(C₁₋₈alkyl(R₉))₂, -SO₂-aryl(R₁₀), -NHSO₂-C₁₋₈alkyl(R₉), -NHSO₂-aryl(R₁₀),
 15 -SH, -S-C₁₋₈alkyl(R₉), -S-C₁₋₈alkyl-S-C₁₋₈alkyl(R₉), -S-C₁₋₈alkyl-C₁₋₈alkoxy(R₉),
 -S-C₁₋₈alkyl-NH-C₁₋₈alkyl(R₉), -NH₂, -NH-C₁₋₈alkyl(R₉), -N(C₁₋₈alkyl(R₉))₂, cyano,
 halo, hydroxy, nitro, oxo, -cycloalkyl(R₁₀), -heterocyclyl(R₁₀), -aryl(R₁₀), and
 -heteroaryl(R₁₀) when attached to a carbon atom;

20 R₉ is selected from the group consisting of hydrogen, -C₁₋₈alkoxy, -NH₂, -NH-C₁₋₈alkyl,
 -N(C₁₋₈alkyl)₂, -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₈alkyl, -C(=O)-N(C₁₋₈alkyl)₂,
 -CO₂H, -CO₂-C₁₋₈alkyl, -SO₂-C₁₋₈alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl,
 -SO₂-N(C₁₋₈alkyl)₂, cyano, (halo)₁₋₃, hydroxy, nitro and oxo;

25 R₁₀ is one to four substituents independently selected from the group consisting of
 hydrogen, -C₁₋₈alkyl, -C(=O)H, -C(=O)-C₁₋₈alkyl, -C(=O)-NH₂,
 -C(=O)-NH-C₁₋₈alkyl, -C(=O)-N(C₁₋₈alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl,
 -SO₂-C₁₋₈alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₈alkyl and -SO₂-N(C₁₋₈alkyl)₂ when
 attached to a nitrogen atom; and, wherein R₁₀ is one to four substituents
 30 independently selected from the group consisting of hydrogen, -C₁₋₈alkyl,
 -C₁₋₈alkoxy, -C(=O)H, -C(=O)-C₁₋₈alkyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₈alkyl,
 -C(=O)-N(C₁₋₈alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₈alkyl, -SO₂-NH₂,

PRD-0026 CIP

-SO₂-NH-C₁₋₈alkyl, -SO₂-N(C₁₋₈alkyl)₂, -NH₂, -NH-C₁₋₈alkyl, -N(C₁₋₈alkyl)₂, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

5

R_{2a} is selected from the group consisting of -C₁₋₈alkyl(R₇)(R₁₁), -C₂₋₈alkenyl(R₇)(R₁₁), -C₂₋₈alkynyl(R₇)(R₁₁), -cycloalkyl(R₇)(R₁₁), -heterocyclyl(R₈)(R₁₂), -aryl(R₈)(R₁₂) and -heteroaryl(R₈)(R₁₂);

10

R₁₁ is selected from the group consisting of -C₁₋₈alkyl(R₁₃), -O-C₁₋₈alkyl(R₁₃), -NH-C₁₋₈alkyl(R₁₃), -S-C₁₋₈alkyl(R₁₃), -C(=O)C₁₋₈alkyl(R₁₃), -O-C(=O)C₁₋₈alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃), -C(=O)OC₁₋₈alkyl(R₁₃), -C(=O)NHC₁₋₈alkyl(R₁₃), -O-C(=O)OC₁₋₈alkyl(R₁₃), -O-C(=O)NHC₁₋₈alkyl(R₁₃), -NH-C(=O)OC₁₋₈alkyl(R₁₃),

15

-NH-C(=O)NHC₁₋₈alkyl(R₁₃), -C(=O)C₁₋₈alkylC(=O)(R₁₃), -O-C(=O)C₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)C₁₋₈alkylC(=O)(R₁₃), -C(=O)OC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),

20

-OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),

25

-SCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), -OC(=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),

30

-NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),

PRD-0026 CIP

-SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 5 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and
 10 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃);

R₁₂ is selected from the group consisting of -C₁₋₈alkyl(R₁₃), -O-C₁₋₈alkyl(R₁₃),

-NH-C₁₋₈alkyl(R₁₃), -S-C₁₋₈alkyl(R₁₃), -CH₂O-C₁₋₈alkyl(R₁₃),
 -CH₂NH-C₁₋₈alkyl(R₁₃), -CH₂S-C₁₋₈alkyl(R₁₃), -C(=O)C₁₋₈alkyl(R₁₃),
 15 -O-C(=O)C₁₋₈alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃),
 -CH₂O-C(=O)C₁₋₈alkyl(R₁₃), -CH₂NH-C(=O)C₁₋₈alkyl(R₁₃),
 -C(=O)OC₁₋₈alkyl(R₁₃), -C(=O)NHC₁₋₈alkyl(R₁₃),
 -O-C(=O)OC₁₋₈alkyl(R₁₃), -O-C(=O)NHC₁₋₈alkyl(R₁₃),
 -NH-C(=O)OC₁₋₈alkyl(R₁₃), -NH-C(=O)NHC₁₋₈alkyl(R₁₃),
 20 -CH₂O-C(=O)OC₁₋₈alkyl(R₁₃), -CH₂O-C(=O)NHC₁₋₈alkyl(R₁₃),
 -CH₂NH-C(=O)OC₁₋₈alkyl(R₁₃), -CH₂NH-C(=O)NHC₁₋₈alkyl(R₁₃),
 -C(=O)C₁₋₈alkylC(=O)(R₁₃), -O-C(=O)C₁₋₈alkylC(=O)(R₁₃),
 -NH-C(=O)C₁₋₈alkylC(=O)(R₁₃), -CH₂O-C(=O)C₁₋₈alkylC(=O)(R₁₃),
 -CH₂NH-C(=O)C₁₋₈alkylC(=O)(R₁₃), -C(=O)OC₁₋₈alkylC(=O)(R₁₃),
 25 -O-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃),
 -CH₂O-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -CH₂NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃),
 -C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -CH₂O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -CH₂NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 30 -OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),

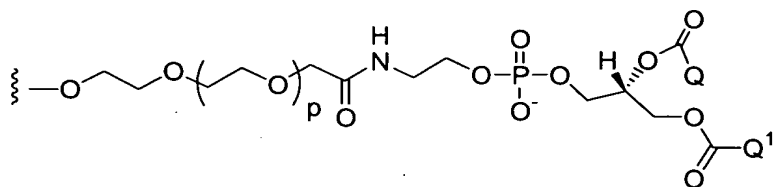
PRD-0026 CIP

- OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- SCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- OC(=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- 5 -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- 10 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- 15 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- 20 -CH₂OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- 25 -OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- 30 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),

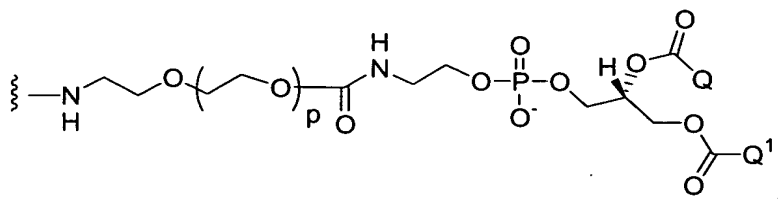
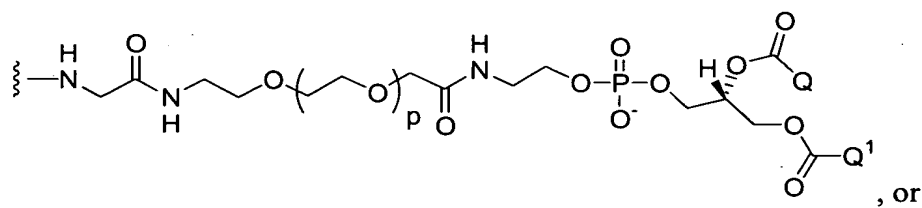
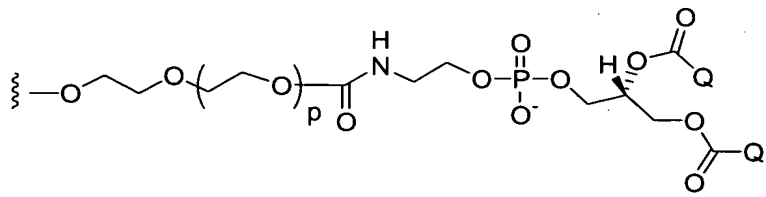
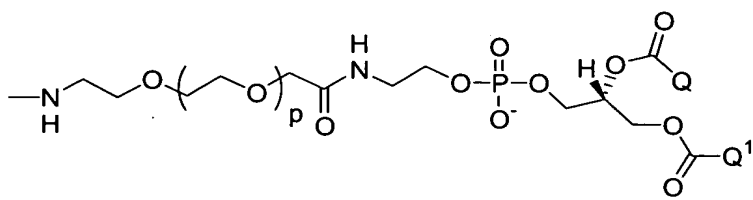
PRD-0026 CIP

- CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- 5 -CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and
- CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃);

wherein when R₁₁ or R₁₂ terminates with a -C(=O)-, R₁₃ is selected from

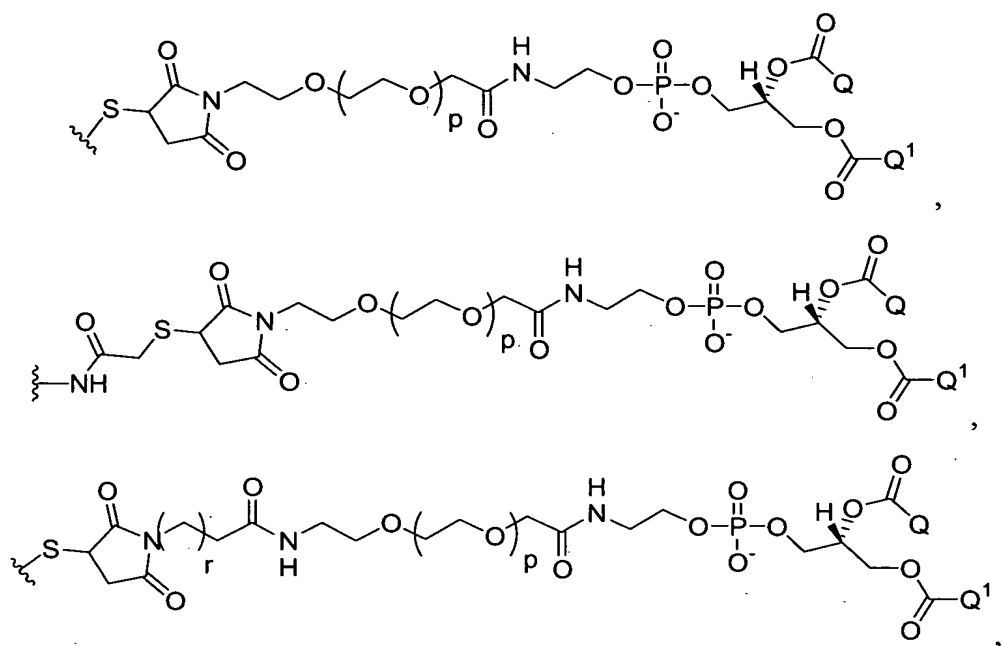


10

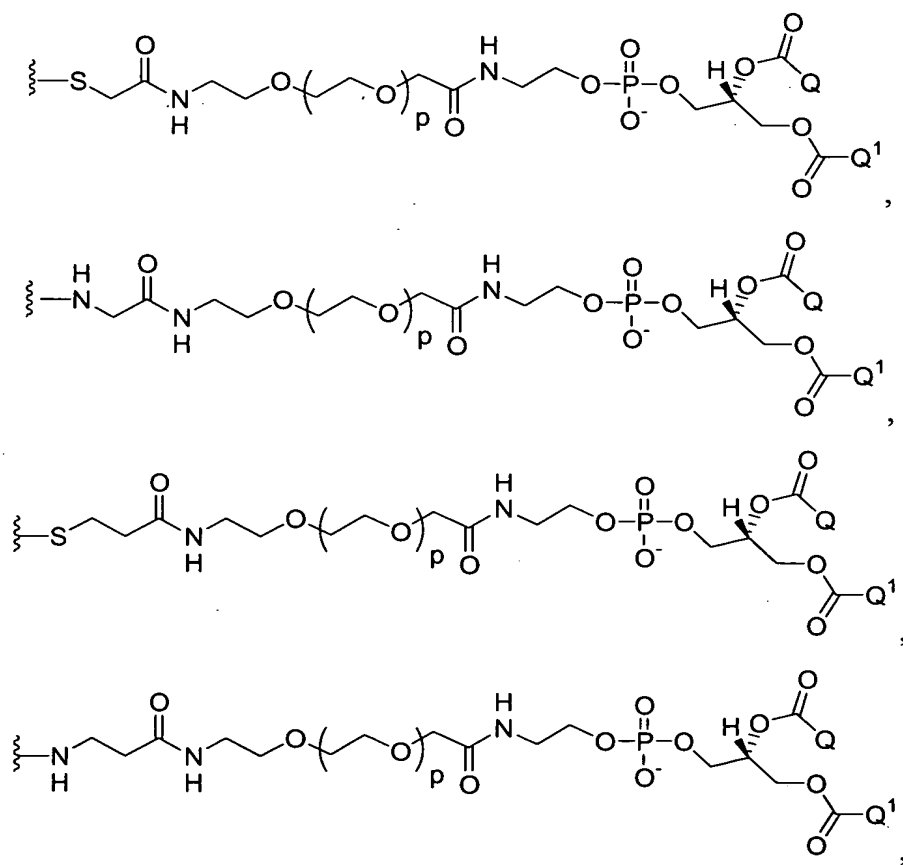


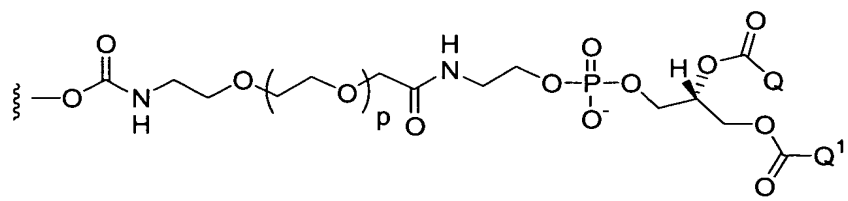
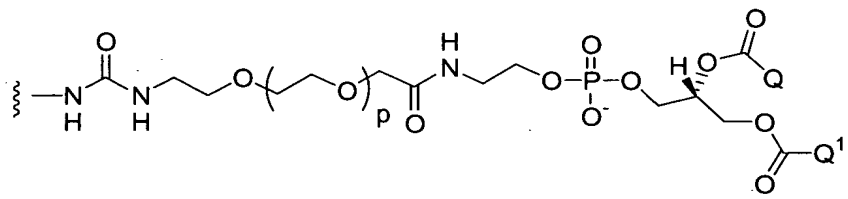
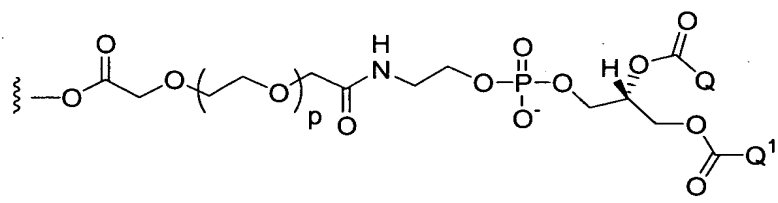
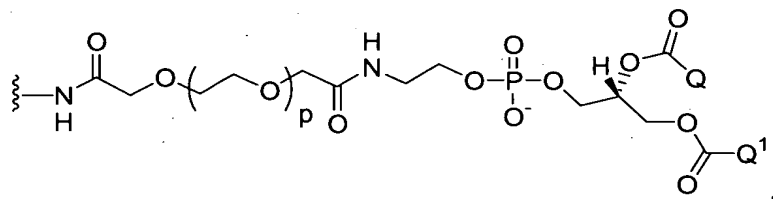
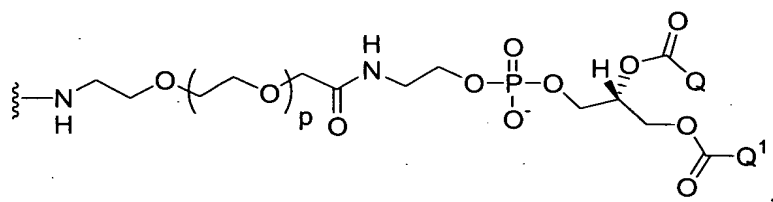
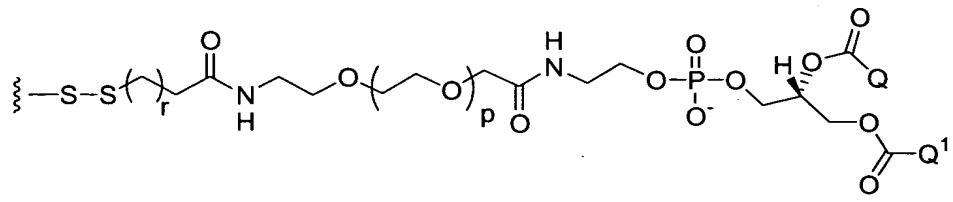
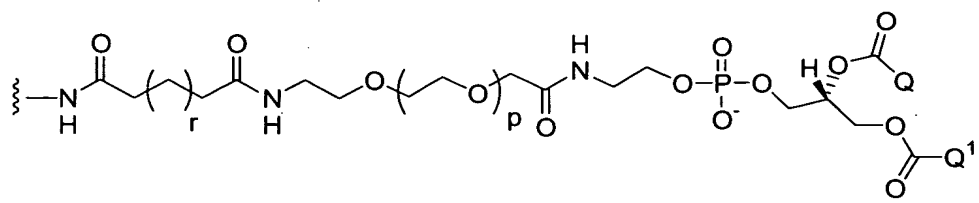
15

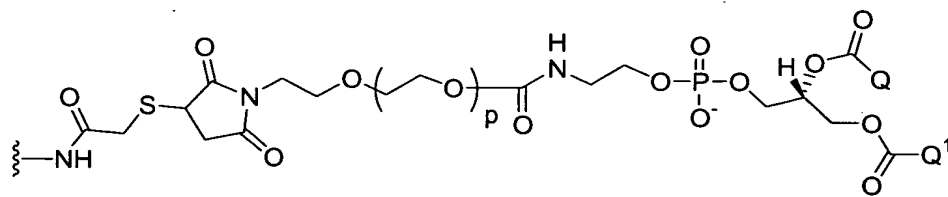
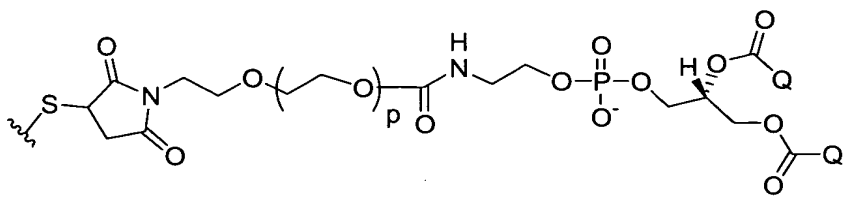
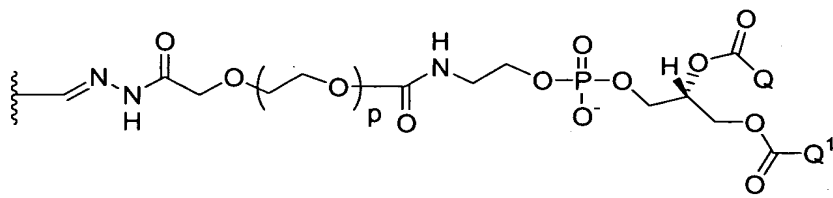
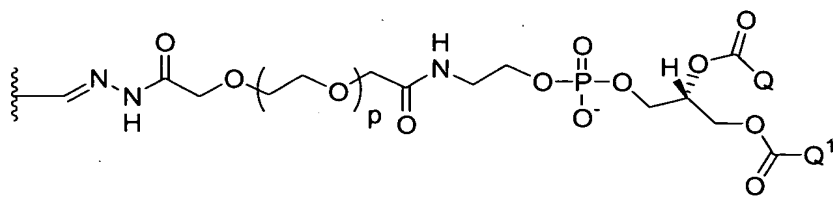
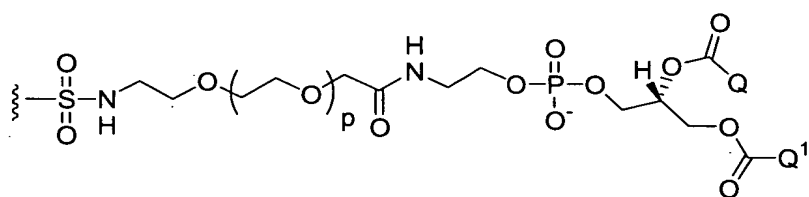
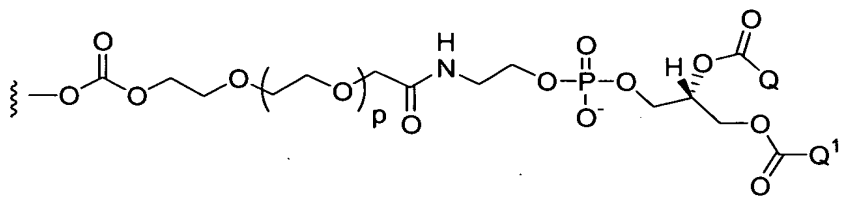
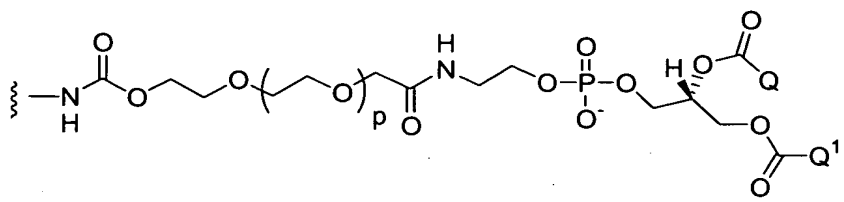
and when R₁₁ or R₁₂ does not terminate with a -C(=O)-, R₁₃ is selected from the group consisting of

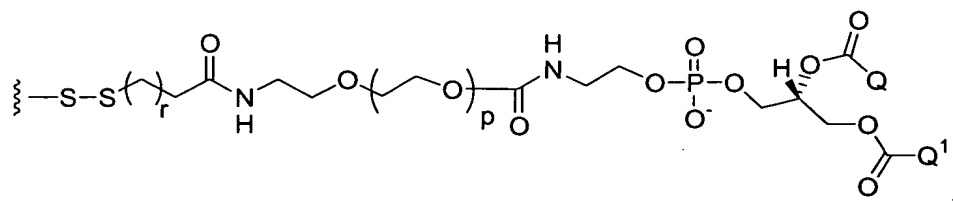
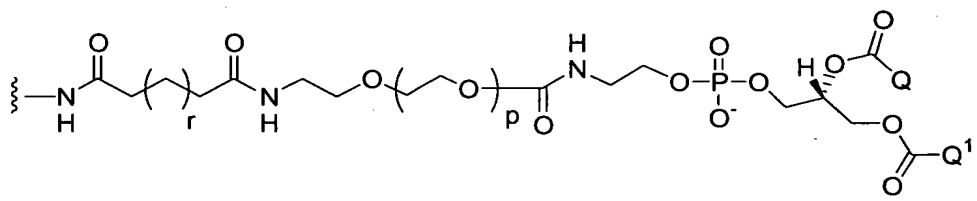
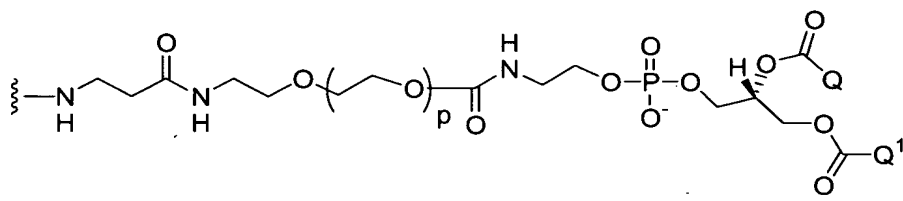
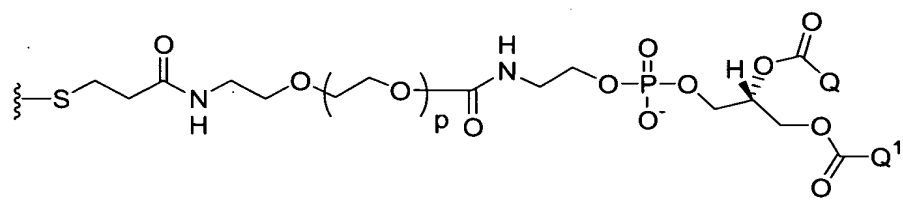
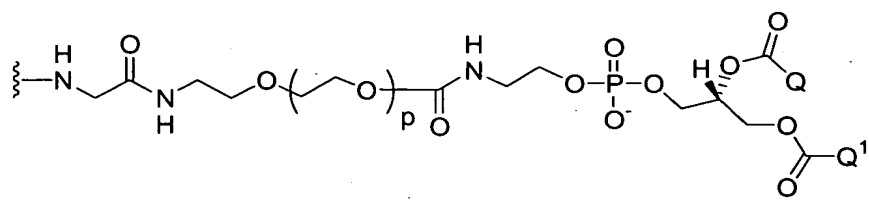
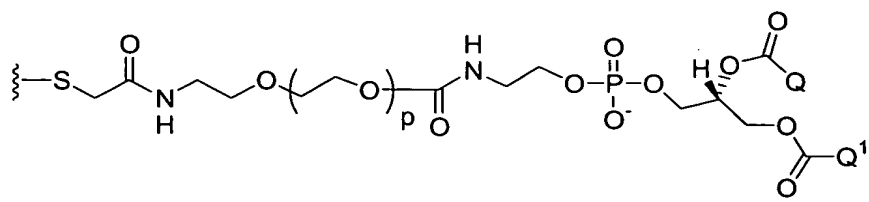
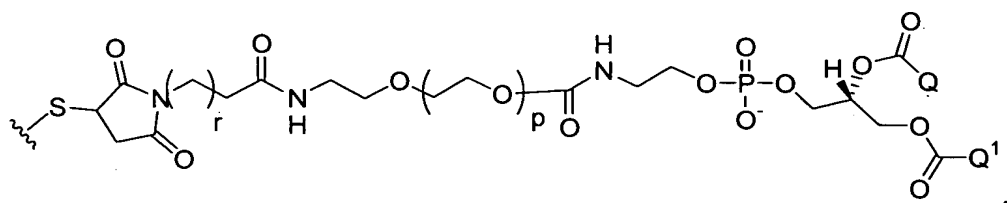


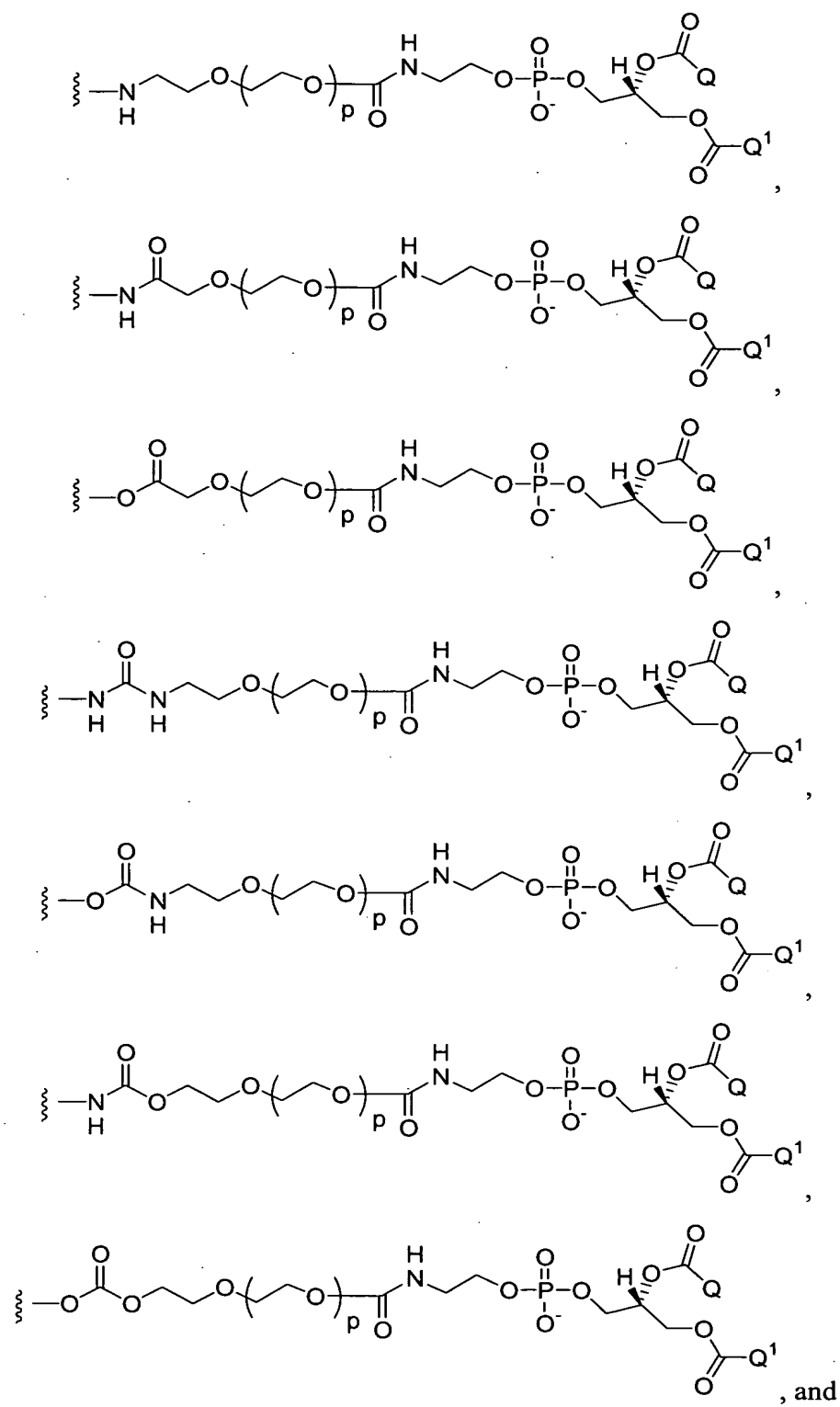
5

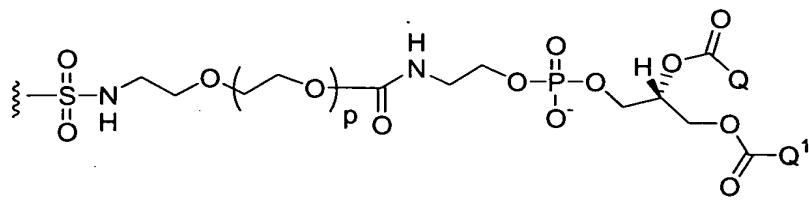












wherein the unit $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$ or $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$ of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

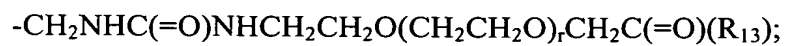
Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and are selected from the group consisting of the C_{11} saturated chain of lauric acid, the C_{13} saturated chain of myristic acid, the C_{15} saturated chain of palmitic acid, the C_{17} saturated chain of stearic acid, the C_{17} mono-unsaturated chain of oleic acid, and the C_{17} di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, $-\text{NH}_2$, $-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{N}(\text{C}_{1-8}\text{alkyl})_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{OH}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}_{1-8}\text{alkoxy}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{carbonyl}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{CO}_2\text{H}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{O}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{O}-\text{C}(\text{O})-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{N}(\text{C}_{1-8}\text{alkyl})_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{amide}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{N}(\text{C}_{1-8}\text{alkyl})_2$, and $-\text{NHC}(\text{O})-\text{C}_{1-8}\text{alkyl}$;

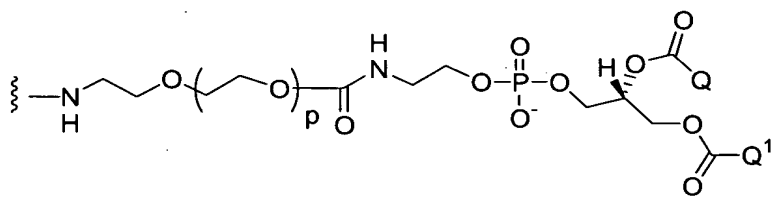
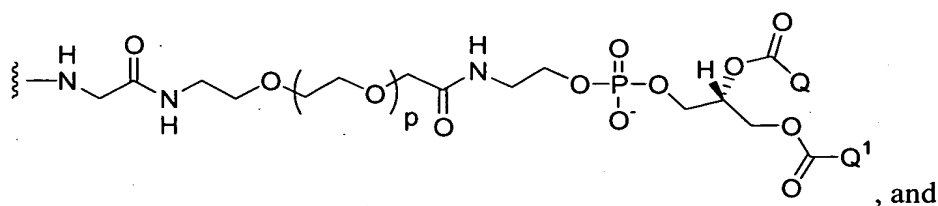
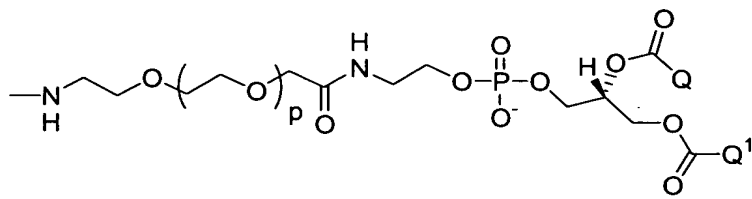
and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

80. The liposome of claim 79 wherein R_{12} is selected from the group consisting of $-\text{C}_{1-6}\text{alkyl}(\text{R}_{13})$, $-\text{O}-\text{C}_{1-6}\text{alkyl}(\text{R}_{13})$,

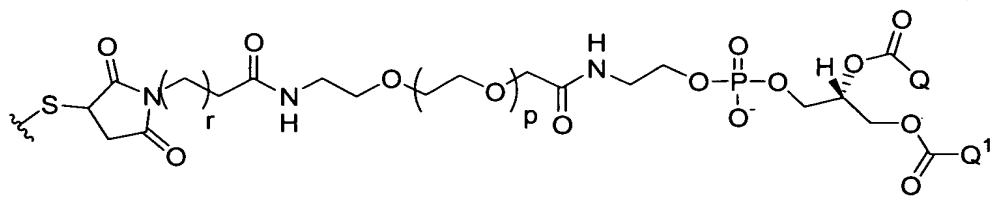
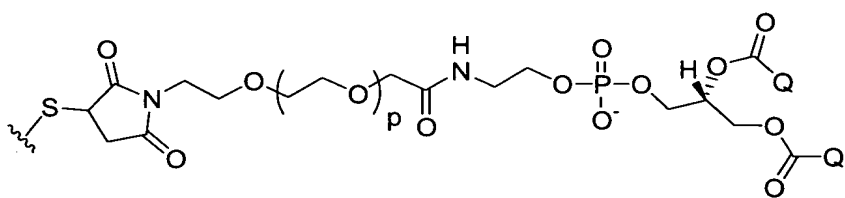
- NH-C₁₋₄alkyl(R₁₃), -S-C₁₋₆alkyl(R₁₃), -CH₂O-C₁₋₆alkyl(R₁₃),
 -CH₂NH-C₁₋₆alkyl(R₁₃), -CH₂S-C₁₋₆alkyl(R₁₃), -C(=O)C₁₋₆alkyl(R₁₃),
 -O-C(=O)C₁₋₆alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃),
 -CH₂O-C(=O)C₁₋₈alkyl(R₁₃), -CH₂NH-C(=O)C₁₋₆alkyl(R₁₃),
 5 -C(=O)OC₁₋₆alkyl(R₁₃), -C(=O)NHC₁₋₆alkyl(R₁₃),
 -O-C(=O)OC₁₋₆alkyl(R₁₃), -O-C(=O)NHC₁₋₆alkyl(R₁₃),
 -NH-C(=O)OC₁₋₆alkyl(R₁₃), -NH-C(=O)NHC₁₋₆alkyl(R₁₃),
 -NH-C(=O)C₁₋₆alkylC(=O)(R₁₃), -CH₂O-C(=O)C₁₋₈alkylC(=O)(R₁₃),
 -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -CH₂O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 10 -CH₂NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
 -OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 15 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 20 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 -CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
 25 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 30 -CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
 -CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and

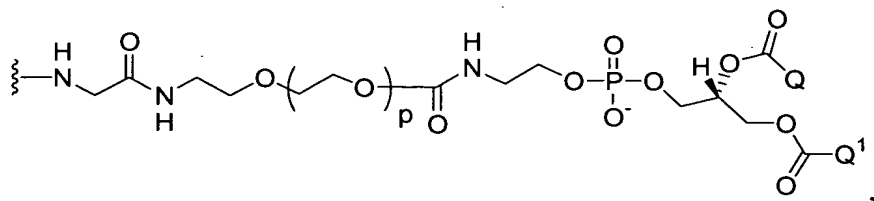
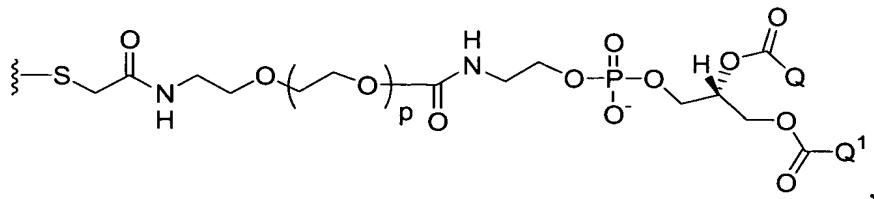
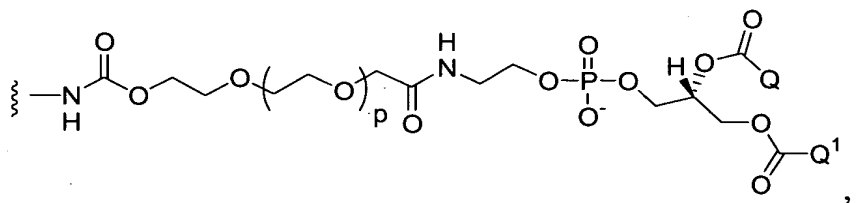
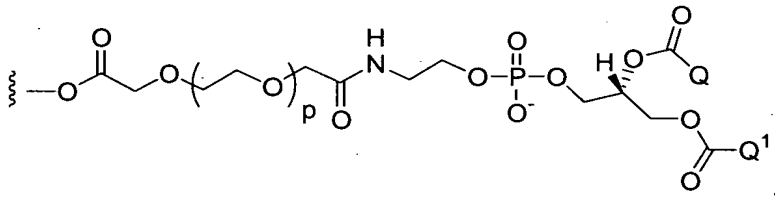
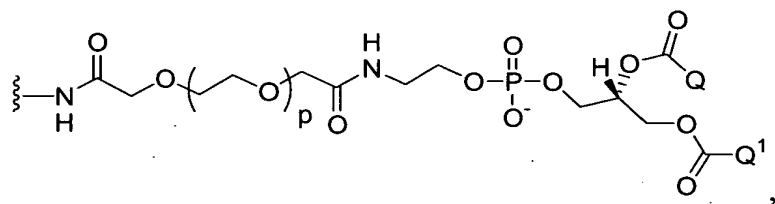
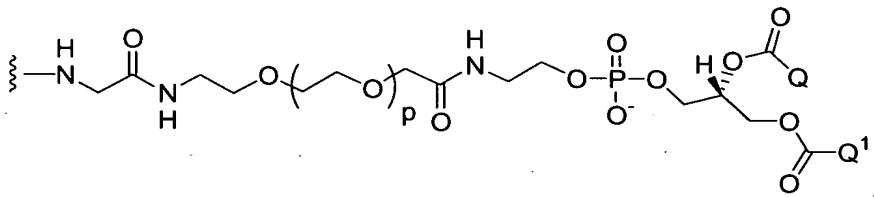
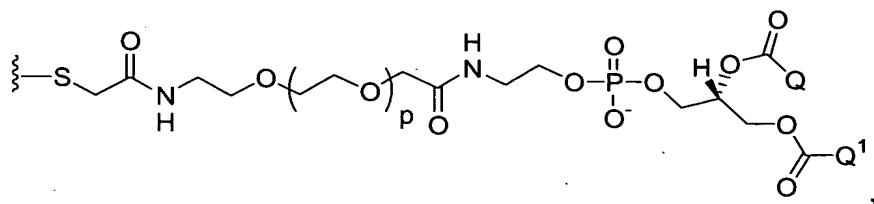


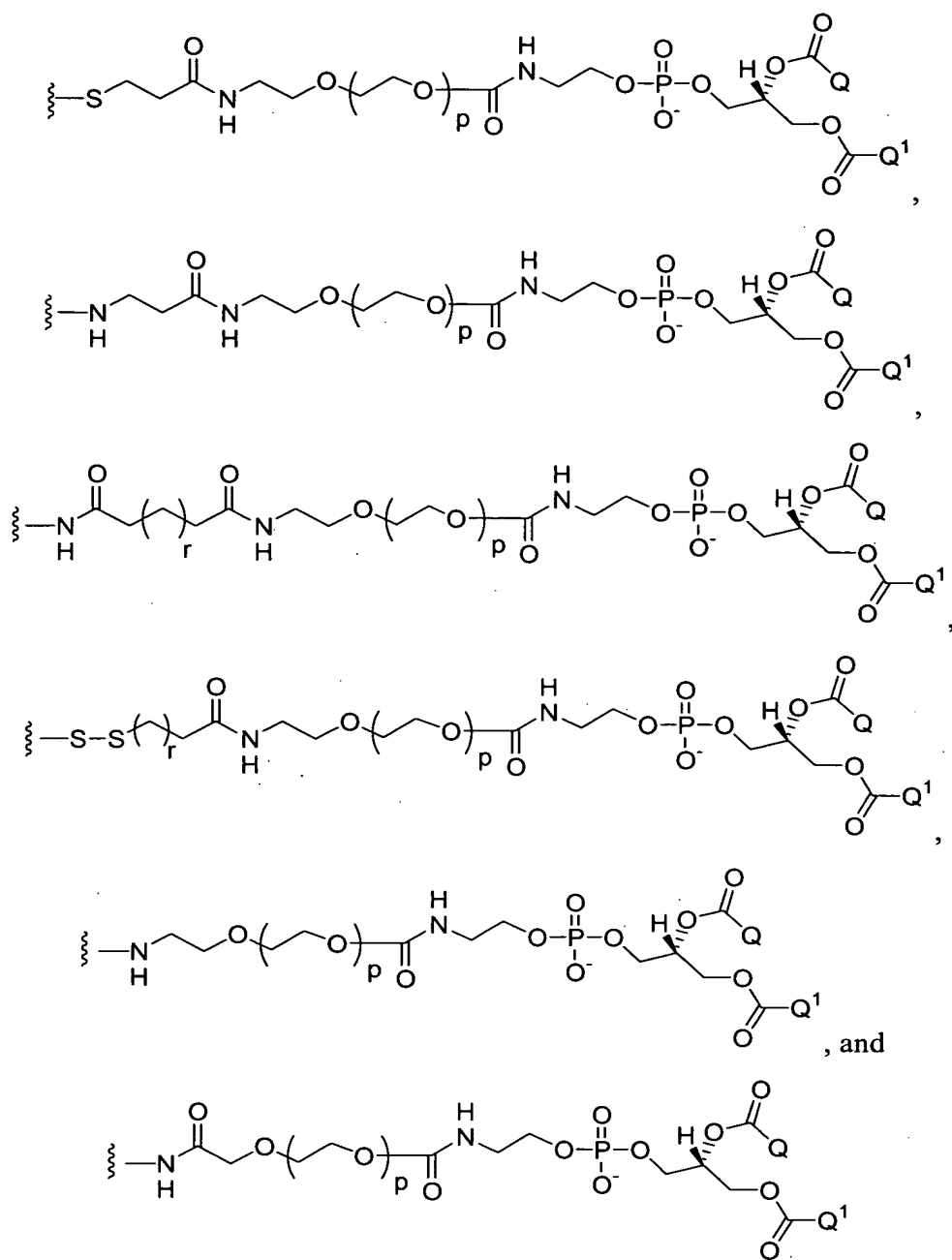
wherein when R_{11} or R_{12} terminates with a $-\text{C(=O)}-$, R_{13} is selected from the group consisting of



and when R_{11} or R_{12} does not terminate with a $-\text{C(=O)}-$, R_{13} is selected from the group consisting of



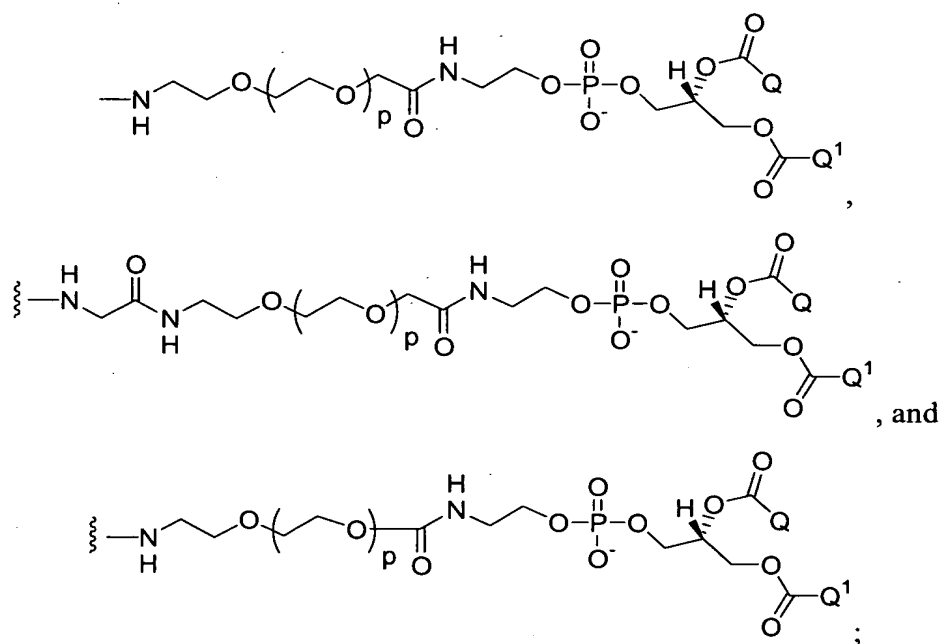




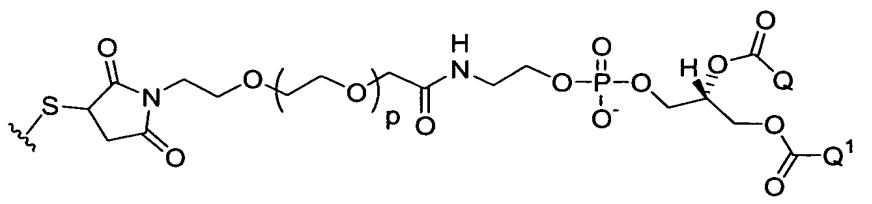
- 10 81. The liposome of claim 79 wherein R_{12} is selected from the group consisting of -
 $\text{CH}_2\text{O-C}_{1-6}\text{alkyl}(R_{13})$, $-\text{CH}_2\text{NH-C}_{1-6}\text{alkyl}(R_{13})$,
 $-\text{CH}_2\text{S-C}_{1-6}\text{alkyl}(R_{13})$, $-\text{NH-C}(=\text{O})\text{C}_{1-8}\text{alkyl}(R_{13})$,
 $-\text{CH}_2\text{NH-C}(=\text{O})\text{C}_{1-6}\text{alkyl}(R_{13})$, $-\text{NH-C}(=\text{O})\text{NHC}_{1-6}\text{alkyl}(R_{13})$,

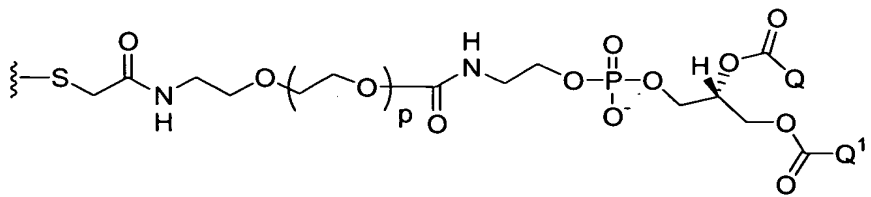
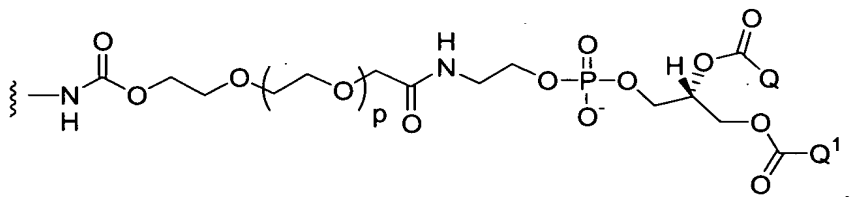
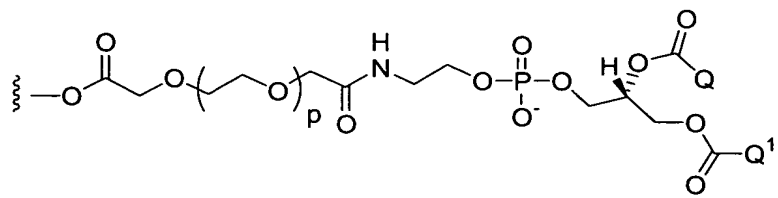
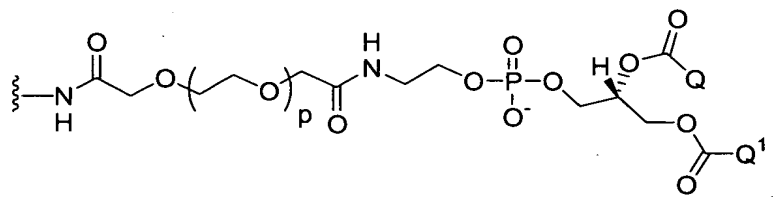
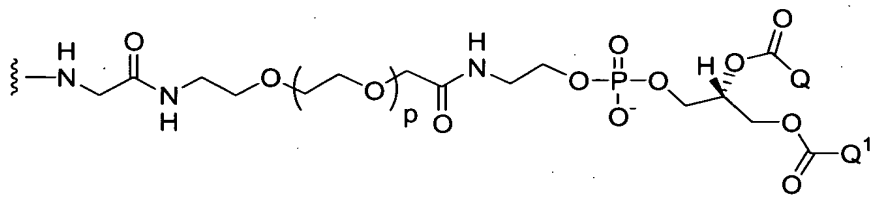
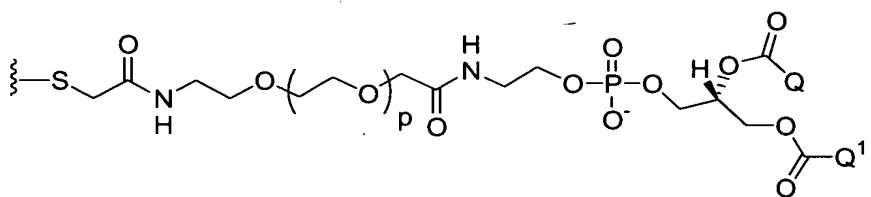
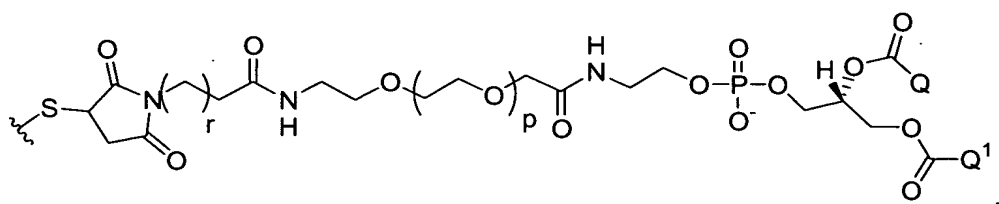
- NH-C(=O)C₁₋₆alkylC(=O)(R₁₃),
- OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
- NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and
- CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃).

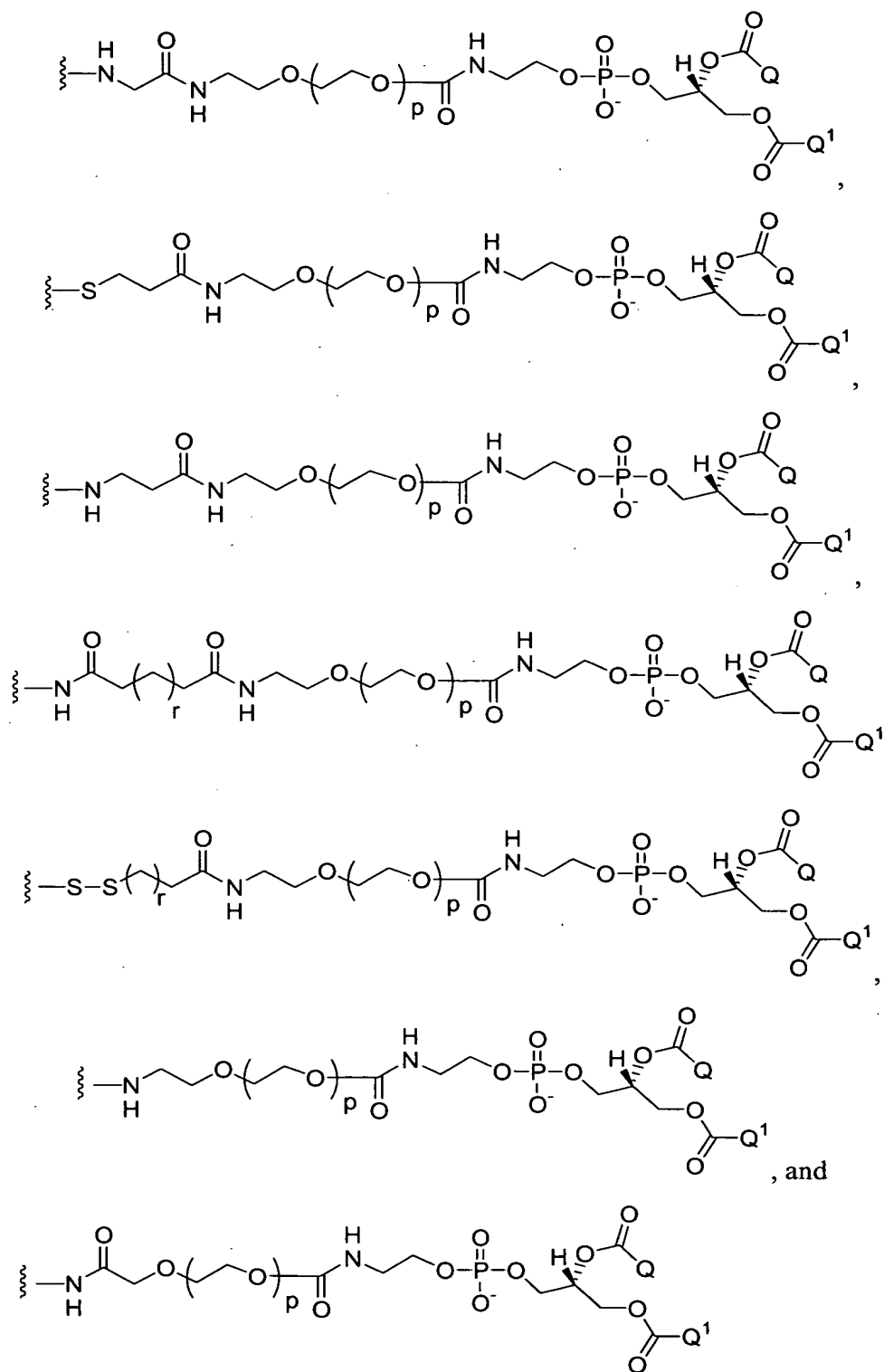
wherein when R₁₁ or R₁₂ terminates with a -C(=O)-, R₁₃ is selected from the group consisting of



and when R₁₁ or R₁₂ does not terminate with a -C(=O)-, R₁₃ is selected from the group consisting of







82. The liposome of claim 79 wherein said $-O-(CH_2CH_2O)_p-$ or $-O-(CH_2CH_2O)_p$ of

R₁₂ and R₁₃ is a polyethylene glycol (PEG) polymer ranging in molecular weight from 2000 to 5000 daltons.

83. The liposome of claim 79 wherein wherein Q and Q¹ of substituents

5 R₁₂ and R₁₃ are the same within a given compound and are selected from the group consisting of the C₁₅ saturated chain of palmitic acid, the C₁₇ saturated chain of stearic acid, and the C₁₇ mono-unsaturated chain of oleic acid.

10 84. The liposome of claim 79 wherein

W is preferably is selected from the group consisting of -C₀₋₄alkyl(R₁), -C₁₋₄alkyl(R_{1a}), -C₀₋₄alkyl-aryl(R₁,R₈), -C₀₋₄alkyl-heterocyclyl(R₁,R₈), -C₀₋₄alkoxy(R₁), -C₀₋₄alkoxy-aryl(R₁,R₈), and -C₀₋₄alkoxy-heterocyclyl(R₁,R₈);

15 R₁ is -N(R₄)(R₆), -heterocyclyl(R₈) or -heteroaryl(R₈);

R_{1a} is -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄, -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄, -C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇) or
20 -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂;

R₄ is hydrogen or -C₁₋₄alkyl(R₇);

25 R₅ is -C(=O)-R₄, -C(=O)-N(R₄)₂, -C(=O)-cycloalkyl(R₈), -C(=O)-heterocyclyl(R₈), -C(=O)-aryl(R₈), -C(=O)-heteroaryl(R₈), -C(=O)-N(R₄)-cycloalkyl(R₈), -C(=O)-N(R₄)-aryl(R₈), -CO₂-R₄, -CO₂-cycloalkyl(R₈), -CO₂-aryl(R₈), -C(R₄)(=N-R₄), -C(=N-R₄)-N(R₄)₂, -C(=N-R₄)-N(R₄)(R₆), -C(=N-R₄)-N(R₄)-C(=O)-R₄, -C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂, -C(=N-R₄)-N(R₄)-CO₂-R₄,
30 -C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇), -C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂, -N(R₄)-C(R₄)(=N-R₄), -N(R₄)-C(=N-R₄)-N(R₄)₂, -N(R₄)-C(=N-R₄)-N(R₄)(R₆), -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-C(=O)-N(R₄)₂,

-N(R₄)-C(=N-R₄)-N(R₄)-CO₂-R₄, -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-C₁₋₄alkyl(R₇),
 -N(R₄)-C(=N-R₄)-N(R₄)-SO₂-N(R₄)₂, -SO₂-C₁₋₄alkyl(R₇), -SO₂-N(R₄)₂,
 -SO₂-cycloalkyl(R₈) or -SO₂-aryl(R₈);

5 R₆ is -heterocyclyl(R₈) or -heteroaryl(R₈);

R₇ is one to two substituents independently selected from hydrogen,
 -C₁₋₄alkoxy(R₉), -NH₂, -NH-C₁₋₄alkyl(R₉), -N(C₁₋₄alkyl(R₉))₂, -C(=O)H,
 -C(=O)-C₁₋₄alkyl(R₉), -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉),
 10 -C(=O)-N(C₁₋₄alkyl(R₉))₂, -C(=O)-NH-aryl(R₁₀), -C(=O)-cycloalkyl(R₁₀),
 -C(=O)-heterocyclyl(R₁₀), -C(=O)-aryl(R₁₀), -C(=O)-heteroaryl(R₁₀), -CO₂H,
 -CO₂-C₁₋₄alkyl(R₉), -CO₂-aryl(R₁₀), -C(=NH)-NH₂, -SH, -S-C₁₋₄alkyl(R₉),
 -S-C₁₋₄alkyl-S-C₁₋₄alkyl(R₉), -S-C₁₋₄alkyl-C₁₋₄alkoxy(R₉),
 -S-C₁₋₄alkyl-NH-C₁₋₄alkyl(R₉), -SO₂-C₁₋₄alkyl(R₉), -SO₂-NH₂,
 15 -SO₂-NH-C₁₋₄alkyl(R₉), -SO₂-N(C₁₋₄alkyl(R₉))₂, -SO₂-aryl(R₁₀), cyano, (halo)₁₋₃,
 hydroxy, nitro, oxo, -cycloalkyl(R₁₀), -heterocyclyl(R₁₀), -aryl(R₁₀) or
 -heteroaryl(R₁₀);

R₈ is one to four substituents independently selected from hydrogen,
 20 -C₁₋₄alkyl(R₉), -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉),
 -C(=O)-N(C₁₋₄alkyl(R₉))₂, -CO₂H, -CO₂-C₁₋₄alkyl(R₉) or -SO₂-NH₂ when
 attached to a nitrogen atom; and, wherein R₈ is one to four substituents
 independently selected from hydrogen, -C₁₋₄alkyl(R₉), -C₁₋₄alkoxy(R₉),
 -O-aryl(R₁₀), -C(=O)H, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl(R₉),
 25 -C(=O)-N(C₁₋₄alkyl(R₉))₂, -CO₂H, -CO₂-C₁₋₄alkyl(R₉), -SO₂-NH₂, -NH₂,
 -NH-C₁₋₄alkyl(R₉), -N(C₁₋₄alkyl(R₉))₂, cyano, halo, hydroxy, nitro or oxo when
 attached to a carbon atom;

R₉ is hydrogen, -C₁₋₄alkoxy, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, -C(=O)H,
 30 -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl, -C(=O)-N(C₁₋₄alkyl)₂, -CO₂H,
 -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₄alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl,
 -SO₂-N(C₁₋₄alkyl)₂, cyano, (halo)₁₋₃, hydroxy, nitro or oxo;

R₁₀ is one to four substituents independently selected from hydrogen, -C₁₋₄alkyl, -C(=O)H, -C(=O)-C₁₋₄alkyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl, -C(=O)-N(C₁₋₄alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₄alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl or -SO₂-N(C₁₋₄alkyl)₂ when attached to a nitrogen atom; and, wherein R₁₀ is one to four substituents independently selected from hydrogen, -C₁₋₄alkyl, -C₁₋₄alkoxy, -C(=O)H, -C(=O)-C₁₋₄alkyl, -C(=O)-NH₂, -C(=O)-NH-C₁₋₄alkyl, -C(=O)-N(C₁₋₄alkyl)₂, -CO₂H, -CO₂-C₁₋₄alkyl, -SO₂-C₁₋₄alkyl, -SO₂-NH₂, -SO₂-NH-C₁₋₄alkyl, -SO₂-N(C₁₋₄alkyl)₂, -NH₂, -NH-C₁₋₄alkyl, -N(C₁₋₄alkyl)₂, cyano, halo, hydroxy, nitro or oxo when attached to a carbon atom;

R_{2a} is -cycloalkyl(R₈)(R₁₁), -heterocyclyl(R₈)(R₁₂), -aryl(R₈)(R₁₂) or -heteroaryl(R₈)(R₁₂);

q is 1, 2 or 3.

R₁₁ is selected from the group consisting of -C₁₋₈alkyl(R₁₃), -O-C₁₋₈alkyl(R₁₃), -NH-C₁₋₈alkyl(R₁₃), -S-C₁₋₈alkyl(R₁₃), -C(=O)C₁₋₈alkyl(R₁₃), -O-C(=O)C₁₋₈alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃), -C(=O)OC₁₋₈alkyl(R₁₃), -C(=O)NHC₁₋₈alkyl(R₁₃), -O-C(=O)OC₁₋₈alkyl(R₁₃), -O-C(=O)NHC₁₋₈alkyl(R₁₃), -O-C(=O)C₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)C₁₋₈alkylC(=O)(R₁₃), -C(=O)OC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)OC₁₋₈alkylC(=O)(R₁₃), -C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃), -C(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), -OC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), -OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),

PRD-0026 CIP

-NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
and -SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃);

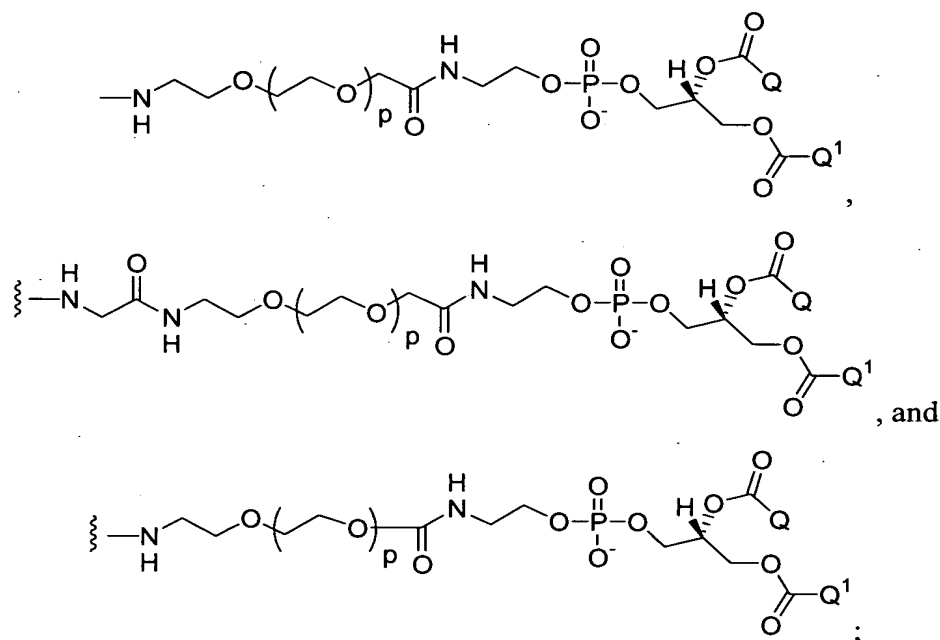
R₁₂ is selected from the group consisting of

- 5 -C₁₋₆alkyl(R₁₃), -O-C₁₋₆alkyl(R₁₃),
-NH-C₁₋₄alkyl(R₁₃), -S-C₁₋₆alkyl(R₁₃), -CH₂O-C₁₋₆alkyl(R₁₃),
-CH₂NH-C₁₋₆alkyl(R₁₃), -CH₂S-C₁₋₆alkyl(R₁₃), -C(=O)C₁₋₆alkyl(R₁₃),
-O-C(=O)C₁₋₆alkyl(R₁₃), -NH-C(=O)C₁₋₈alkyl(R₁₃),
-CH₂O-C(=O)C₁₋₈alkyl(R₁₃), -CH₂NH-C(=O)C₁₋₆alkyl(R₁₃),
10 -C(=O)OC₁₋₆alkyl(R₁₃), -C(=O)NHC₁₋₆alkyl(R₁₃),
-O-C(=O)OC₁₋₆alkyl(R₁₃), -O-C(=O)NHC₁₋₆alkyl(R₁₃),
-NH-C(=O)OC₁₋₆alkyl(R₁₃), -NH-C(=O)NHC₁₋₆alkyl(R₁₃),
-NH-C(=O)C₁₋₆alkylC(=O)(R₁₃), -CH₂O-C(=O)C₁₋₈alkylC(=O)(R₁₃),
-NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃), -CH₂O-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
15 -CH₂NH-C(=O)NHC₁₋₈alkylC(=O)(R₁₃),
-OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
20 -NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
-OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-NH(C=O)CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
25 -SO₂CH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-SO₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-CH₂NHCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
-CH₂SCH₂CH₂O(CH₂CH₂O)_rCH₂CH₂(R₁₃),
30 -CH₂OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
-OC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
-NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),

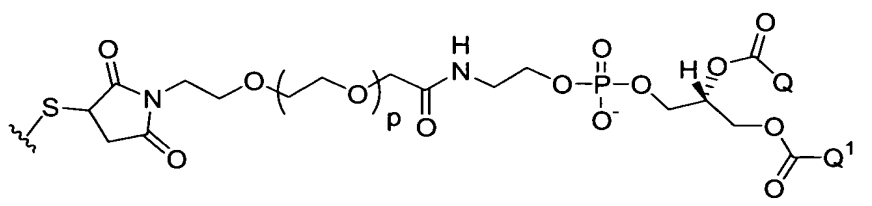
PRD-0026 CIP

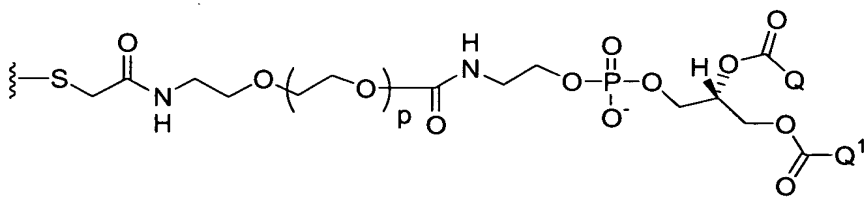
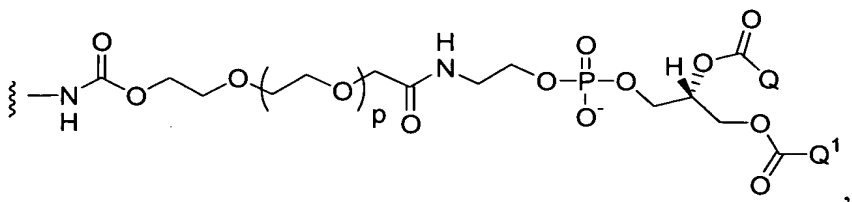
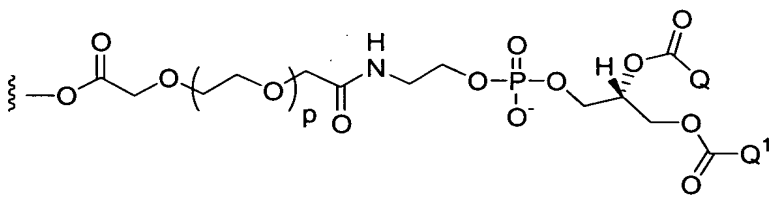
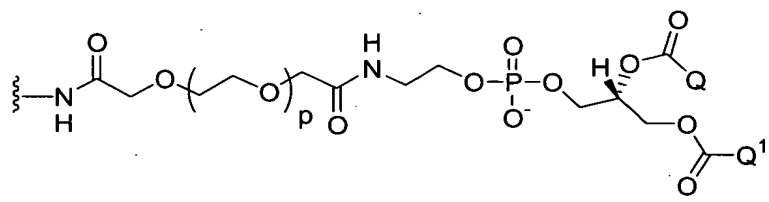
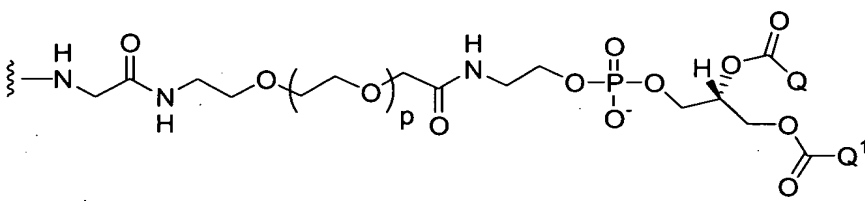
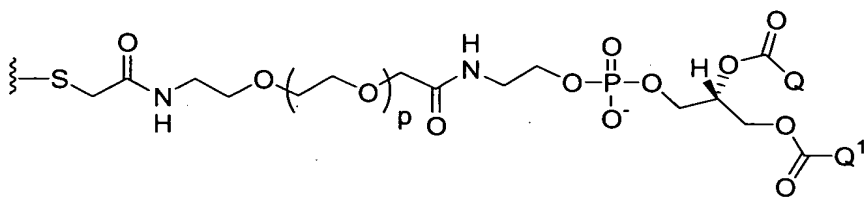
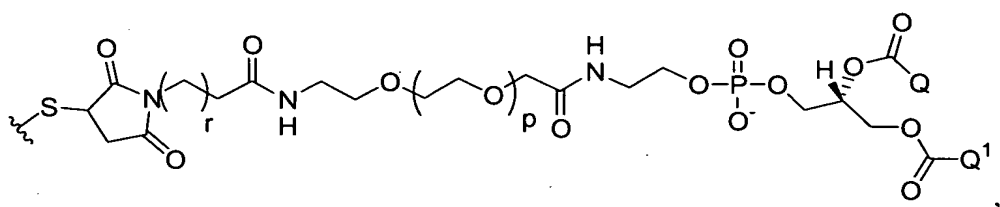
- NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂OC(=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂NH(C=O)CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃),
- CH₂NHC(=O)OCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃), and
- CH₂NHC(=O)NHCH₂CH₂O(CH₂CH₂O)_rCH₂C(=O)(R₁₃);

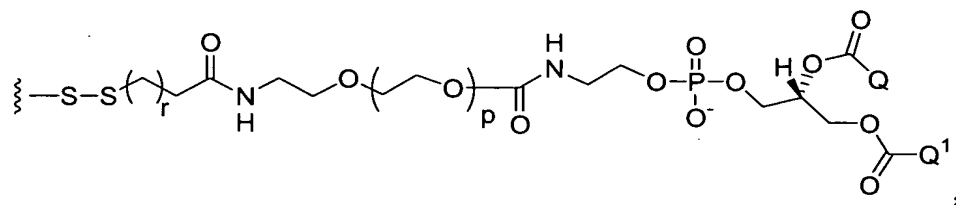
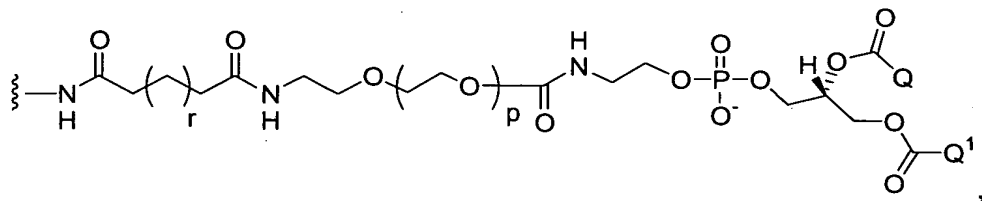
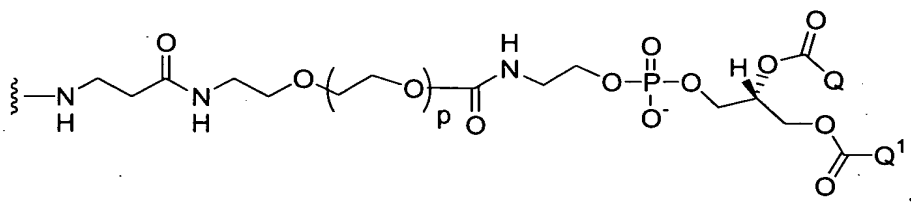
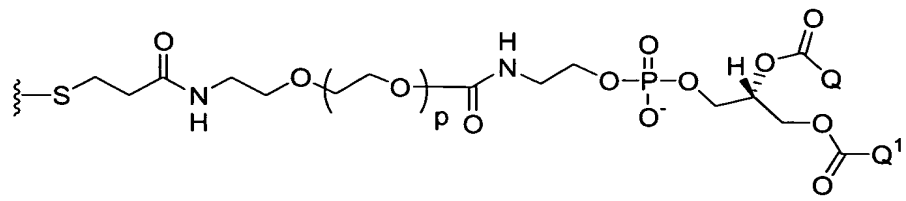
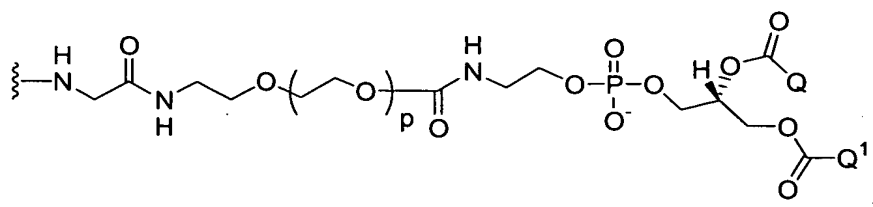
wherein when R₁₁ or R₁₂ terminates with a -C(=O)-, R₁₃ is selected from the group consisting of



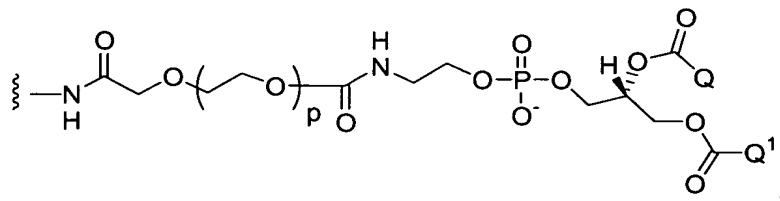
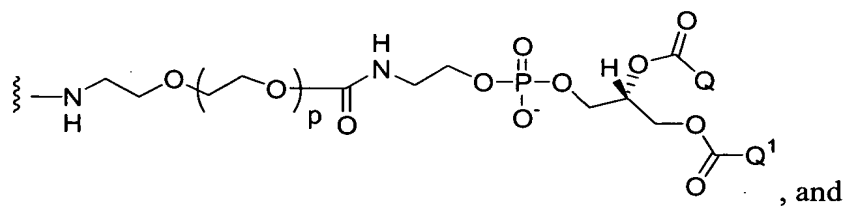
and when R₁₁ or R₁₂ does not terminate with a -C(=O)-, R₁₃ is selected from the group consisting of







5



said $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$ or $-\text{O}-\left(\text{CH}_2\text{CH}_2\text{O}\right)_p$ of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and are selected from the group consisting of the C_{11} saturated chain of lauric acid, the C_{15} saturated chain of palmitic acid, the C_{17} saturated chain of stearic acid, the C_{17} mono-unsaturated chain of oleic acid, and the C_{17} di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, $-\text{NH}_2$, $-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{N}(\text{C}_{1-8}\text{alkyl})_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{OH}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}_{1-4}\text{alkoxy}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{carbonyl}-\text{C}_{1-4}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{CO}_2\text{H}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{O}-\text{C}(\text{O})-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{N}(\text{C}_{1-8}\text{alkyl})_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{amide}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ and $-\text{NHC}(\text{O})-\text{C}_{1-8}\text{alkyl}$.

85. The liposome of claim 79 wherein

W is preferably $-\text{C}_{0-4}\text{alkyl}(\text{R}_1)$ or $-\text{C}_{0-4}\text{alkyl}-\text{phenyl}(\text{R}_1, \text{R}_8)$;

R_1 is $-\text{N}(\text{R}_4)(\text{R}_6)$, $-\text{tetrahydropyrimidinyl}(\text{R}_8)$ or $-\text{tetrahydro-1,8-naphthyridinyl}(\text{R}_8)$;

R_{1a} is $-\text{C}(\text{R}_4)(=\text{N}-\text{R}_4)$, $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)_2$, $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)(\text{R}_6)$, $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{C}(=\text{O})-\text{R}_4$, $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{C}(=\text{O})-\text{N}(\text{R}_4)_2$, $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{CO}_2-\text{R}_4$, $-\text{C}(=\text{N}-\text{R}_4)-\text{N}(\text{R}_4)-\text{SO}_2-\text{C}_{1-4}\text{alkyl}(\text{R}_7)$ or

PRD-0026 CIP

$-C(=N-R_4)-N(R_4)-SO_2-N(R_4)_2$;

R_4 is hydrogen;

5 R_5 is $-C(=O)-R_4$, $-C(=O)-N(R_4)_2$, $-CO_2-R_4$, $-C(R_4)(=N-R_4)$, $-C(=N-R_4)-N(R_4)_2$,
 $-C(=N-R_4)-N(R_4)(R_6)$, $-N(R_4)-C(R_4)(=N-R_4)$, $-N(R_4)-C(=N-R_4)-N(R_4)_2$,
 $-N(R_4)-C(=N-R_4)-N(R_4)(R_6)$, $-SO_2-C_{1-4}alkyl(R_7)$ or $-SO_2-N(R_4)_2$;

10 R_6 is $-dihydroimidazolyl(R_8)$, $-tetrahydropyridinyl(R_8)$,
 $-tetrahydropyrimidinyl(R_8)$ or $-pyridinyl(R_8)$;

R_7 is hydrogen;

15 R_8 is one to four substituents independently selected from hydrogen or
 $-C_{1-4}alkyl(R_9)$ when attached to a nitrogen atom; and, wherein R_8 is one to four
substituents independently selected from hydrogen, $-C_{1-4}alkyl(R_9)$,
 $-C_{1-4}alkoxy(R_9)$ $-O-aryl(R_{10})$ or hydroxy when attached to a carbon atom;

20 R_9 is hydrogen, $-C_{1-4}alkoxy$, $-NH_2$, $-NH-C_{1-4}alkyl$, $-N(C_{1-4}alkyl)_2$, $(halo)_{1-3}$ or
hydroxy;

R_{10} is hydrogen;

25 R_{2a} is $-tetrahydropyrimidinyl(R_8)(R_{12})$, $-1,3-benzodioxolyl(R_8)(R_{12})$,
 $-dihydrobenzofuranyl(R_8)(R_{12})$, $-tetrahydroquinolinyl(R_8)(R_{12})$,
 $-phenyl(R_8)(R_{12})$, $-naphthalenyl(R_8)(R_{12})$, $-pyridinyl(R_8)(R_{12})$,
 $-pyrimidinyl(R_8)(R_{12})$ or $-quinolinyl(R_8)(R_{12})$;

30 q is 1 or 2;

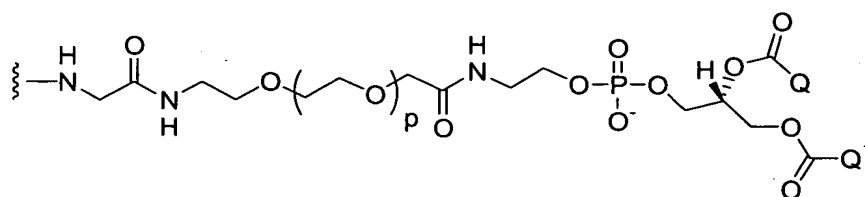
R_{12} is selected from the group consisting of
 $-CH_2-O-(CH_2)_4(R_{13})-$,

PRD-0026 CIP

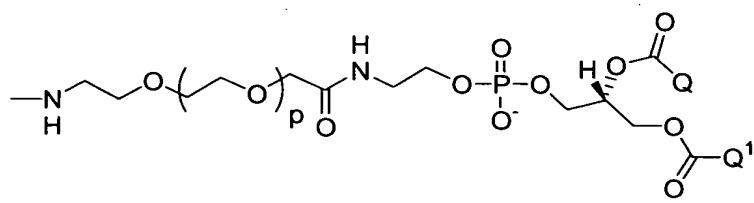
- CH₂-NH-(CH₂)₄(R₁₃)-,
- CH₂-S-(CH₂)₄(R₁₃)-,
- CH₂-O-(CH₂)₆(R₁₃)-,
- CH₂-NH-(CH₂)₆(R₁₃)-,
- 5 -CH₂-S-(CH₂)₆(R₁₃)-,
- NH-C(=O)-(CH₂)₄(R₁₃)-,
- NH-C(=O)-(CH₂)₇(R₁₃)-,
- NH-C(=O)NH-(CH₂)₃(R₁₃)-,
- NH-C(=O)NH-(CH₂)₆(R₁₃)-,
- 10 -CH₂NH-C(=O)NH-(CH₂)₂(R₁₃)-,
- CH₂NH-C(=O)NH-(CH₂)₅(R₁₃)-,
- NHC(=O)-(CH₂)₂-C(=O)(R₁₃)-,
- NHC(=O)-(CH₂)₃-C(=O)(R₁₃)-,
- NHC(=O)-(CH₂)₄-C(=O)(R₁₃)-,
- 15 -OCH₂CH₂OCH₂CH₂(R₁₃)-,
- NHCH₂CH₂OCH₂CH₂(R₁₃)-,
- OCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
- NHCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
- OCH₂CH₂OCH₂C(=O)(R₁₃)-,
- 20 -OCH₂CH₂OCH₂CH₂OCH₂C(=O)(R₁₃)-,
- NHC(=O)CH₂OCH₂CH₂(R₁₃)-,
- NHC(=O)CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
- CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
- CH₂NHCH₂CH₂OCH₂CH₂(R₁₃)-,
- 25 -CH₂SCH₂CH₂OCH₂CH₂(R₁₃)-,
- CH₂OCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
- CH₂NHCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
- CH₂SCH₂CH₂OCH₂CH₂OCH₂CH₂(R₁₃)-,
- CH₂NHC(=O)CH₂OCH₂C(=O)(R₁₃)-, and
- 30 -NHC(=O)CH₂OCH₂C(=O)(R₁₃)-;

wherein when R₁₁ or R₁₂ terminates with a -C(=O)-, R₁₃ is selected from the

group consisting of

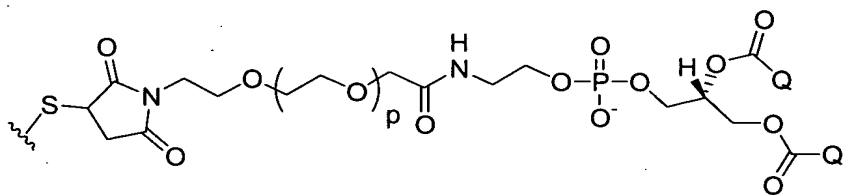


and

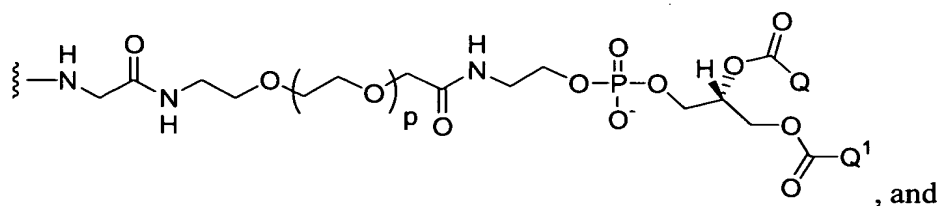
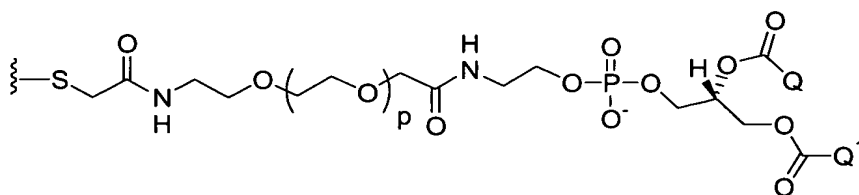
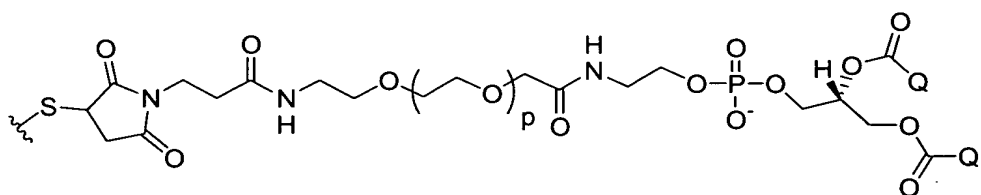


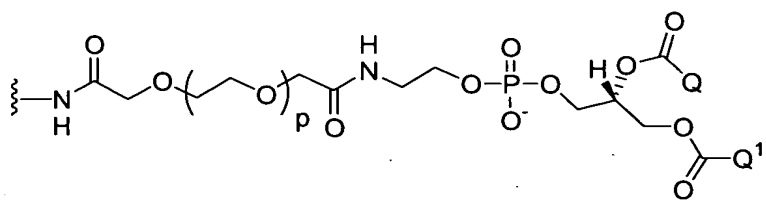
5

and when R_{11} or R_{12} does not terminate with a $-C(=O)-$, R_{13} is selected from the group consisting of



10





wherein said $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$ or $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_p-$ of R_{12} and R_{13} is a polyethylene glycol (PEG) polymer selected from 2000 (PEG 2000), 3400 (PEG 3400), or 5000 (PEG 5000) Daltons;

r is an integer from 0 to 8;

Q and Q^1 of substituents R_{12} and R_{13} are the same within a given compound and is the C_{17} saturated chain of stearic acid;

Z is selected from the group consisting of hydroxy, $-\text{NH}_2$, $-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{N}(\text{C}_{1-8}\text{alkyl})_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{OH}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}_{1-4}\text{alkoxy}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{carbonyl}-\text{C}_{1-4}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{CO}_2\text{H}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{O}-\text{C}_{1-6}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{O}-\text{C}(\text{O})-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{N}(\text{C}_{1-8}\text{alkyl})_2$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{amide}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{NH}-\text{C}_{1-8}\text{alkyl}$, $-\text{O}-\text{C}_{1-8}\text{alkyl}-\text{C}(\text{O})-\text{N}(\text{C}_{1-8}\text{alkyl})_2$ and $-\text{NHC}(\text{O})\text{C}_{1-8}\text{alkyl}$.

86. The therapeutic liposome composition of claim 78 wherein the therapeutic agent is selected from the group consisting of steroids, immunosuppressants, antihistamines, non-steroidal anti-asthamtics, non-steroidal anti-inflammatory agents, cyclooxygenase-2 inhibitors, cytotoxic agents, gene therapy agents, radiotherapy agents, and imaging agents.

87. The therapeutic liposome composition of claim 78 wherein the therapeutic agent is a cytotoxic drug.

88. The therapeutic liposome composition of claim 87 wherein the cytotoxic drug is selected from the group consisting of anthracycline antibiotics, platinum compounds, topoisomerase 1 inhibitors, and vinca alkaloids.

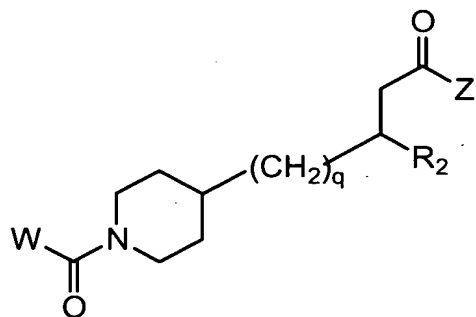
5 89. The therapeutic liposome composition of claim 87 wherein the cytotoxic agent is selected from the group consisting of doxorubicin, daunorubicin, epirubicin, idarubicin, cisplatin, carboplatin, ormaplatin, oxaliplatin, zeniplatin, enloplatin, lobaplatin, spiroplatin, ((-)-(R)-2-aminomethylpyrrolidine (1,1-cyclobutane dicarboxylato)platinum), (SP-4-3(R)-1,1-cyclobutane-dicarboxylato(2-)-(2-
10 methyl-1,4-butanediamine-N,N')platinum), nedaplatin, (bis-acetato-ammine-dichloro-cyclohexylamine-platinum(IV), topotecan, irinotecan, (7-(4-methylpiperazino-methylene)-10,11-ethylenedioxy-20(S)-camptothecin), 7-(2-(N-isopropylamino)ethyl)-(20S)-camptothecin, 9-aminocamptothecin, 9-nitrocamptothecin, vincristine, vinblastine, vinleurosine, vinrodine,
15 vinorelbine, and vindesine.

90. The therapeutic liposome composition of claim 87 wherein the cytotoxic agent is selected from the group consisting of doxorubicin, daunorubicin, epirubicin, idarubicin, cisplatin, including salts.

20 ABSTRACT OF THE INVENTION

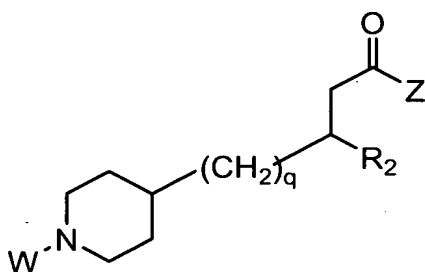
ABSTRACT

25 The present invention relates to the synthesis and biological application of piperidinoyl carboxylic acid integrin antagonists affinity moiety of Formula (I):



Formula (I)

and Formula (II):



Formula (II)

- 5 These affinity moieties may be used with imaging agents or liposomes to target cells that express the $\alpha_v\beta_3$, $\alpha_v\beta_5$, or $\alpha_v\beta_6$ integrin receptors.